STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 122570

TO: Terra Gibbs **Location: REM-2C18** **Art Unit: 1635** **Friday, June 04, 2004** **Case Serial Number: 09/555574**	**From: David Schreiber** **Location: Biotech-Chem Library** **Remsen E01A61** **Phone: 272-2526** **david.schreiber@uspto.gov**

Search Notes

Hey Richard,

Remember that case John requested that you examine from a few weeks ago? Well, this is a result from a search done by STIC. Don't know if it'll be helpful... hope so.

-Terra

```
=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 13:41:29 ON 04 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 4 Jun 2004  VOL 140 ISS 24
FILE LAST UPDATED: 3 Jun 2004  (20040603/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d stat que l48
L1              STR
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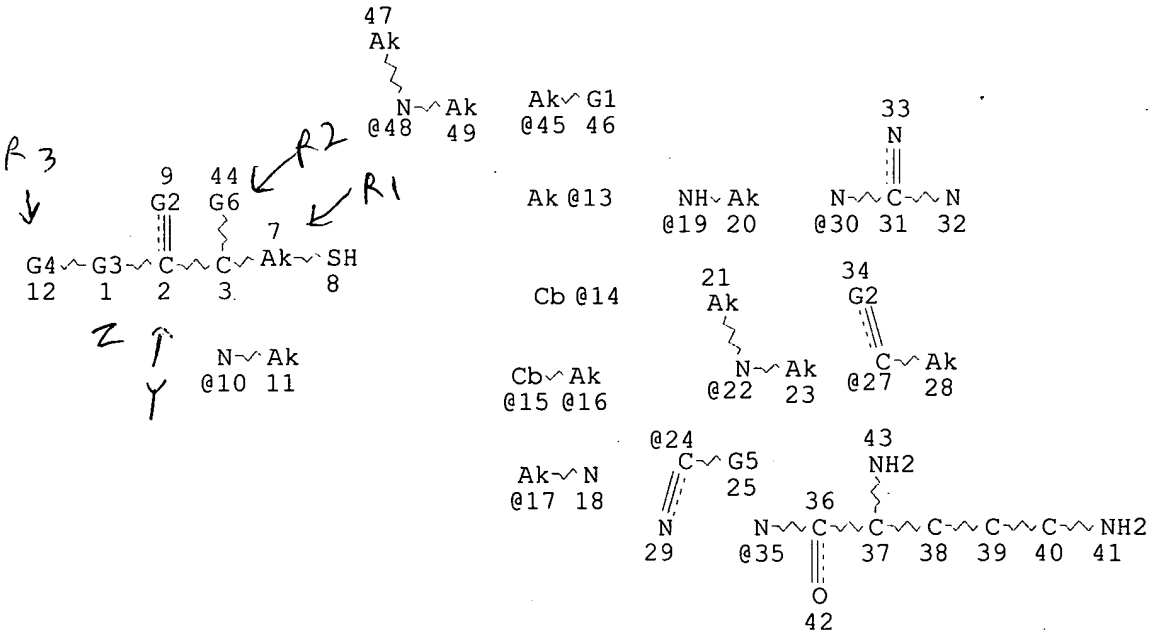


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Search completed by David Schreiber x22526

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L48          55 SEA FILE=HCAPLUS L44 AND L47


=> d ibib abs hitstr l48 1-55

L48  ANSWER 1 OF 55  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        2004:20807  HCAPLUS
DOCUMENT NUMBER:         140:99589
TITLE:                   Use of peptides derived from junctional adhesion
                         molecules to permeabilize mucosa for improved
                         efficiency of mucosal delivery of therapeutic
                         compounds
INVENTOR(S):             Quay, Steven C.
PATENT ASSIGNEE(S):      Nastech Pharmaceutical Company, Inc., USA
SOURCE:                  PCT Int. Appl., 426 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
     US 2004077540      A1   20040422       US 2003-601953   20030624
PRIORITY APPLN. INFO.:                   US 2002-392512P  P  20020628
AB   Methods of improving the permeability of mucosal epithelia to improve the
     efficiency of transmucosal delivery of drugs are described.  Permeability
     is improved by modulating epithelial junction structure or physiol. of the
     mucosa using a peptide derived from one of the proteins involved in the
     junction, such as junctional adhesion mols. (JAMs), occludins, or
     claudins.  The permeabilizing agent is typically a peptide or peptide
     analog or mimetic, often selected or derived from an extracellular domain
     of a mammalian JAM, occludin or claudin protein.  Identification of
     candidate peptides derived from junctional adhesion mol. JAM-1, claudins
     and occludins is demonstrated.  The effects of the peptides were tested in
     a com. airway epithelium model.  Tests in adult male volunteers showed a
     significant improvement in the delivery of human interferon β across
     the nasal mucosa when a peptide derived from JAM-1 was included in an
     intranasal formulation.
IT   642448-09-7 642448-71-3 642454-60-2
     642454-82-8 642457-13-4
     RL: PRP (Properties)
```

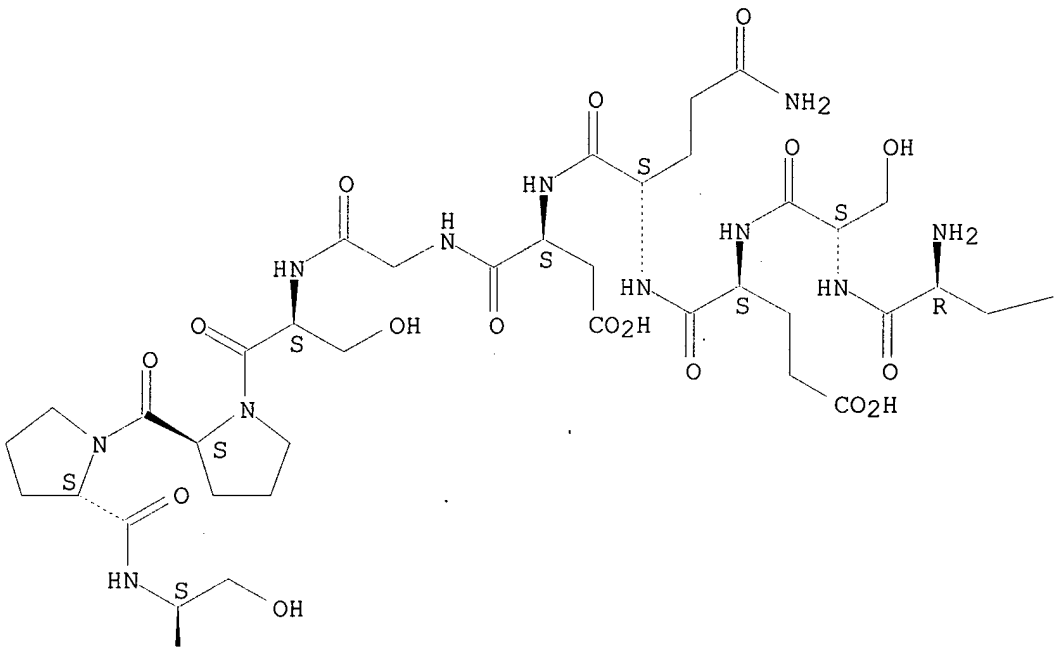
(unclaimed sequence; use of peptides derived from junctional adhesion mols. to permeabilize mucosa for improved efficiency of mucosal delivery of therapeutic compds.)

RN 642448-09-7 HCAPLUS

CN L-Serine, L-cysteinyl-L-seryl-L-α-glutamyl-L-glutaminyl-L-α-aspartylglycyl-L-seryl-L-prolyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

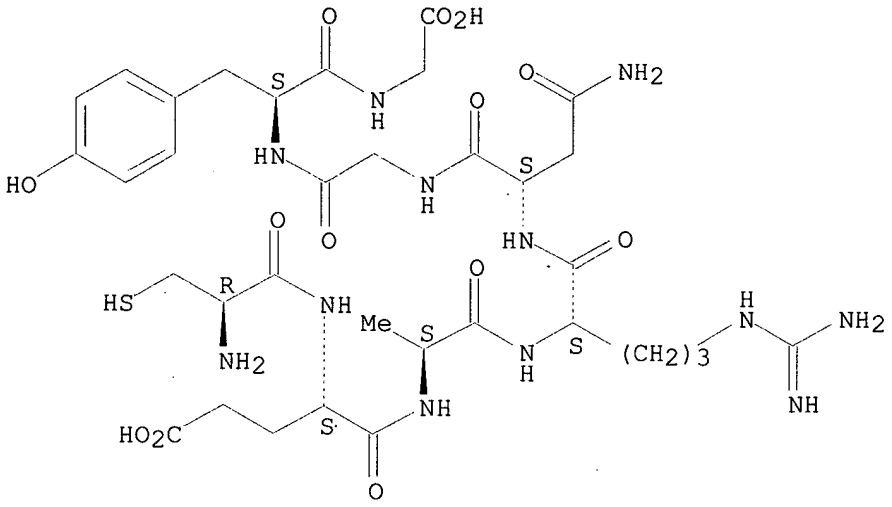
—SH

PAGE 2-A

Search completed by David Schreiber x22526

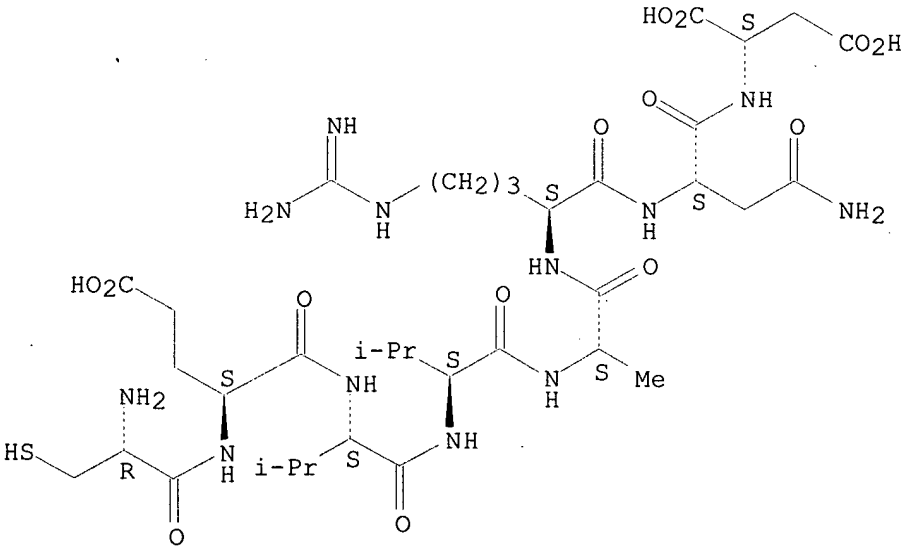
RN 642448-71-3 HCAPLUS
CN Glycine, L-cysteinyl-L-α-glutamyl-L-alanyl-L-arginyl-L-asparaginylglycyl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 642454-60-2 HCAPLUS
CN L-Aspartic acid, L-cysteinyl-L-α-glutamyl-L-valyl-L-valyl-L-alanyl-L-arginyl-L-asparaginyl- (9CI) (CA INDEX NAME)

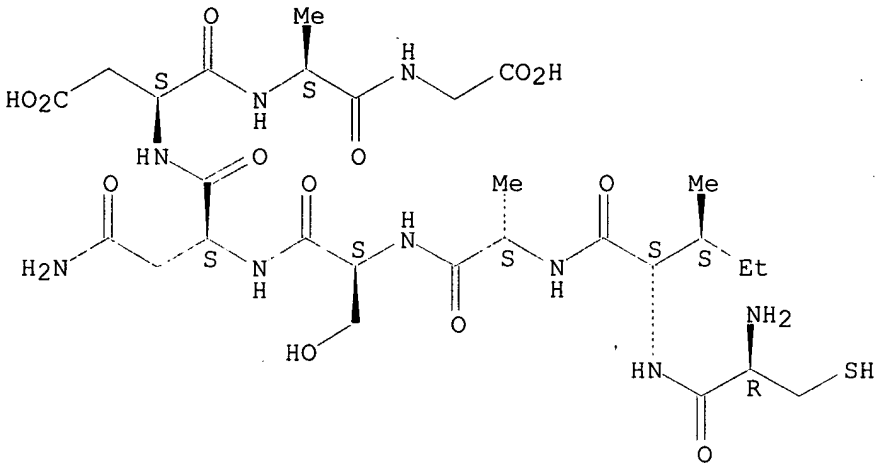
Absolute stereochemistry.



RN 642454-82-8 HCAPLUS
CN Glycine, L-cysteinyl-L-isoleucyl-L-alanyl-L-seryl-L-asparaginyl-L-α-aspartyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Search completed by David Schreiber x22526



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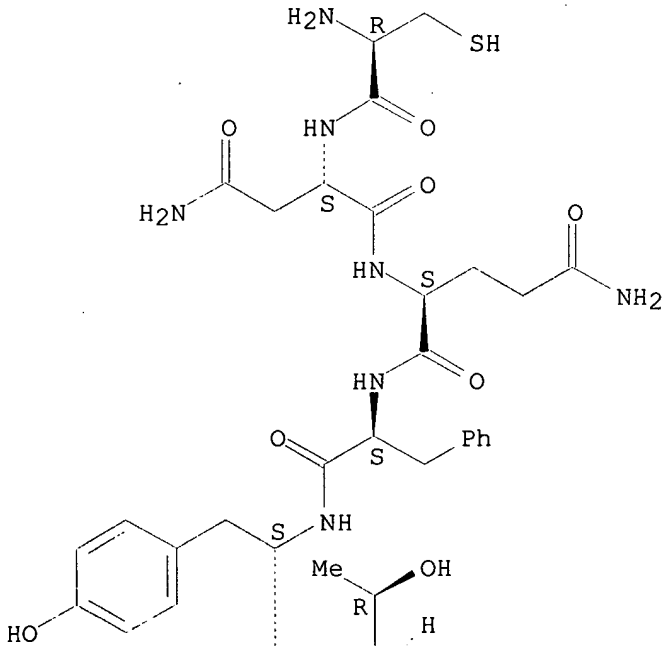
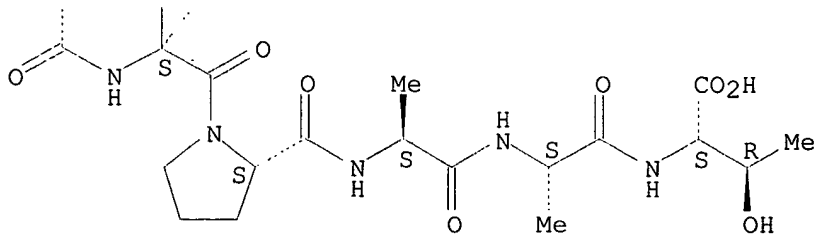
Absolute stereochemistry.

PAGE 1-A





L48 ANSWER 2 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:20427 HCAPLUS
DOCUMENT NUMBER: 140:99587
TITLE: Compositions and method for enhanced mucosal delivery of interferon-β
INVENTOR(S): Quay, Steven C.; Gupta, Malini; De Meireles, Jorge C.; Abd, El-Shafy Mohammed
PATENT ASSIGNEE(S): Nastech Pharmaceutical Company Inc., USA
SOURCE: PCT Int. Appl., 353 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002404	A2	20040108	WO 2003-US19261	20030618
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004037809	A1	20040226	US 2003-462452	20030616

PRIORITY APPLN. INFO.: US 2002-393066P P 20020628

AB Compns. and methods are provided for intranasal delivery of interferon-β yielding improved pharmacokinetic and pharmacodynamic results. In certain aspects of the invention, the interferon-β is delivered to the intranasal mucosa along with one or more intranasal delivery-enhancing agent(s) to yield substantially increased absorption and/or bioavailability of the interferon-β and/or a substantially decreased time to maximal concentration of interferon-β in a tissue of a subject as compared to controls where the interferon-β is administered to the same intranasal site alone or formulated according to previously disclosed reports. The enhancement of intranasal delivery of interferon-β according to the methods and compns. of the present invention allows for the effective pharmaceutical use of these agents to treat a variety of diseases and conditions in mammalian subjects.

IT **642448-09-7 642448-71-3 642454-60-2**
642454-82-8 642457-13-4
RL: PRP (Properties)

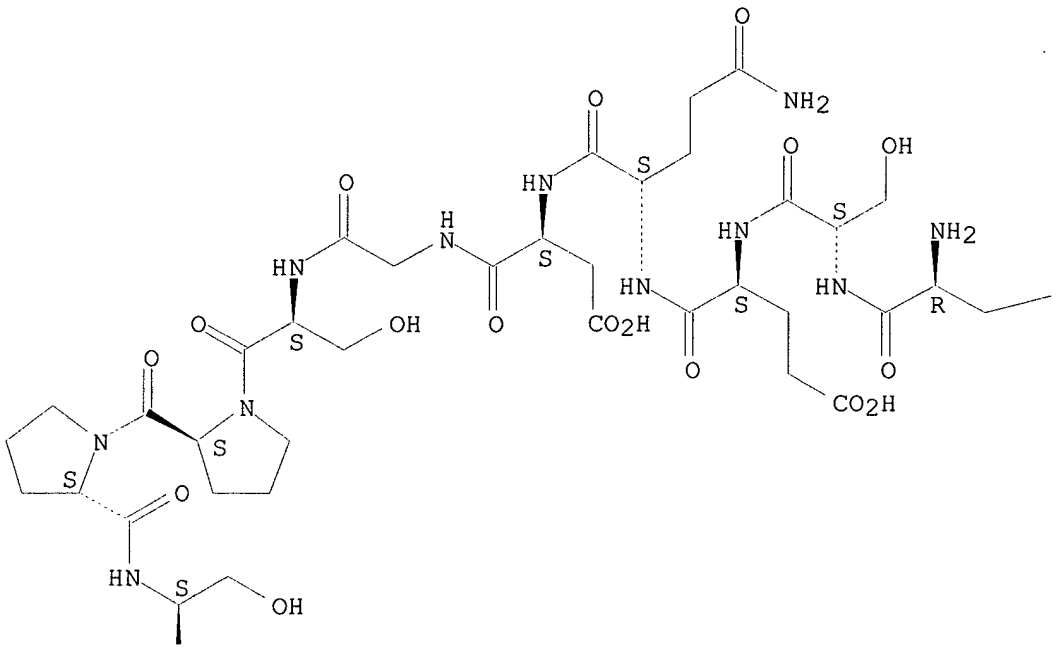
(unclaimed sequence; compns. and method for enhanced mucosal delivery of interferon-β)

RN 642448-09-7 HCAPLUS

CN L-Serine, L-cysteinyl-L-seryl-L-α-glutamyl-L-glutaminyl-L-α-aspartylglycyl-L-seryl-L-prolyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—SH

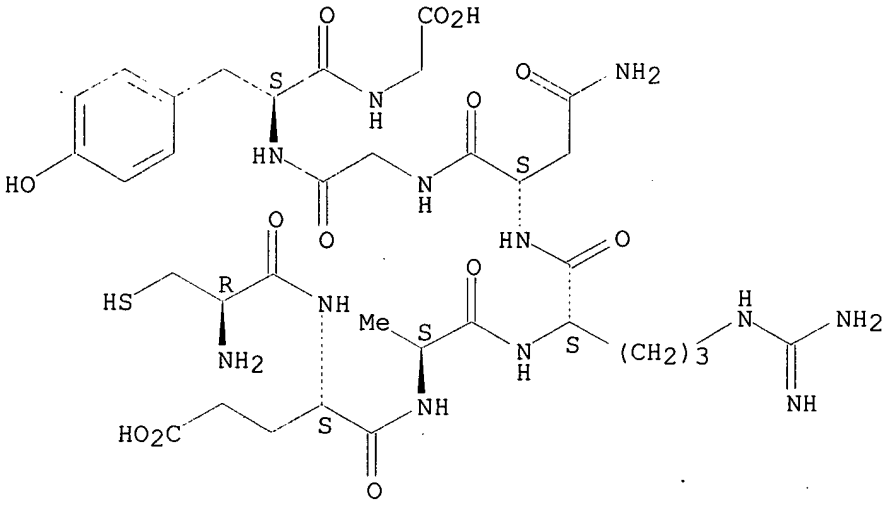
PAGE 2-A

RN 642448-71-3 HCAPLUS

Search completed by David Schreiber x22526

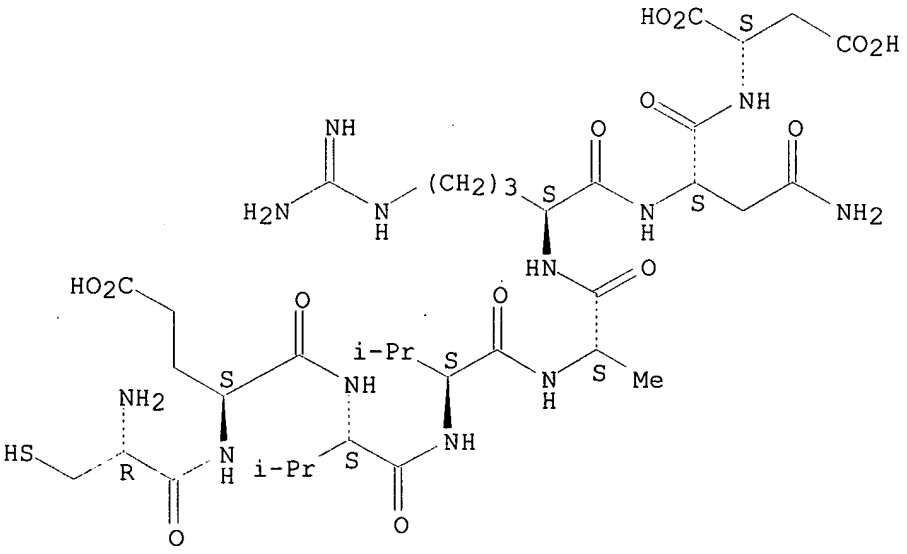
CN Glycine, L-cysteinyl-L-α-glutamyl-L-alanyl-L-arginyl-L-asparaginylglycyl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



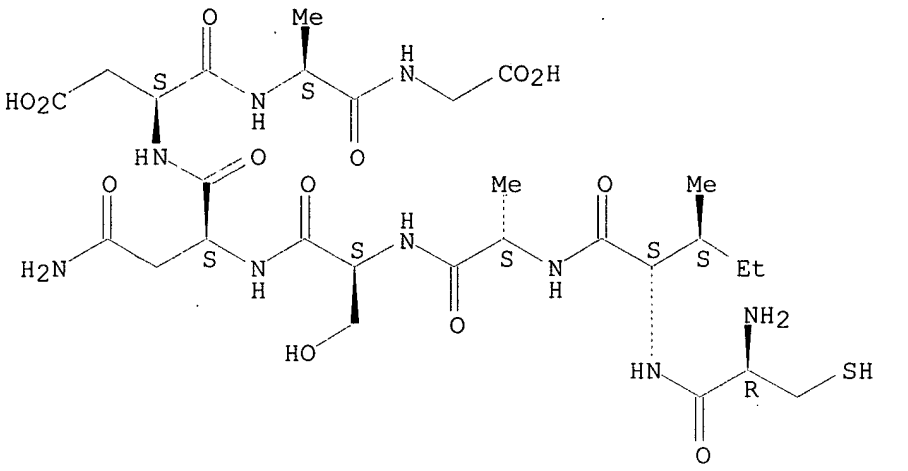
RN 642454-60-2 HCAPLUS
CN L-Aspartic acid, L-cysteinyl-L-α-glutamyl-L-valyl-L-valyl-L-alanyl-L-arginyl-L-asparaginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 642454-82-8 HCAPLUS
CN Glycine, L-cysteinyl-L-isoleucyl-L-alanyl-L-seryl-L-asparaginyl-L-α-aspartyl-L-alanyl- (9CI) (CA INDEX NAME)

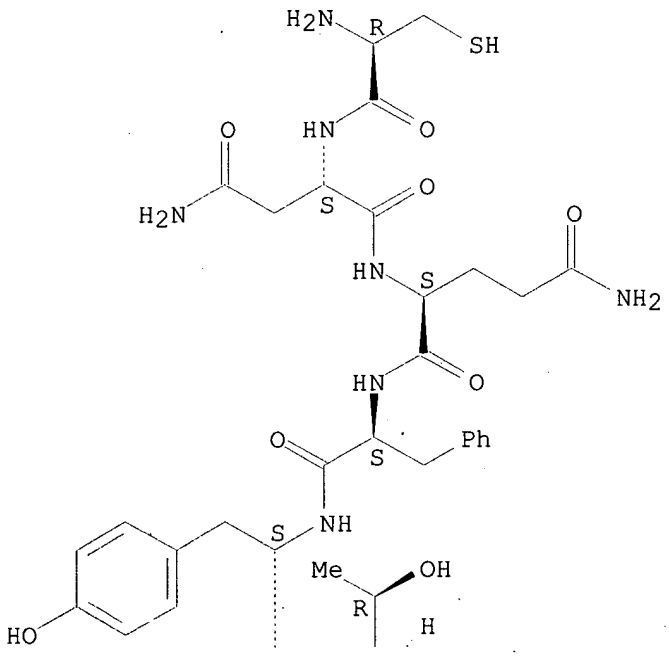
Absolute stereochemistry.



RN 642457-13-4 HCAPLUS
CN L-Threonine, L-cysteinyl-L-asparaginyl-L-glutaminyl-L-phenylalanyl-L-tyrosyl-L-threonyl-L-prolyl-L-alanyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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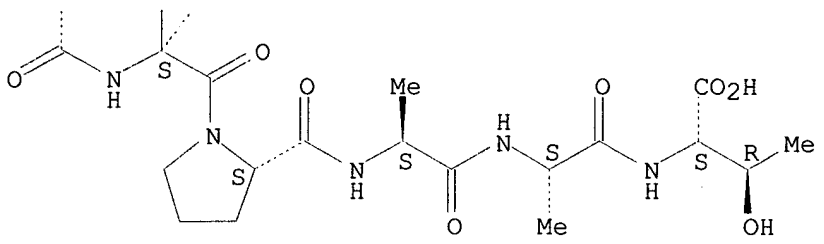


L48 ANSWER 3 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:17604 HCAPLUS
DOCUMENT NUMBER: 140:88657
TITLE: DNA complex of **cationized** derivatives of an artificial cell adhesion protein as gene transfer carrier
INVENTOR(S): Tabata, Yasuhiko; Kurokawa, Masato; Osumi, Tatsuya
PATENT ASSIGNEE(S): Bio Medical Center K. K., Japan; Sanyo Chemical Industries, Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004000070	A2	20040108	JP 2002-159904	20020531

PRIORITY APPLN. INFO.: JP 2002-159904 20020531

AB A complex comprising cell adhesion protein and genetic material for use in gene transfer, is disclosed. The cell adhesion protein or its fragment is modified with amino group or ammonio group. Such complexes greatly enhance the efficiency of transformation as well as safety. The objective of this study was to investigate the efficiency of a non-viral gene carrier with RGD sequences, Pronectin F+ for gene **transfection**. The Pronectin F+ was **cationized** by introducing ethylenediamine (Ed) to the hydroxyl groups while the corresponding gelatin derivative was prepared similarly because gelatin also has one RGD sequence per mol. The ζ potential and mol. size of Pronectin F+ and gelatin derivs. were examined before and after polyion complexation with a plasmid DNA of luciferase. When complexed with the plasmid DNA at the Pronectin F+/plasmid DNA mixing ratio of 50, the complex exhibited a ζ potential of about 10 mV, which was similar to that of the gelatin derivative-plasmid DNA complex. Irresp. of the type of Pronectin F+ and gelatin derivs., their complexation enabled the apparent mol. size of plasmid DNA to reduce to about 200 nm, the size decreasing with the increased derivative/plasmid DNA weight mixing ratio. The rat gastric mucosal (RGM)-1 cells treated with both complexes exhibited significantly stronger luciferase activities than free plasmid DNA although the enhanced extent was significant for the Sm derivative compared with the corresponding Ed and Sd derivs. Cell attachment was enhanced by the Pronectin F+ derivative to a significant high extent compared with the gelatin derivative The amount of plasmid DNA internalized into the cells was enhanced by the complexation with every Pronectin F+ derivative compared with the gelatin derivative For both

of Pronectin F+ and gelatin carriers, the buffering capacity of Sm derivs. was higher than that of Ed and Sd derivs. and comparable to that of polyethyleneimine. It is likely that the high efficiency of gene **transfection** for the Sm derivative is due to the superior buffering effect. We conclude that the Sm derivative of Pronectin F+ is promising as a non-viral vector of gene **transfection**.

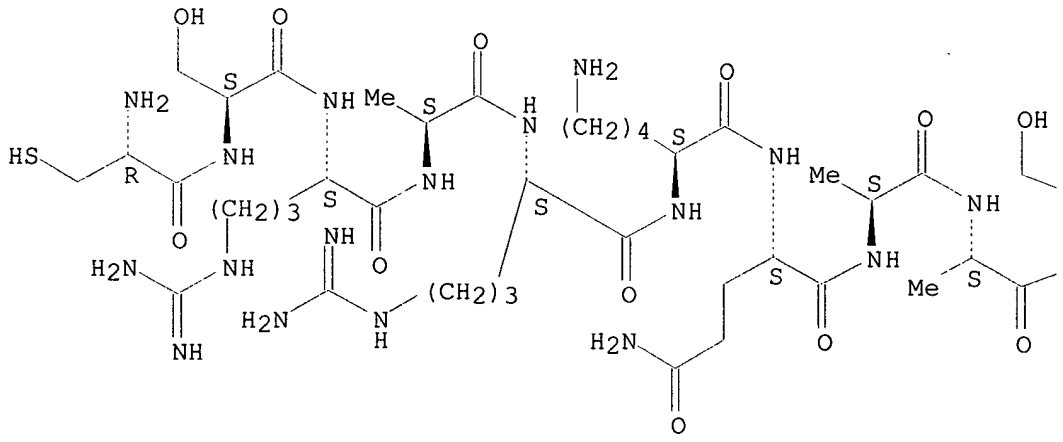
IT **123063-31-0**
RL: PRP (Properties)
(unclaimed protein sequence; dNA complex of **cationized** derivs. of an artificial cell adhesion protein as gene transfer carrier)

RN 123063-31-0 HCAPLUS

CN L-Arginine, L-cysteinyl-L-seryl-L-arginyl-L-alanyl-L-arginyl-L-lysyl-L-glutaminyl-L-alanyl-L-alanyl-L-seryl-L-isoleucyl-L-lysyl-L-valyl-L-alanyl-L-valyl-L-seryl-L-alanyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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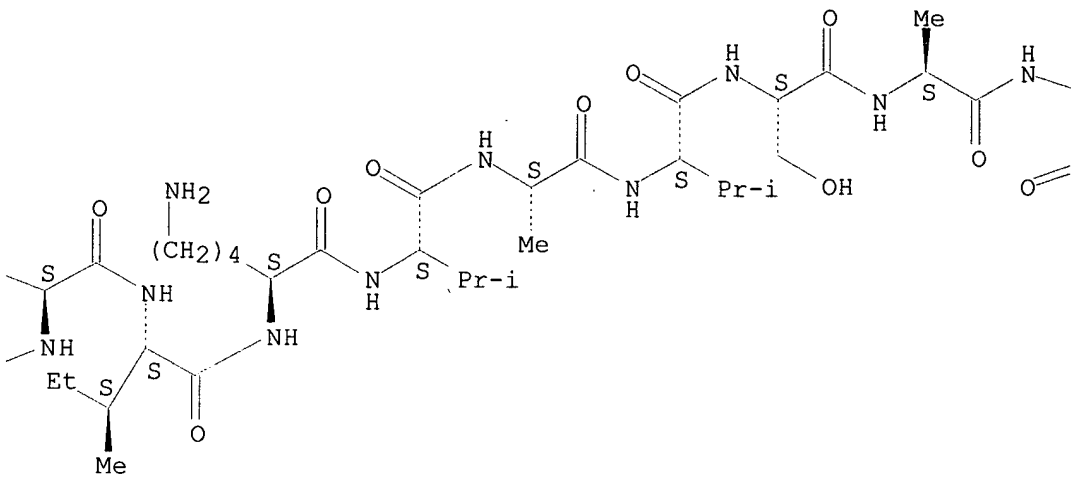

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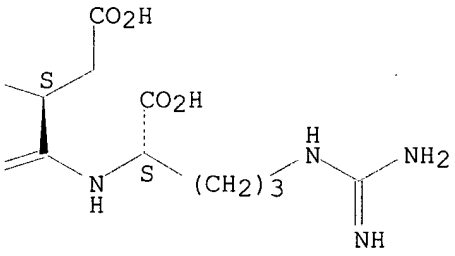


L48 ANSWER 4 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:1007116 HCAPLUS
DOCUMENT NUMBER: 140:54446
TITLE: Delivery of DNA to cells with DNA-**cationic** surfactant and DNA-**cationic** surfactant-amphipathic compound complexes
INVENTOR(S): Sean, Monahan; Nader, Lisa; Wolff, Jon A.; Budker, Vladimir G.; Hagstrom, James E.
PATENT ASSIGNEE(S): Mirus Corporation, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106636	A2	20031224	WO 2003-US18759	20030616
WO 2003106636	A3	20040304		

W: JP
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

Search completed by David Schreiber x22526

IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

US 2003235916 A1 20031225 US 2003-462138 20030616

PRIORITY APPLN. INFO.: US 2002-388685P P 20020614

US 2003-462138 A 20030616

AB A method for the delivery of a polynucleotide to a cell comprises forming a salt-stable complex between the polynucleotide and a **cationic** surfactant. Ternary complexes are also made by associating an amphipathic compound with the binary complex. The resultant complexes are suitable for delivery of the polynucleotide to cells in vitro and in vivo, i.e, for genetic transformation and for gene therapy. Thus, reaction products of chitosan with various lipids (oleic acid, lactobionic acid, cholic acid) were prepared Mixts. of a chitosan derivative, dodecylamine hydrochloride, and luciferase expression plasmid were prepared and injected into mice tail veins. Luciferase expression was observed in liver exts. An increased level of luciferase activity was found with the plasmid-chitosan derivative-dodecylamine hydrochloride complexes vs. the plasmid-chitosan derivative complexes.

IT **612806-95-8**

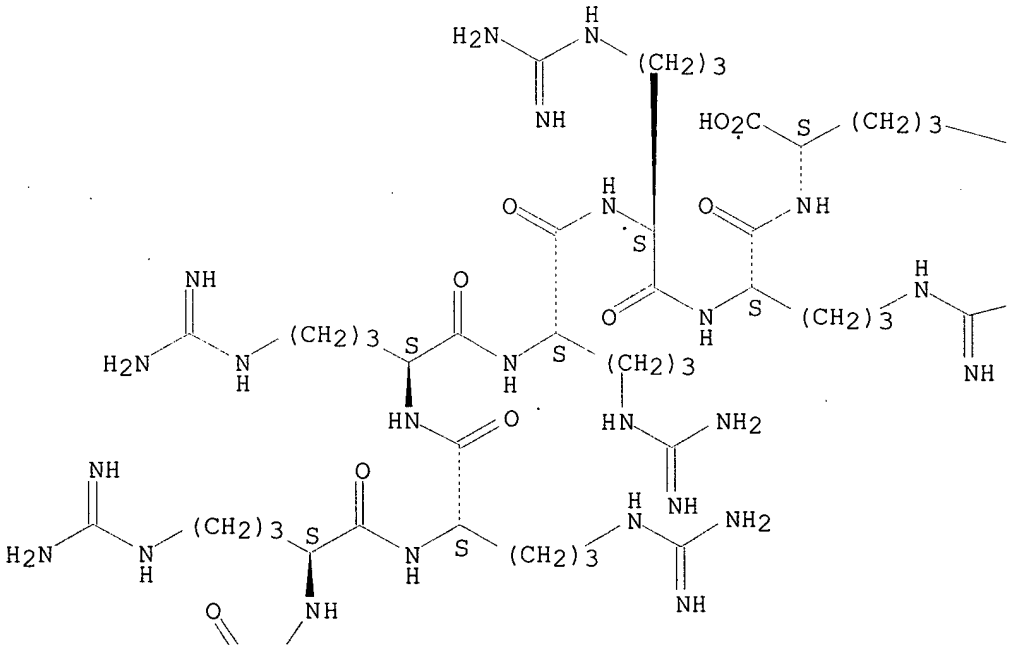
RL: RCT (Reactant); RACT (Reactant or reagent)
(delivery of DNA to cells with DNA-**cationic** surfactant and DNA-**cationic** surfactant-amphipathic compound complexes)

RN 612806-95-8 HCAPLUS

CN L-Arginine, L-cysteinyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

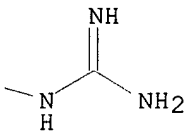
Absolute stereochemistry.

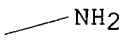
PAGE 1-A



Search completed by David Schreiber x22526

PAGE 1-B





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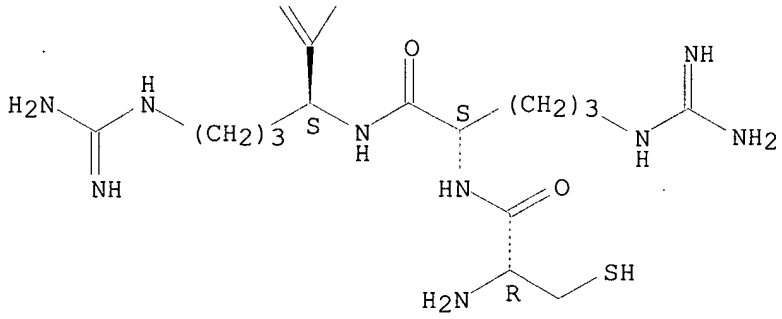


L48 ANSWER 5 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:837414 HCAPLUS
DOCUMENT NUMBER: 139:333083
TITLE: Method of identifying transmembrane protein-interacting compounds
INVENTOR(S): O'Dowd, Brian F.; George, Susan R.
PATENT ASSIGNEE(S): Can.
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087836	A1	20031023	WO 2003-CA542	20030411

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,

Search completed by David Schreiber x22526

NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-371704P P 20020412
US 2002-379419P P 20020513
US 2002-387570P P 20020612
US 2002-422891P P 20021101
US 2003-442556P P 20030127

AB The invention provides a method for screening a candidate compound for its ability to interact with at least one transmembrane protein comprising: transfecting a cell with at least one nucleotide sequence encoding a protein comprising a transmembrane protein containing at least one nuclear localization sequence (NLS) and a detectable moiety and permitting expression of the encoded protein in the cell; contacting the cell with a candidate compound; and determining the distribution of the expressed protein in the cell by detecting the distribution of the detectable moiety in the cell; wherein detection of an altered distribution of the detectable moiety in the cell relative to the distribution of the detectable moiety in a control cell not contacted with the candidate compound indicates that the compound interacts with the transmembrane protein. The invention provides a method for determining whether a first protein and a second protein are able to oligomerize comprising: transfecting a cell with a first nucleotide sequence encoding a first protein containing an NLS and a second nucleotide sequence encoding a second protein comprising a detectable moiety and permitting expression of the encoded first and second proteins in the cell; and determining the distribution of the detectable moiety in the cell; wherein detection of the detectable moiety in or adjacent to the nucleus of the cell or detection of a reduced level of the detectable moiety at the cell surface, relative to a control cell, indicates that the first and second proteins interact. Transmembrane proteins have been classified in several major classes, including G protein coupled receptors, transporters, tyrosine kinase receptors, cytokine receptors and LDL receptors.

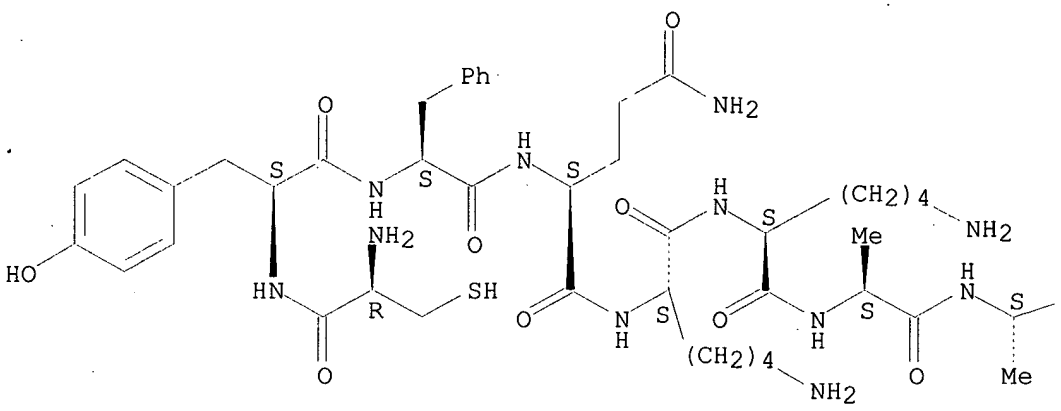
IT **616230-05-8**
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(nuclear localization sequence; determining interacting compds. and oligomerization of transmembrane proteins using **transfected** fusion proteins containing nuclear localization sequences and detectable moieties and determining nuclear localization)

RN 616230-05-8 HCAPLUS

CN L-Lysine, L-cysteinyl-L-tyrosyl-L-phenylalanyl-L-glutaminyl-L-lysyl-L-lysyl-L-alanyl-L-alanyl-L-asparaginyl-L-methionyl-L-leucyl-L-glutaminyl-L-glutaminyl-L-serylglycyl-L-seryl-L-lysyl-L-asparaginyl-L-threonylglycyl-L-alanyl-L-lysyl-L-lysyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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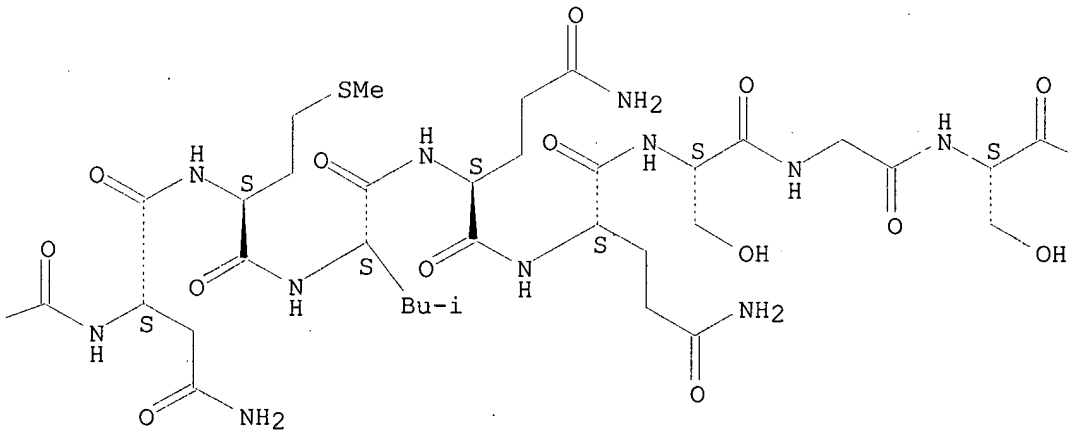
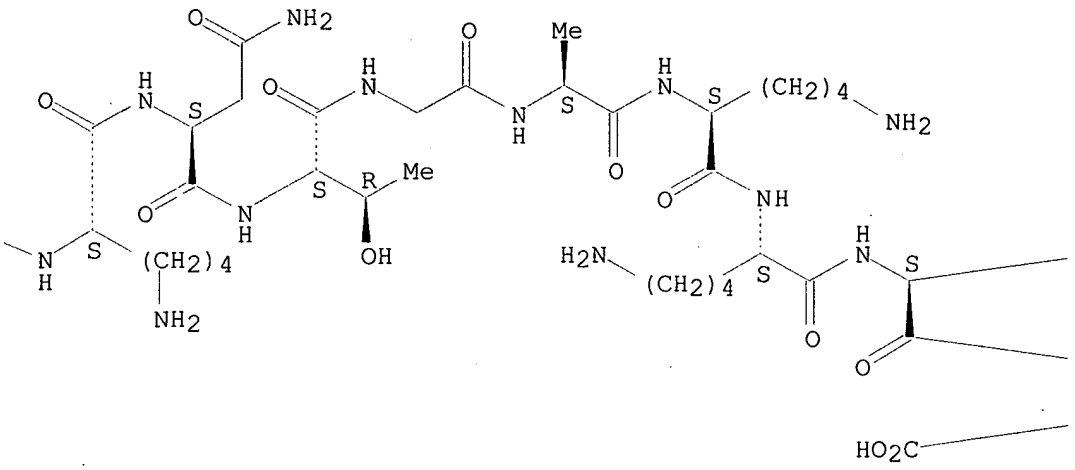


Search completed by David Schreiber x22526

PAGE 1-C



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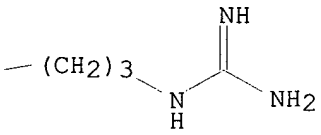
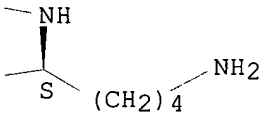




REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 6 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:836522 HCAPLUS
DOCUMENT NUMBER: 139:354456
TITLE: Compositions and methods for delivery of drugs and nucleic acids using pH sensitive molecules
INVENTOR(S): Monahan, Sean D.; Wolff, Jon A.; Hagstrom, James E.; Budker, Vladimir G.; Rozema, David B.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 47 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

Search completed by David Schreiber x22526

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003199090	A1	20031023	US 2002-83456	20020226

PRIORITY APPLN. INFO.: US 2002-83456 20020226

AB A system relating to the delivery of desired compds. (e.g., drugs and nucleic acids) into cells using pH-sensitive delivery systems is presented. The system provides compns. and methods for the delivery and release of a compound to a cell. **Transfection** of Hela cells with histone H1 and the membrane active peptide melittin, dimethylmaleic-modified melittin or succinic anhydride-modified melittin was carried out. The 2,3-dimethylmaleic modification of melittin allowed the peptide to complex with the **cationic** protein histone H1 and then cleave to release and reactivate in the lowered pH encountered by the complex in the cellular endosomal compartment. This caused a significant increase in luciferase expression over either unmodified melittin peptide or melittin peptide modified with succinic anhydride which allows complexing with histone H1 but does not cleave in lowered pH. Further, hemolytic activity of the **transfection** compds. was evaluated.

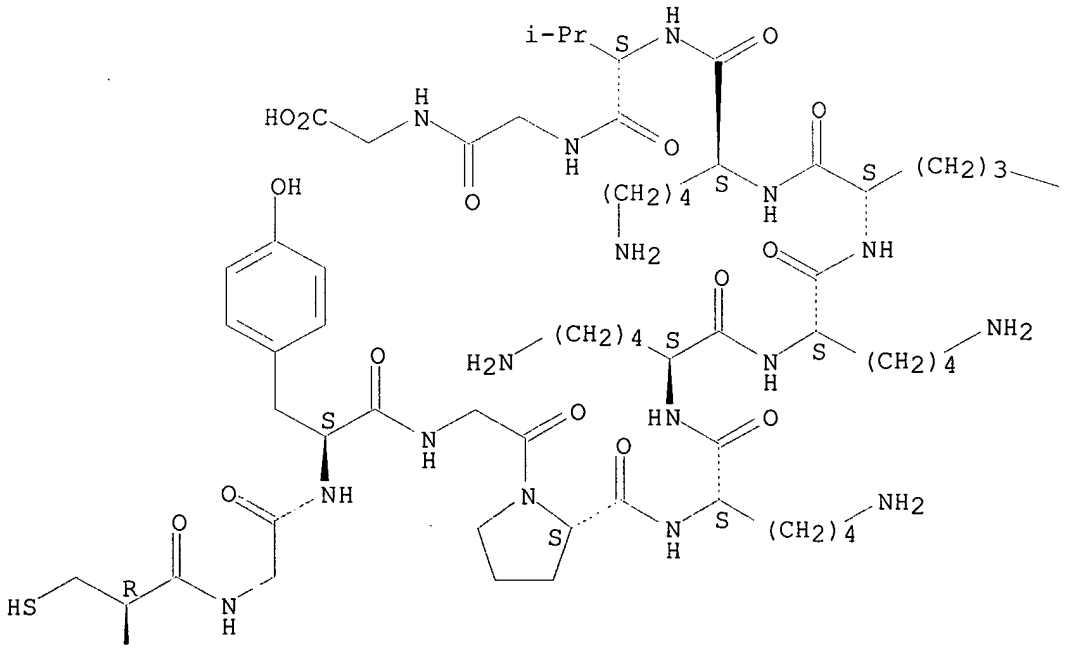
IT **104914-40-1 285131-20-6 285131-22-8**
285131-23-9 285131-24-0 616894-31-6
RL: PRP (Properties)
(unclaimed sequence; compns. and methods for delivery of drugs and nucleic acids using pH sensitive mols.)

RN 104914-40-1 HCAPLUS

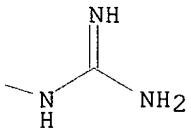
CN Glycine, L-cysteinylglycyl-L-tyrosylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

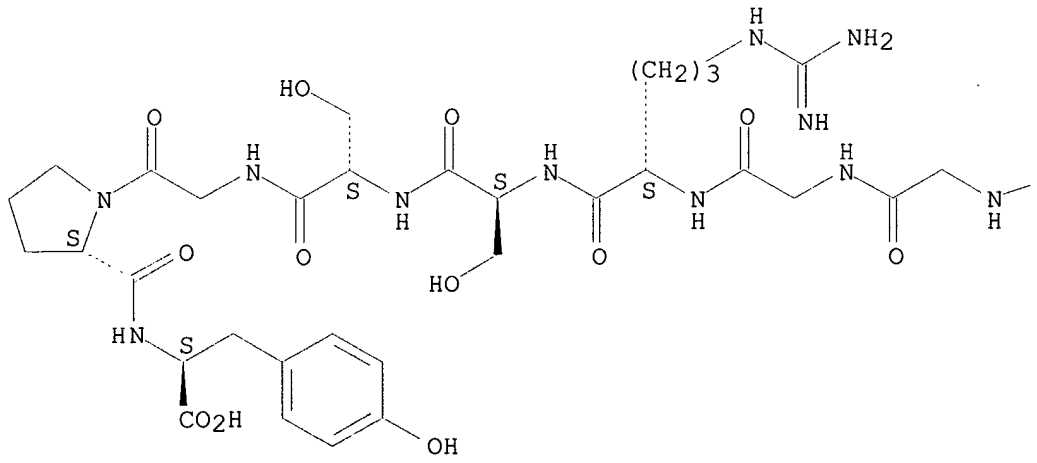


PAGE 2-A

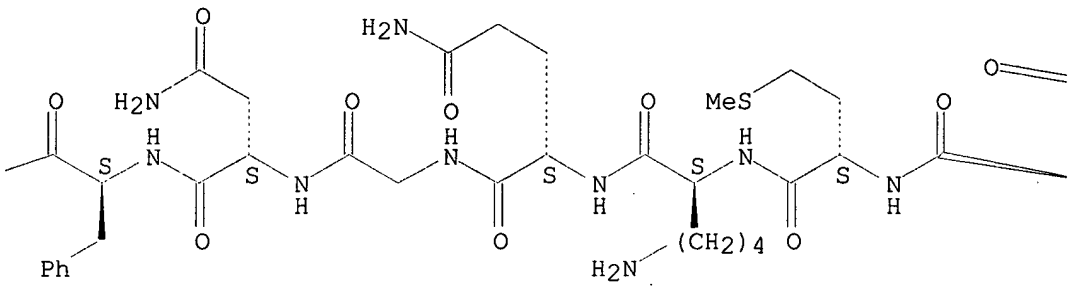
RN 285131-20-6 HCAPLUS
CN L-Tyrosine, L-cysteinyl-L-tyrosyl-L-asparaginyl-L-α-aspartyl-L-phenylalanylglycyl-L-asparaginyl-L-tyrosyl-L-asparaginyl-L-asparaginyl-L-glutaminyl-L-seryl-L-seryl-L-asparaginyl-L-phenylalanylglycyl-L-prolyl-L-methionyl-L-lysyl-L-glutaminylglycyl-L-asparaginyl-L-phenylalanylglycylglycyl-L-arginyl-L-seryl-L-serylglycyl-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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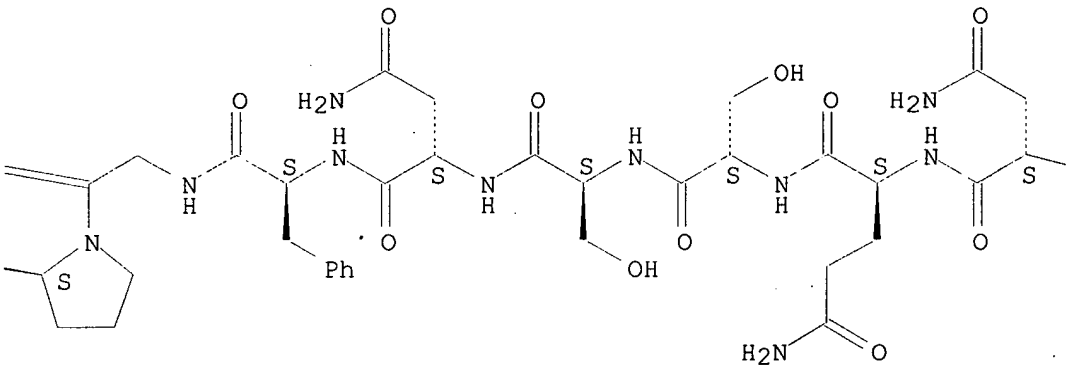

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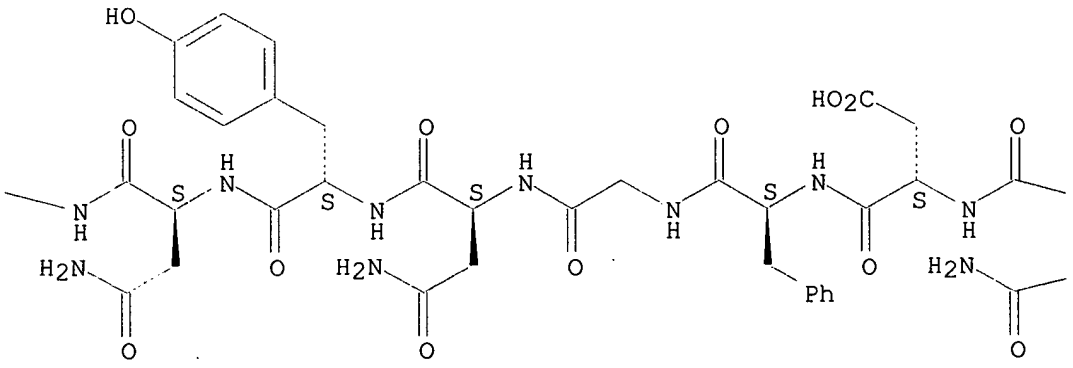
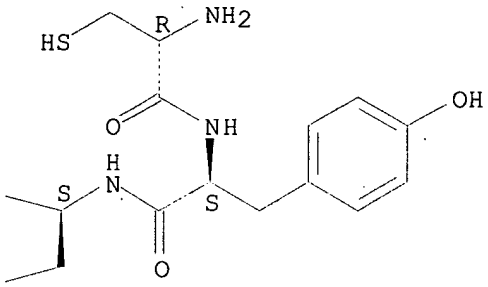


Search completed by David Schreiber x22526

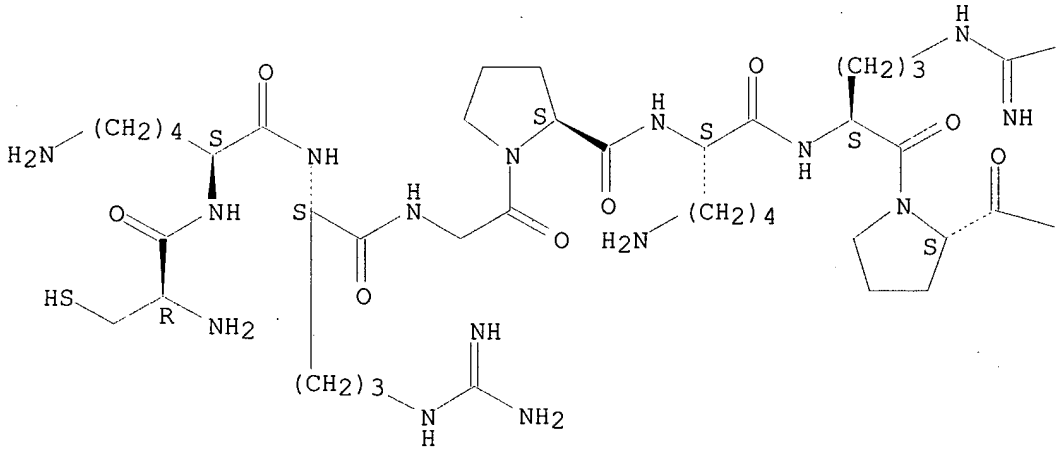
PAGE 1-E



RN 285131-22-8 HCAPLUS
CN L-Proline, L-cysteinyl-L-lysyl-L-arginylglycyl-L-prolyl-L-lysyl-L-arginyl-L-prolyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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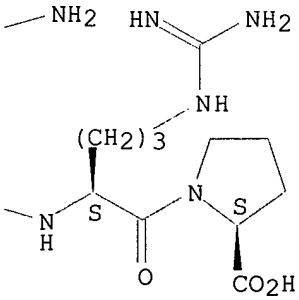
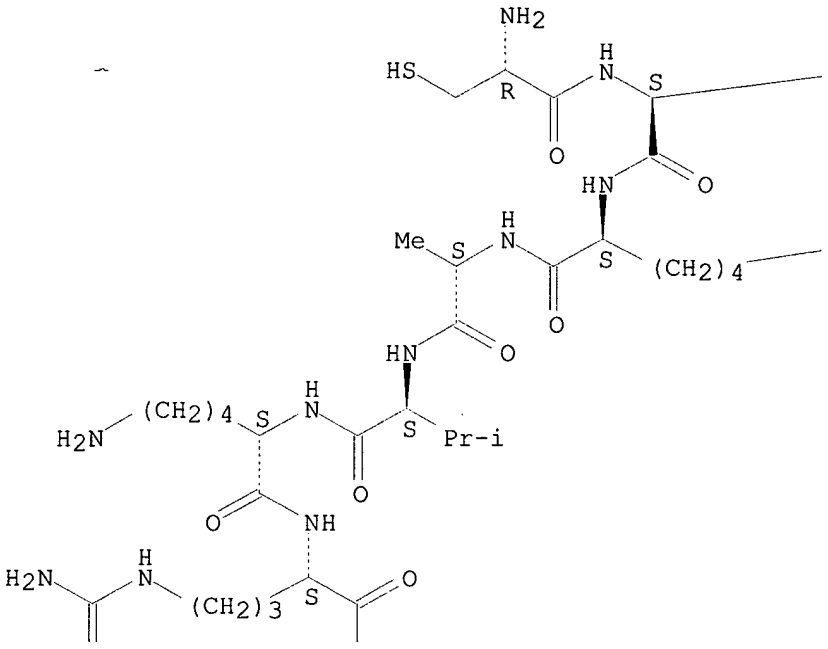


Search completed by David Schreiber x22526

RN 285131-23-9 HCAPLUS
CN L-Leucine, L-cysteinyl-L-lysyl-L-lysyl-L-alanyl-L-valyl-L-lysyl-L-arginyl-L-prolyl-L-alanyl-L-alanyl-L-threonyl-L-lysyl-L-lysyl-L-alanylglycyl-L-glutaminyl-L-alanyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

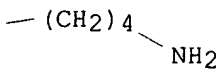
Absolute stereochemistry.

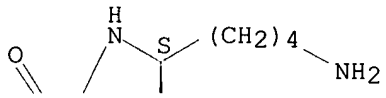
PAGE 1-A



Search completed by David Schreiber x22526

PAGE 1-B





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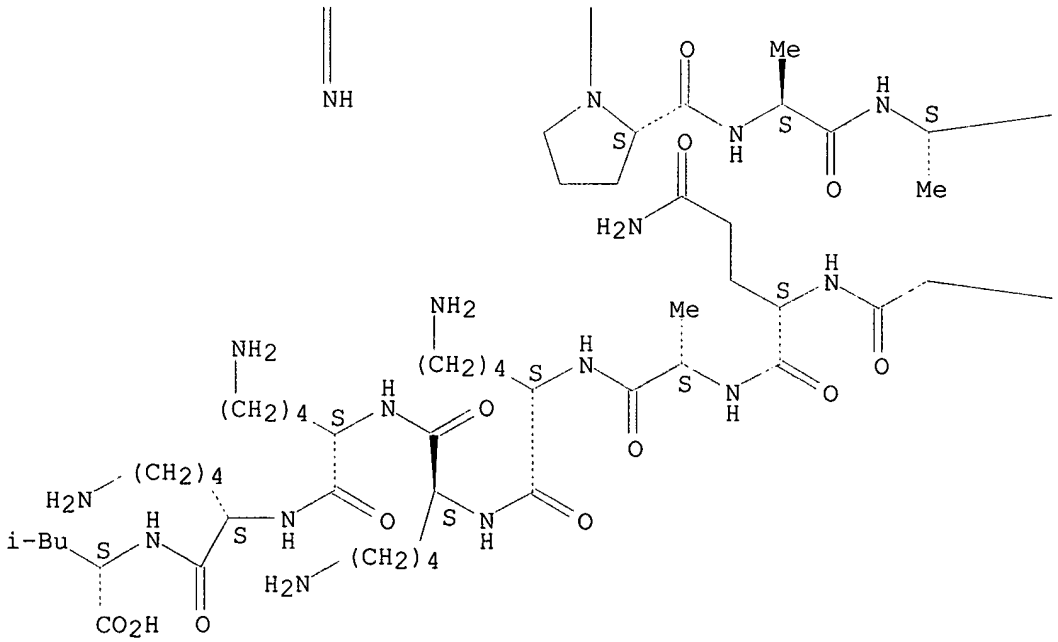

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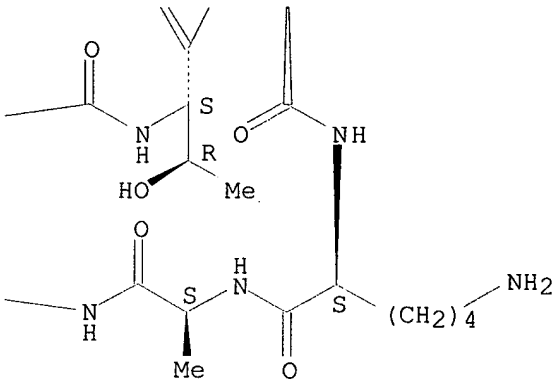
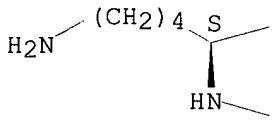
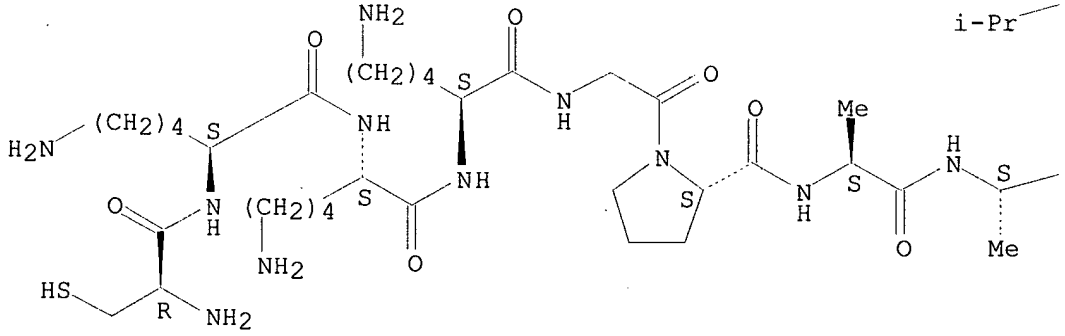


RN 285131-24-0 HCAPLUS
CN L-Aspartic acid, L-cysteinyl-L-lysyl-L-lysyl-L-lysylglycyl-L-prolyl-L-alanyl-L-alanyl-L-lysyl-L-arginyl-L-valyl-L-lysyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

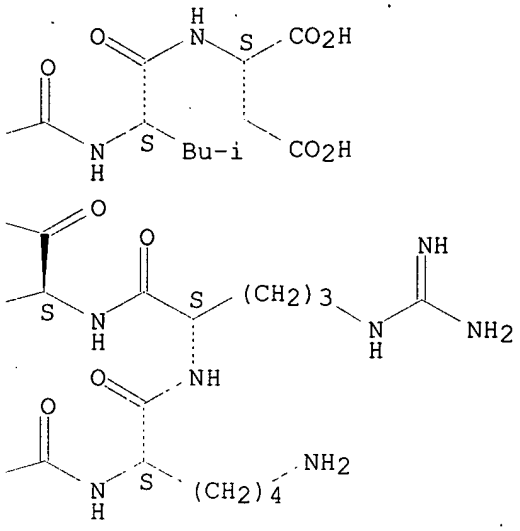
PAGE 1-A





Search completed by David Schreiber x22526

PAGE 1-B



RN 616894-31-6 HCAPLUS
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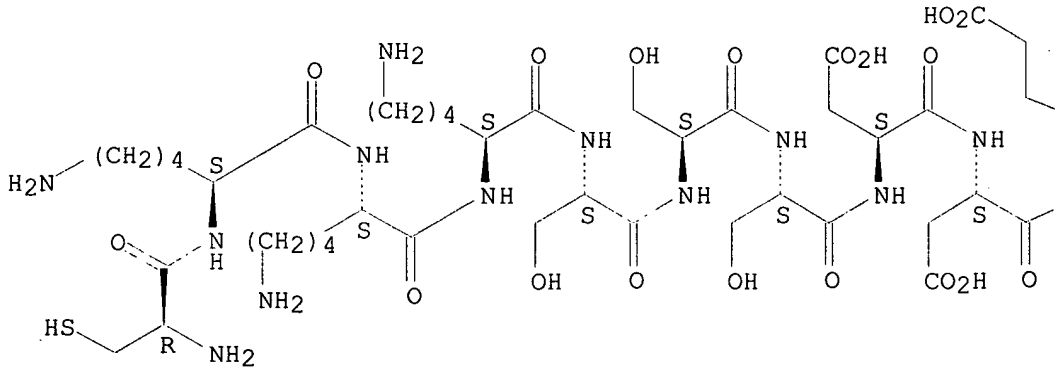
Absolute stereochemistry.

PAGE 1-A



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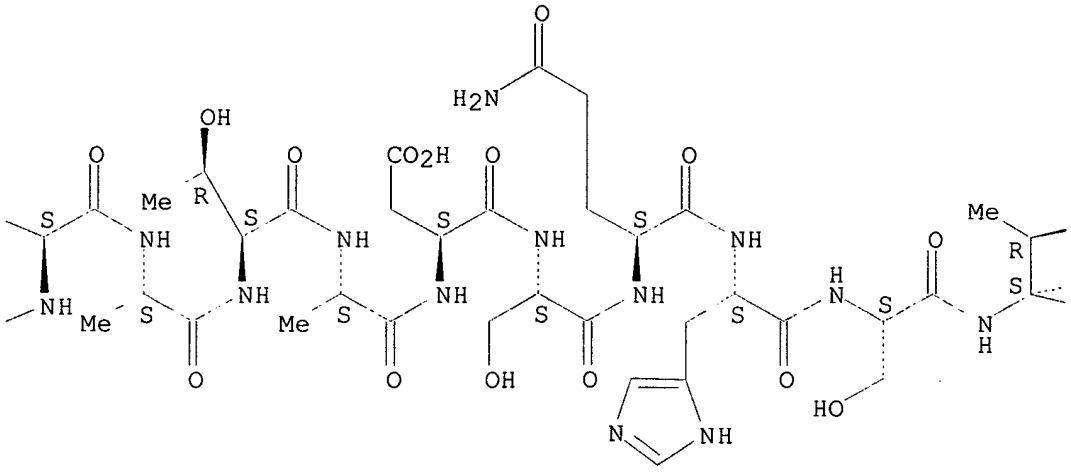

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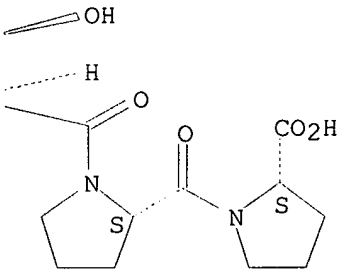


L48 ANSWER 7 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2003:713479 HCAPLUS
DOCUMENT NUMBER:	140:258825
TITLE:	Ultrastructural analysis of DNA complexes during transfection and intracellular transport
AUTHOR(S):	Cartier, Regis; Velinova, Maria; Lehman, Cathleen; Erdmann, Bettina; Reszka, Regina
CORPORATE SOURCE:	Max-Delbrueck Center for Molecular Medicine, Berlin, Germany
SOURCE:	Journal of Histochemistry and Cytochemistry (2003), 51(9), 1237-1240 CODEN: JHCYAS; ISSN: 0022-1554
PUBLISHER:	Histochemical Society, Inc.
DOCUMENT TYPE:	Journal

Search completed by David Schreiber x22526

LANGUAGE: English

AB A simple method based on transmission electron microscopy is presented that allows investigation of the early steps of polyplex-mediated transfection without the use of labeled DNA. The ultrastructural anal. showed internalization of 0.2-1 μm aggregates composed of 30-50 nm subunits. In addition, new details of the internalization process were revealed, suggesting an unspecific cell entry mechanism of large DNA aggregates.

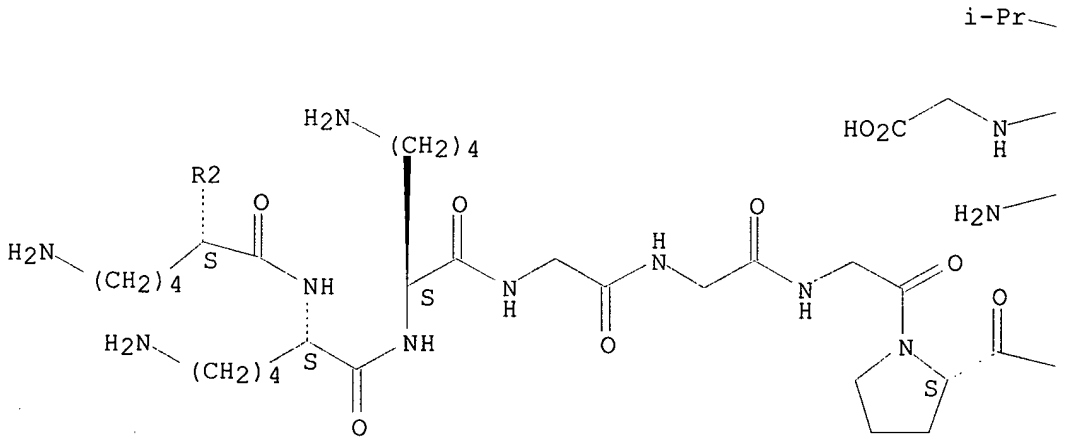
IT **433714-74-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ultrastructural anal. of DNA complexes during **transfection** and intracellular transport)

RN 433714-74-0 HCAPLUS

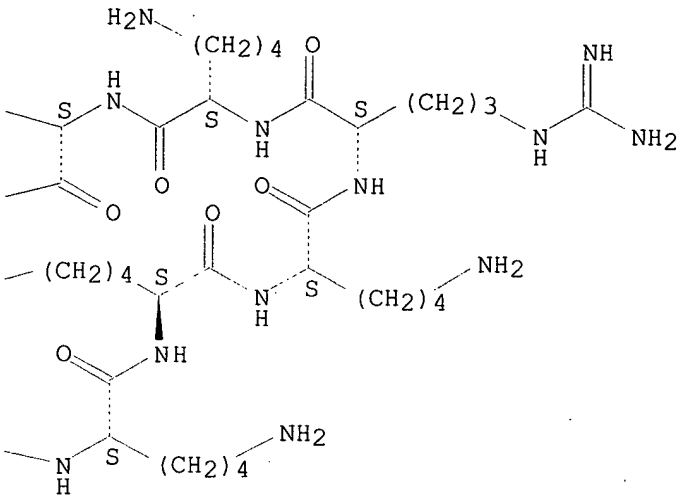
CN Glycine, L-cysteinyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysylglycylglycylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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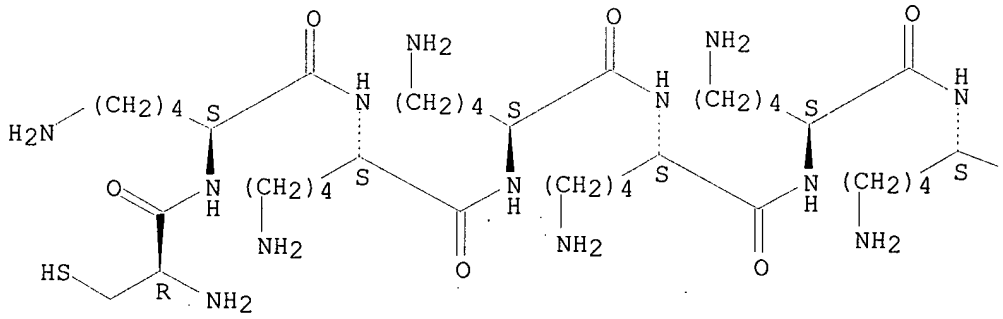

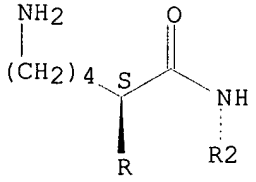

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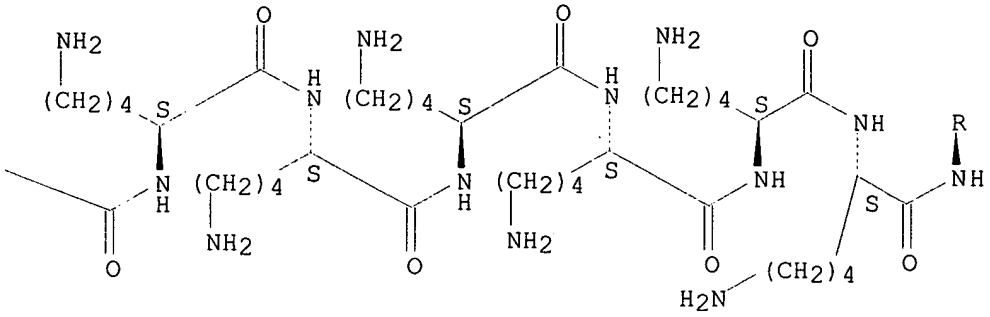

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L48 ANSWER 8 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:623491 HCAPLUS
DOCUMENT NUMBER: 140:344705
TITLE: Gene Transfer via reversible plasmid condensation with cysteine-flanked, internally spaced arginine-rich peptides
AUTHOR(S): Siprashvili, Zurab
CORPORATE SOURCE: VA Palo Alto Healthcare System, Palo Alto, CA, 94305, USA
SOURCE: Human Gene Therapy (2003), 14(13), 1225-1233
CODEN: HGTHE3; ISSN: 1043-0342
PUBLISHER: Mary Ann Liebert, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Nonviral gene transfer offers biosafety, stability and expense advantages over viruses; however, it suffered from poor efficiency. Because arginine-rich peptides facilitate uptake of macromols. such as proteins, liposomes, and iron nanoparticles, we explored their potential in enhancing plasmid DNA delivery. In their unmodified form, known protein transduction sequences, including hepta-arginine and Tat47-57, failed to support effective gene delivery. However, by flanking a core of consecutive arginines with amino- and carboxy-terminal cysteines in vitro gene transfer was observed Furthermore, interspersing arginines with glycine and histidine residues achieved reversible plasmid condensation and dramatically increased **transfection** levels in a variety of cell types. Unlike most available **cationic** homopolymers that function only in vitro, these new peptides also increased gene expression in both murine and human tissue in vivo. Thus, cysteine-flanked, internally spaced arginine-rich (CFIS-R) peptides represent a new approach to efficient nonviral plasmid delivery using rationally designed protein transduction domains.

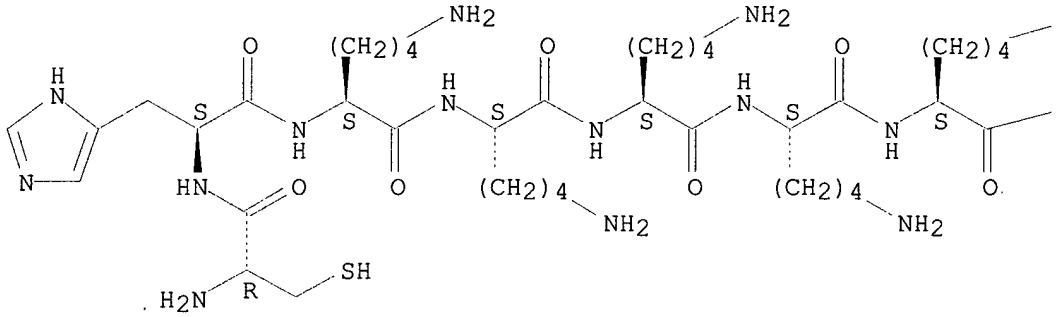
IT **319908-44-6DP**, complexes with DNA **680184-62-7DP**, complexes with DNA **680184-63-8DP**, complexes with DNA **680184-64-9DP**, complexes with DNA **680184-65-0DP**, complexes with DNA **680184-66-1DP**, complexes with DNA **680184-67-2DP**, complexes with DNA **680184-68-3DP**, complexes with DNA **680184-69-4DP**, complexes with DNA **680184-70-7DP**, complexes with DNA **680184-71-8DP**, complexes with DNA **680184-72-9DP**, complexes with DNA **680184-73-0DP**, complexes with DNA **680184-74-1DP**,

complexes with DNA **680184-75-2DP**, complexes with DNA **680184-76-3DP**, complexes with DNA **680184-77-4DP**, complexes with DNA **680184-78-5DP**, complexes with DNA **680184-79-6DP**, complexes with DNA
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(gene transfer via reversible plasmid condensation with cysteine-flanked, internally spaced arginine-rich peptides)

RN 319908-44-6 HCAPLUS
CN L-Cysteine, L-cysteinyl-L-histidyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-histidyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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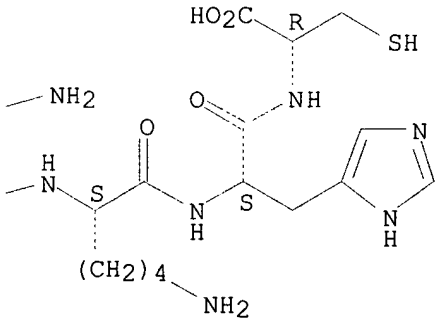

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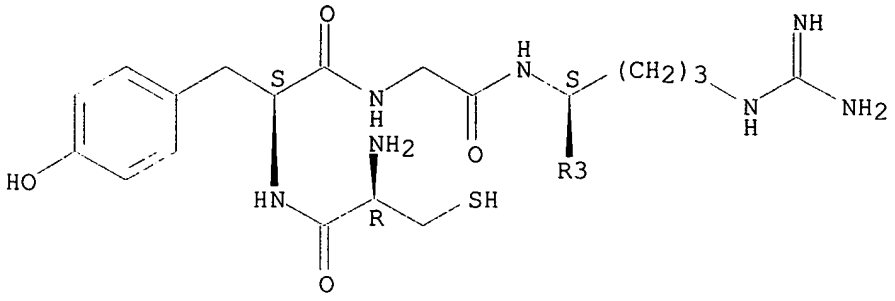
Absolute stereochemistry.

PAGE 1-A



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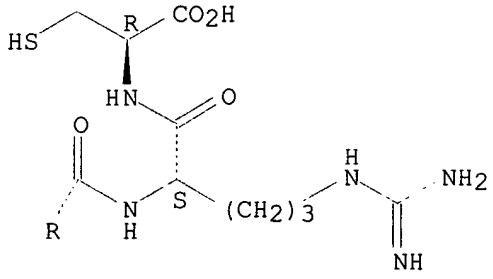

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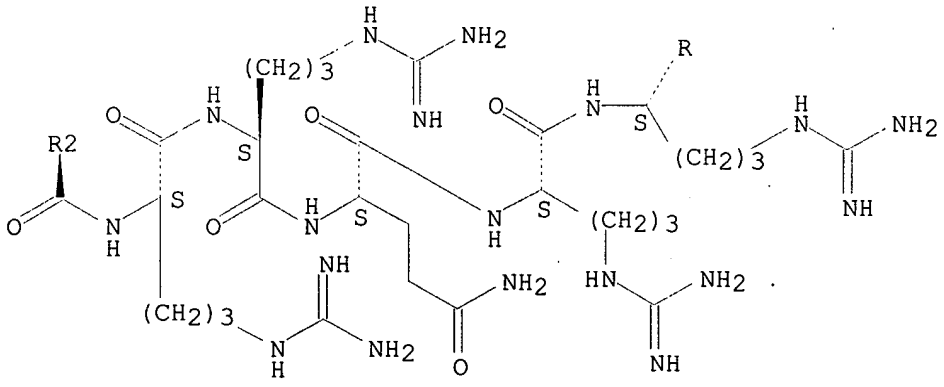

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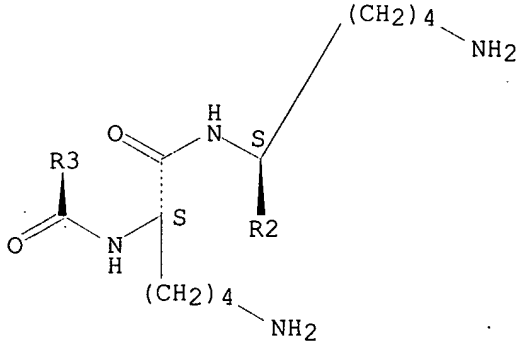

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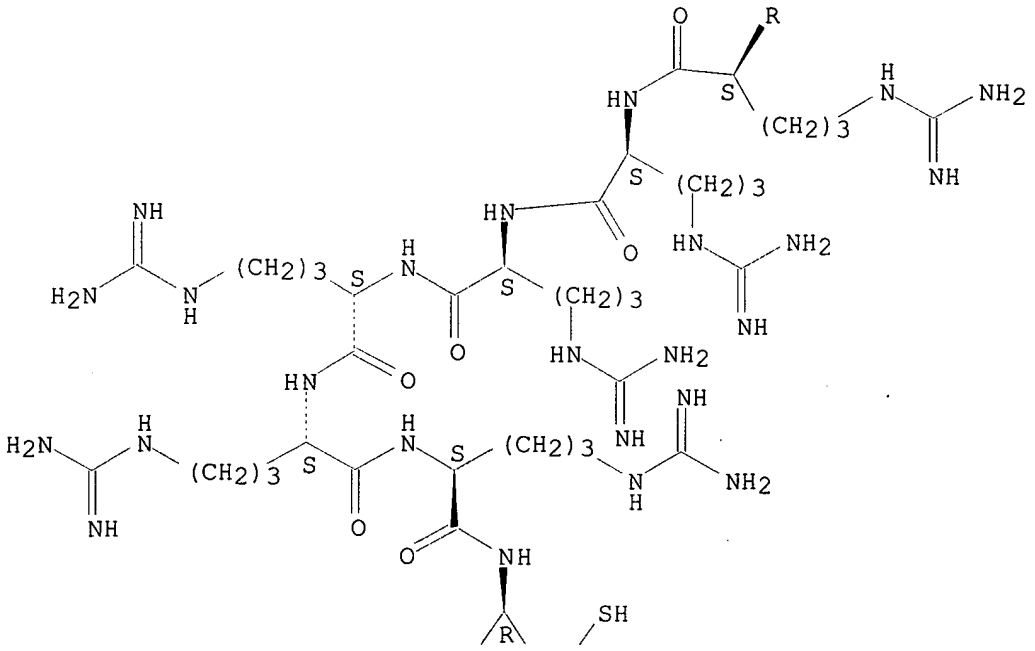
Absolute stereochemistry.

PAGE 1-A



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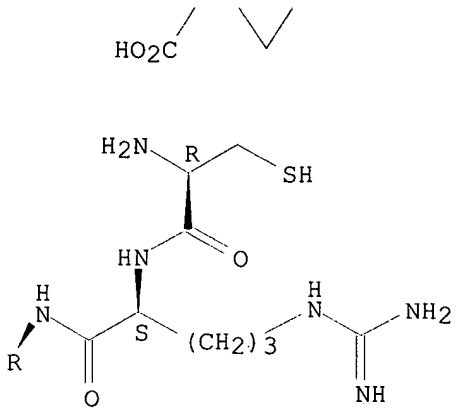

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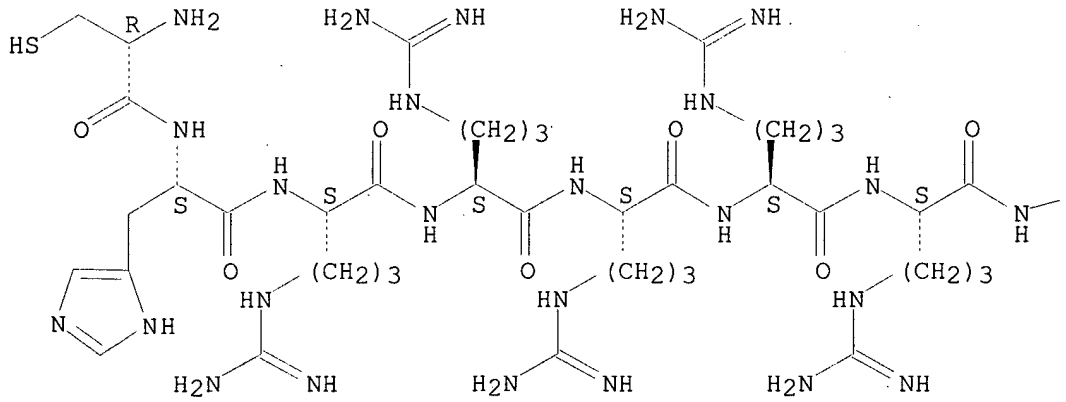
Absolute stereochemistry.

PAGE 1-A



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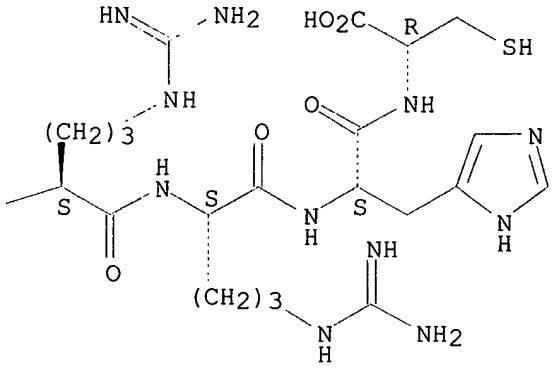

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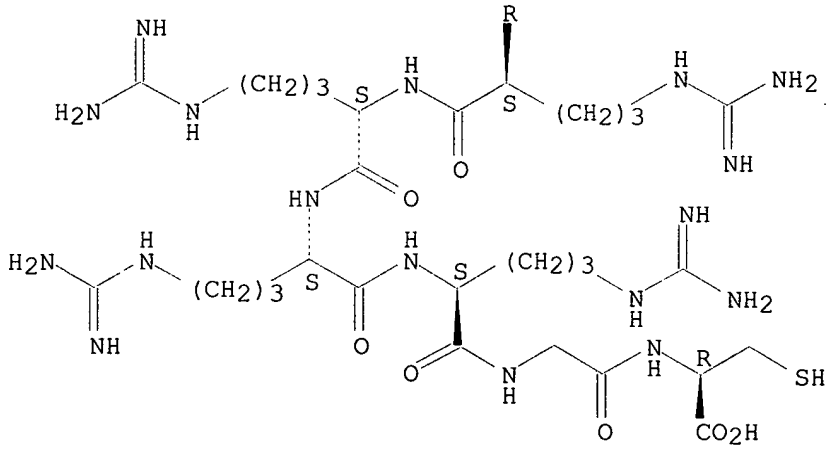
Absolute stereochemistry.

PAGE 1-A



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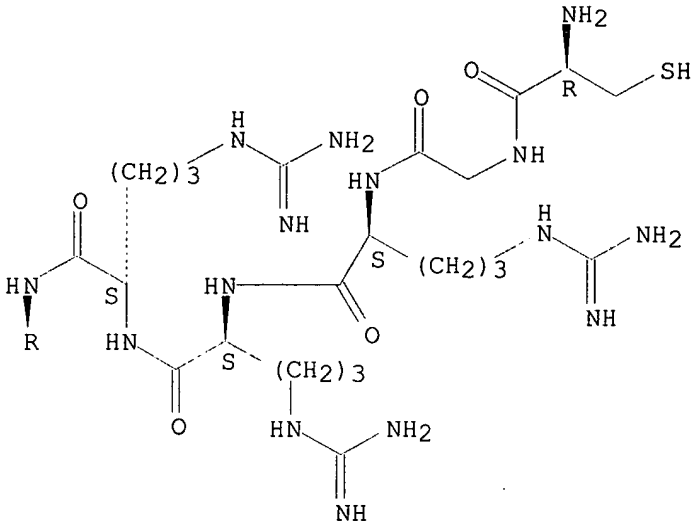

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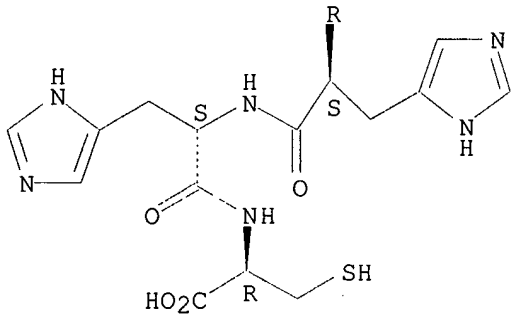
Absolute stereochemistry.

PAGE 1-A



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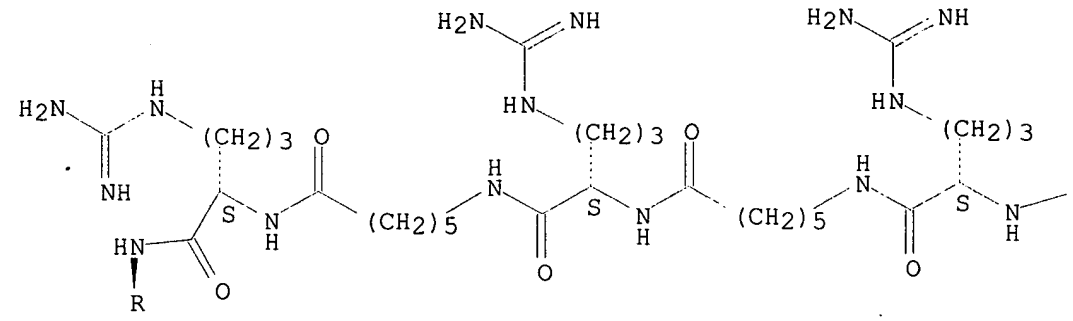

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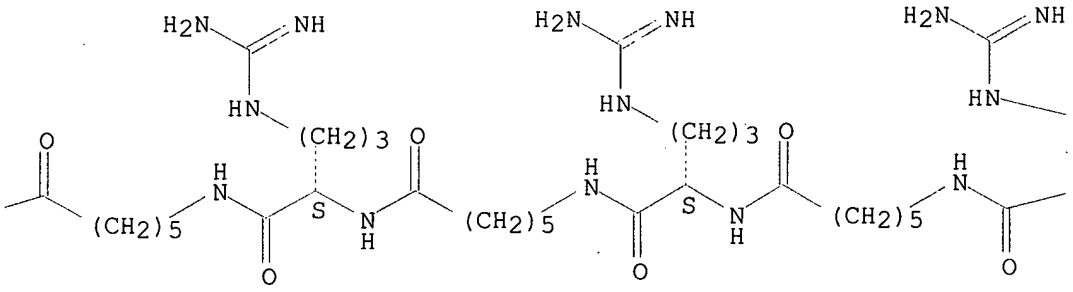

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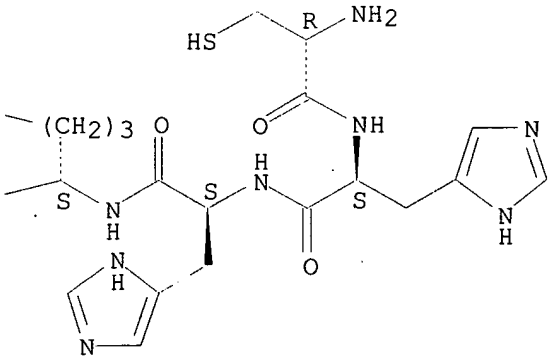

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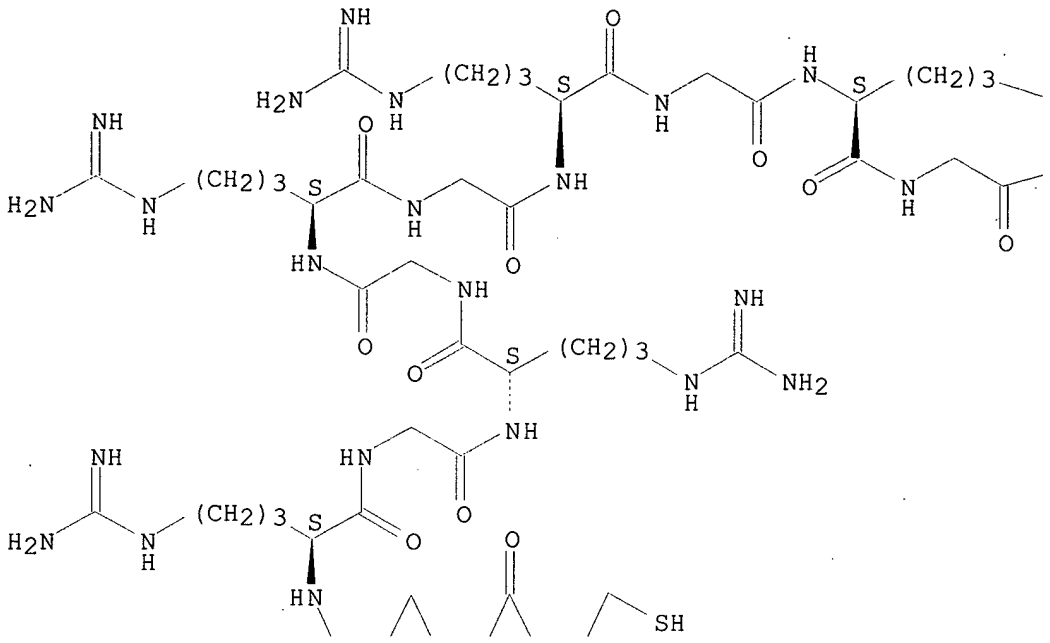
Absolute stereochemistry.

PAGE 1-A



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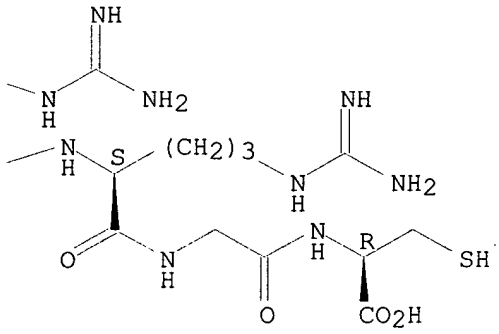

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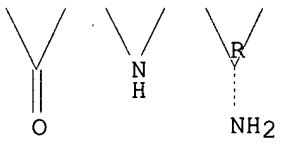

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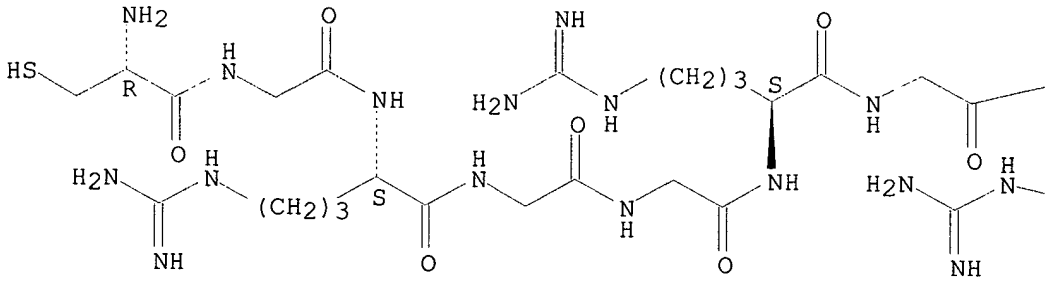
Search completed by David Schreiber x22526

arginylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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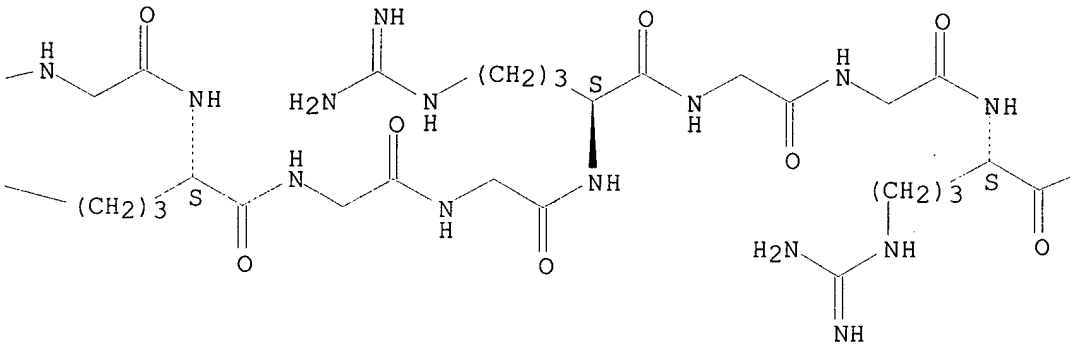

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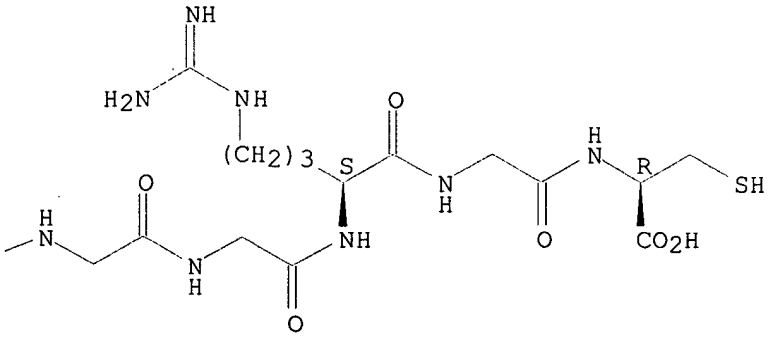

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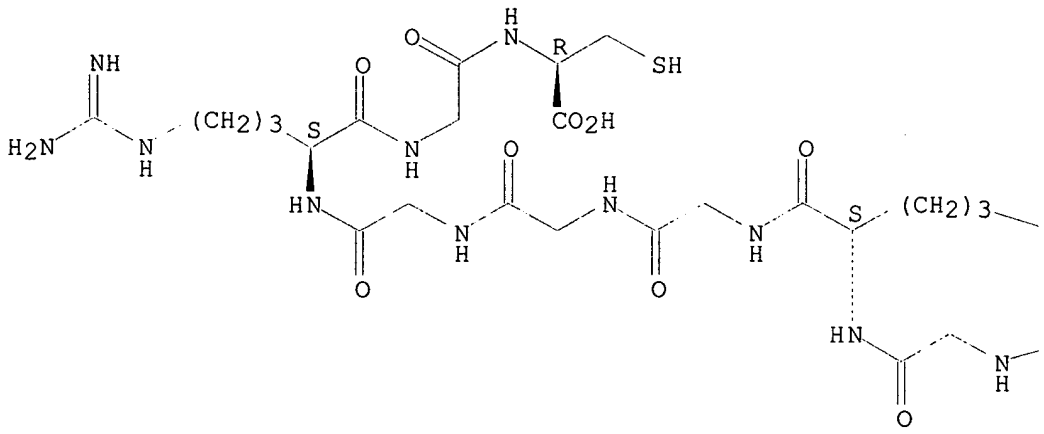
Absolute stereochemistry.

PAGE 1-A



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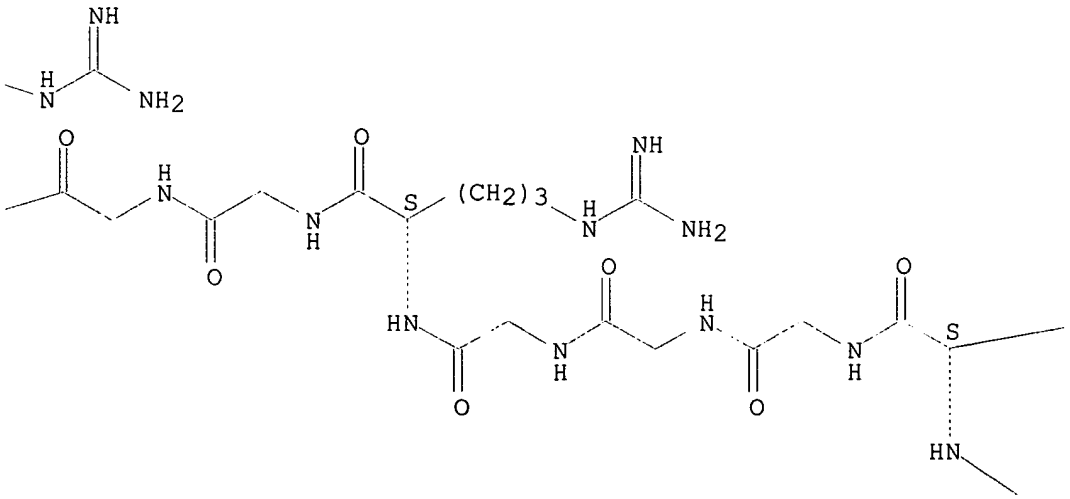

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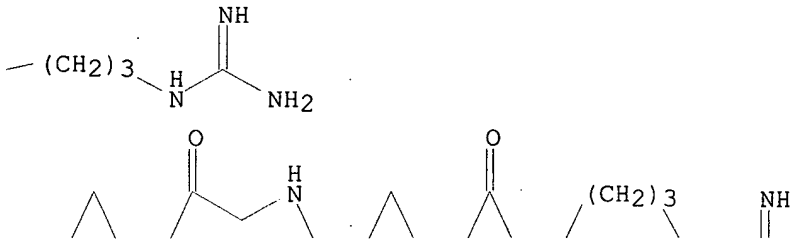

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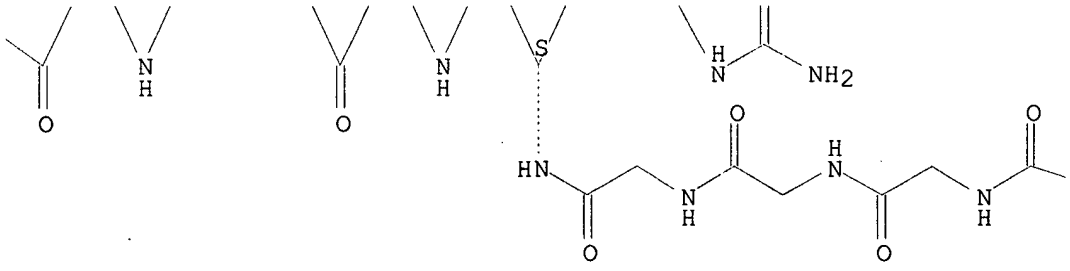

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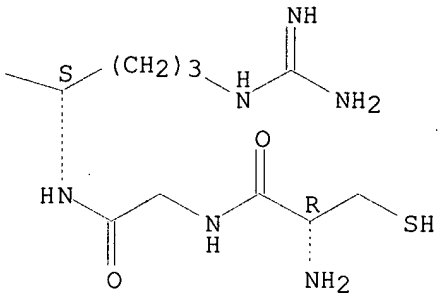

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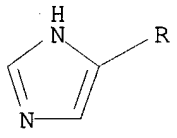
Absolute stereochemistry.

PAGE 1-A



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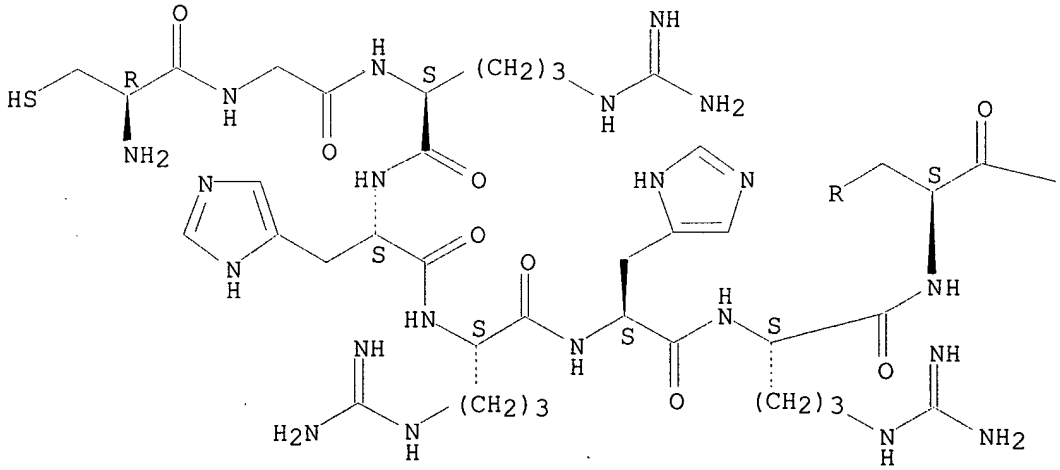

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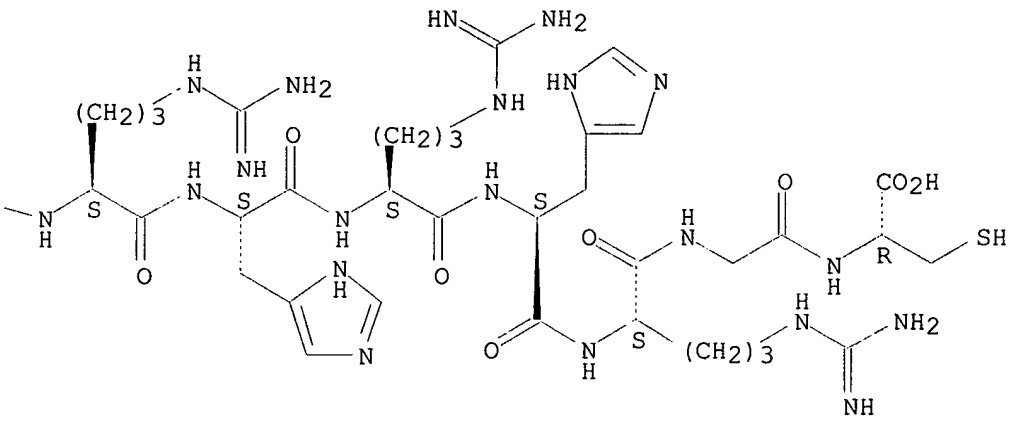

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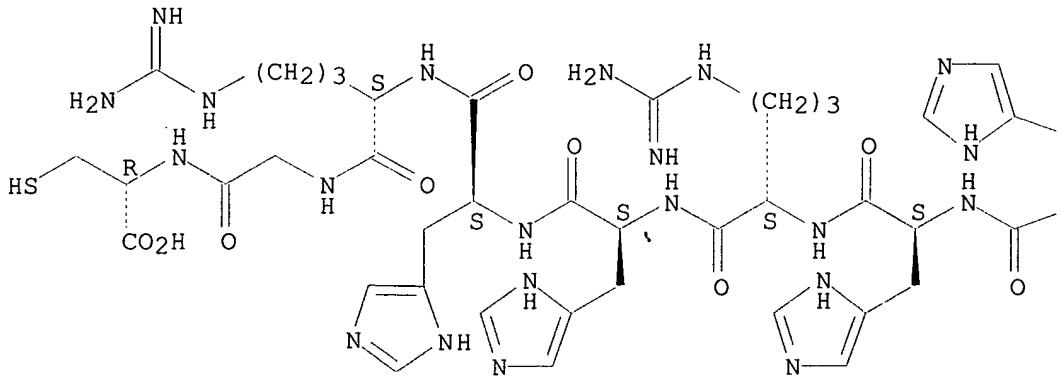
Absolute stereochemistry.

PAGE 1-A



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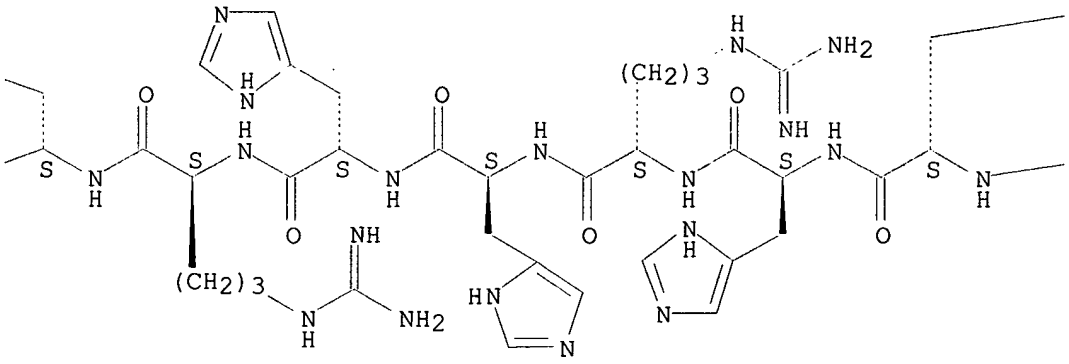

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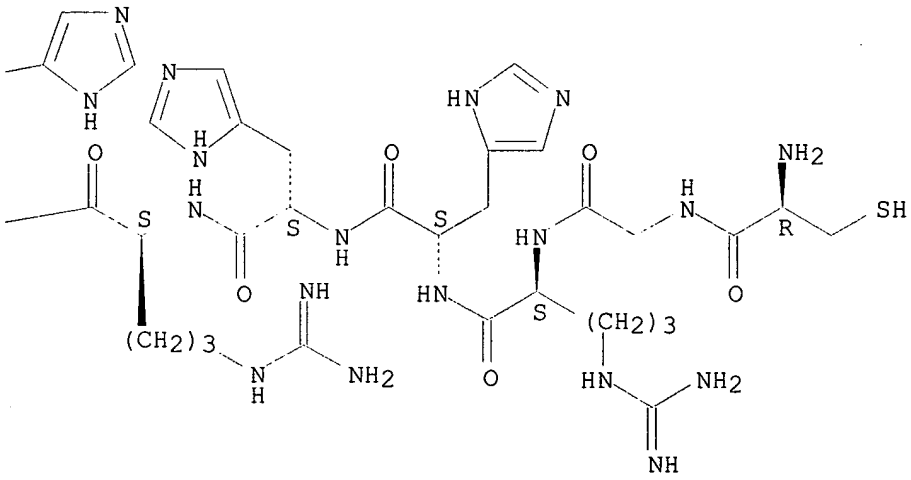

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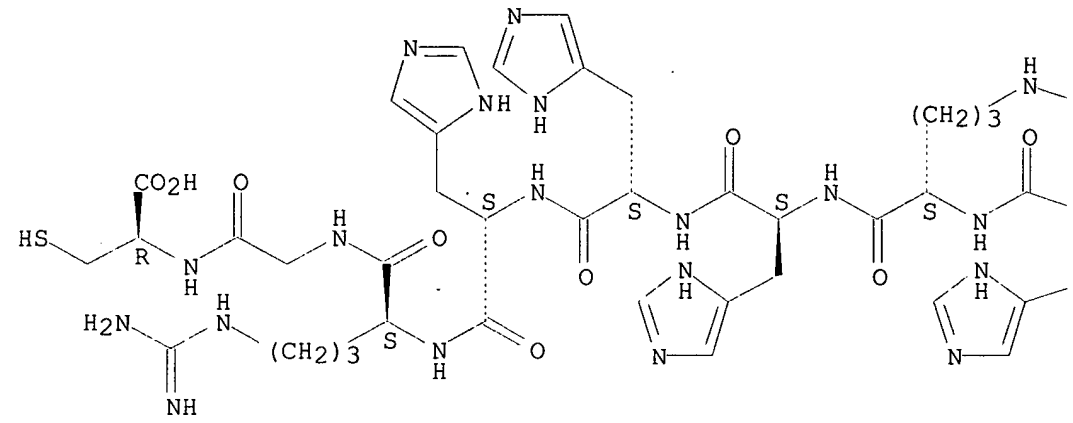
Absolute stereochemistry.

Search completed by David Schreiber x22526

PAGE 1-A



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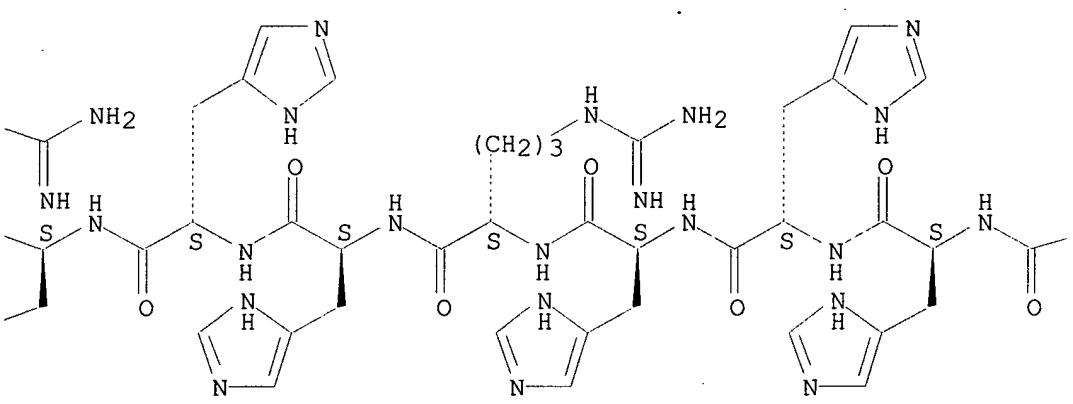

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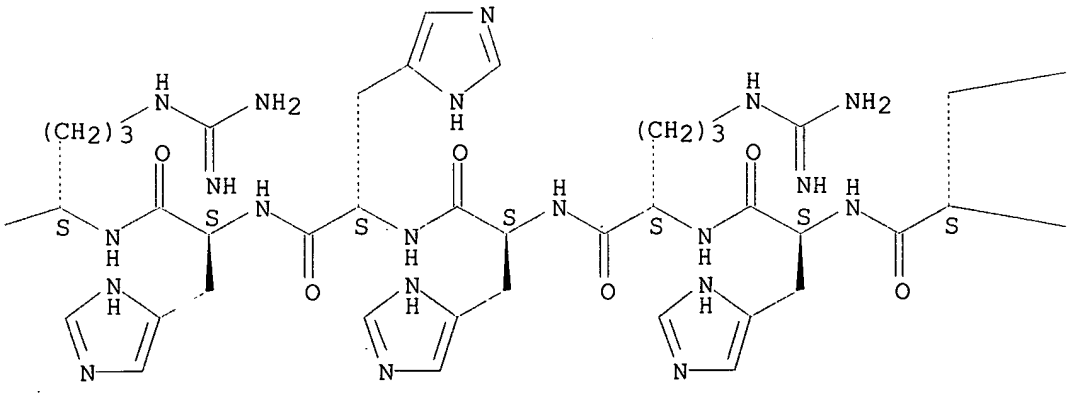
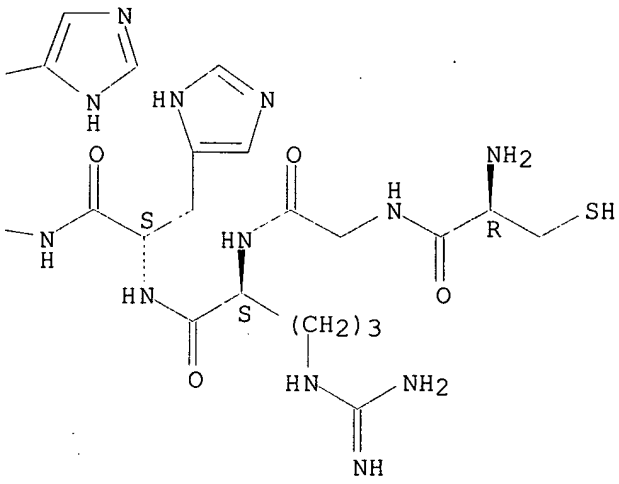


Search completed by David Schreiber x22526

PAGE 1-D



RN 680184-73-0 HCAPLUS
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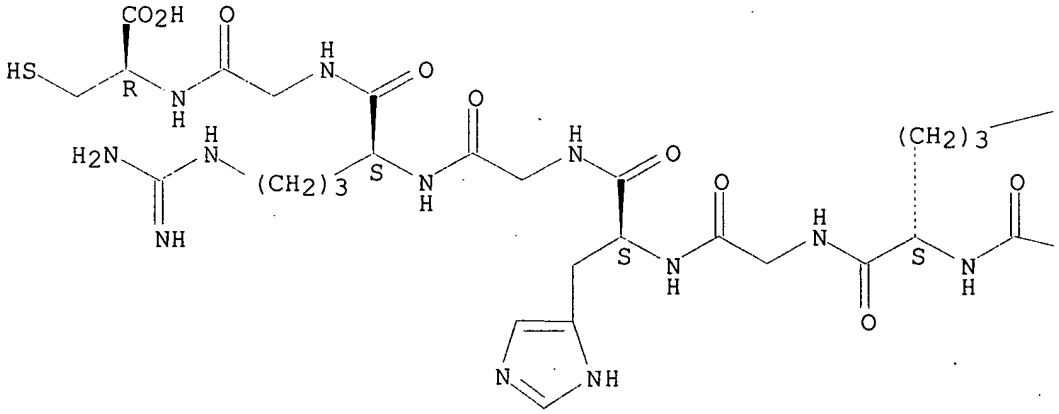
Absolute stereochemistry.

PAGE 1-A



Search completed by David Schreiber x22526

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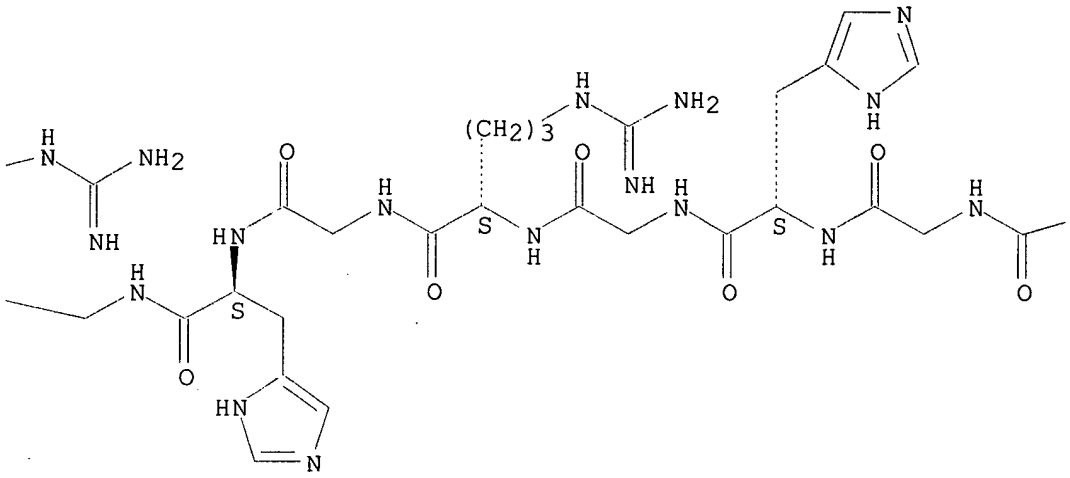

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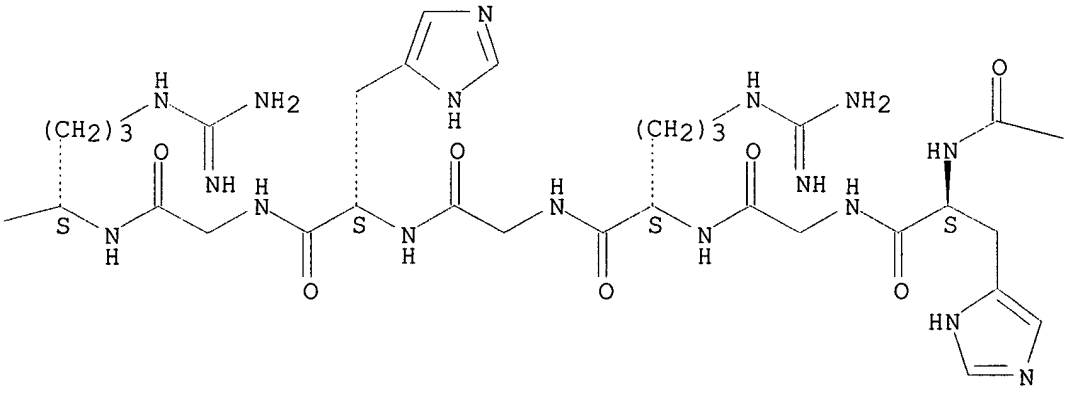
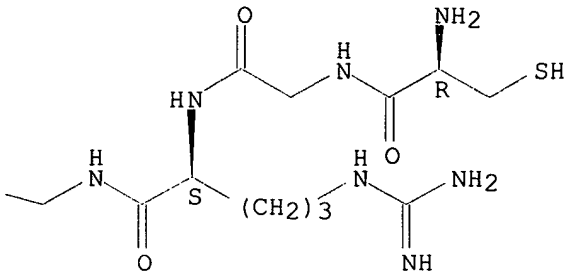


Search completed by David Schreiber x22526

PAGE 1-D



RN 680184-74-1 HCAPLUS
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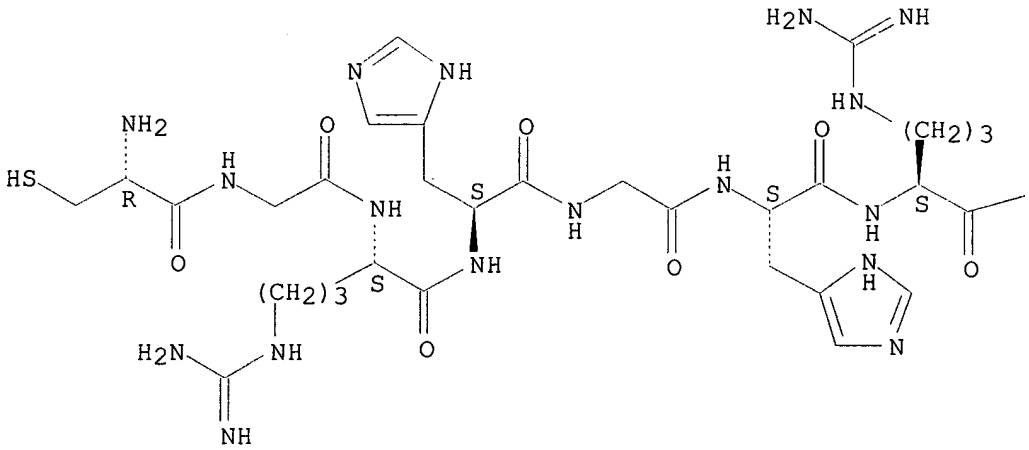
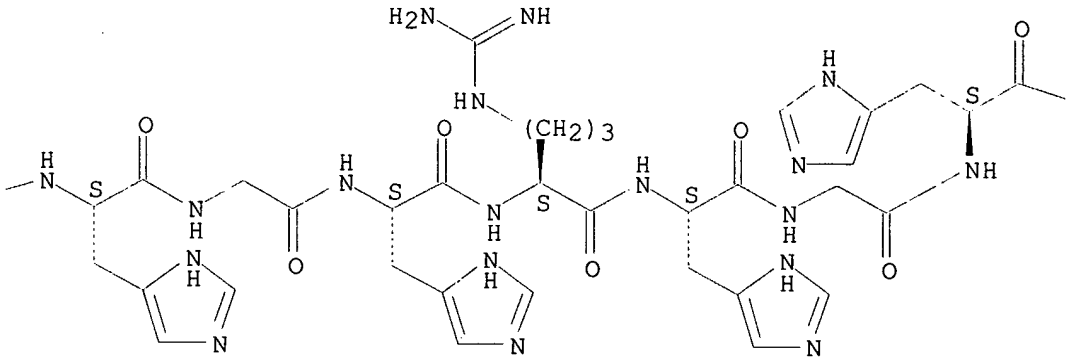
Absolute stereochemistry.

PAGE 1-A



Search completed by David Schreiber x22526

PAGE 1-B



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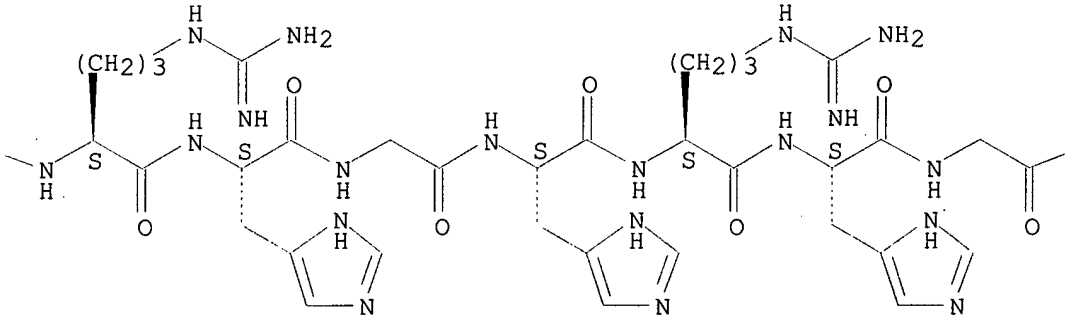

Search completed by David Schreiber x22526

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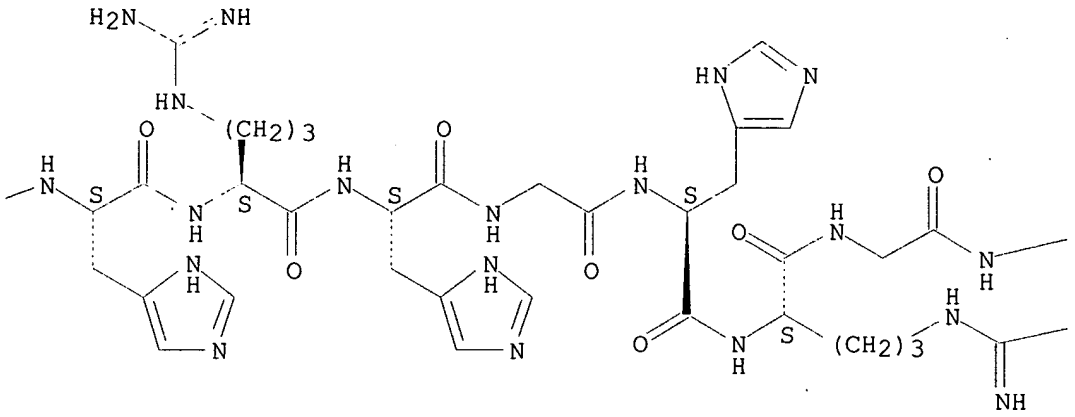

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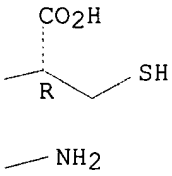

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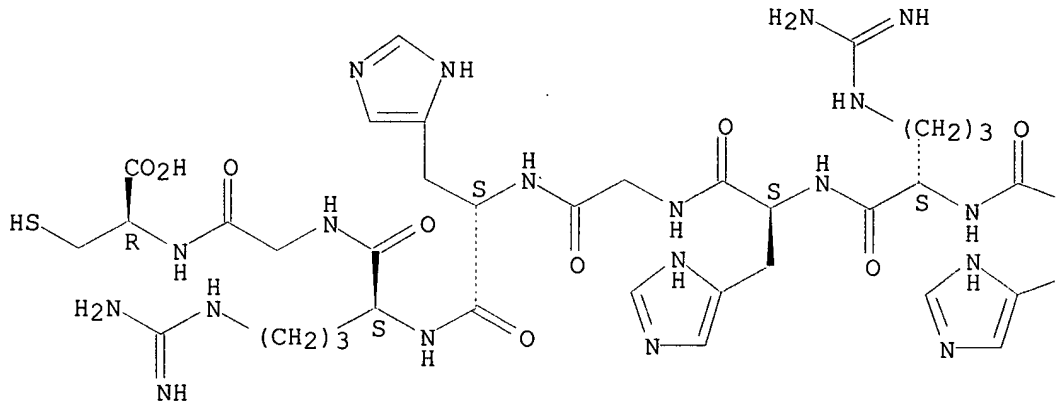
Absolute stereochemistry.

PAGE 1-A



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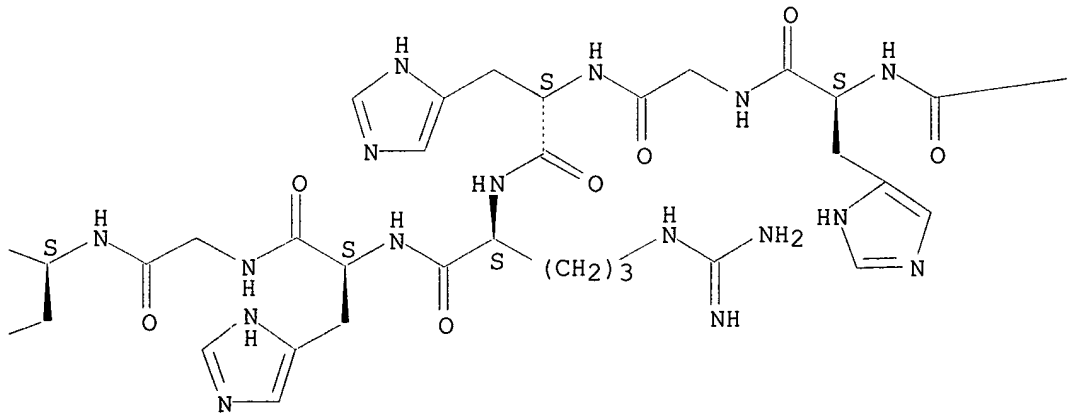
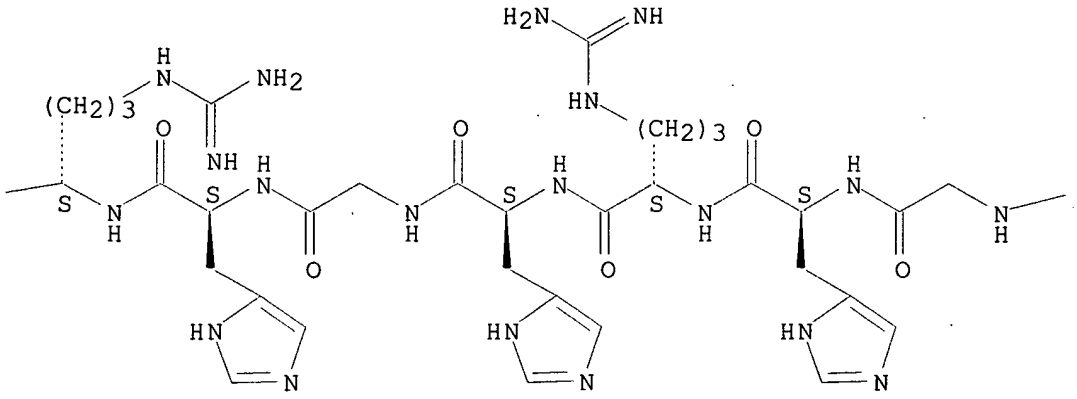


Search completed by David Schreiber x22526

PAGE 1-C



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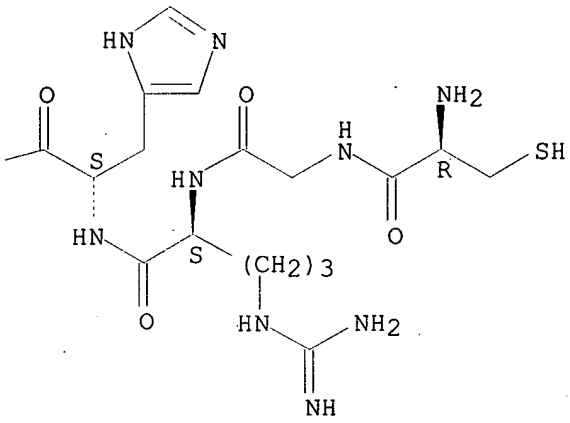

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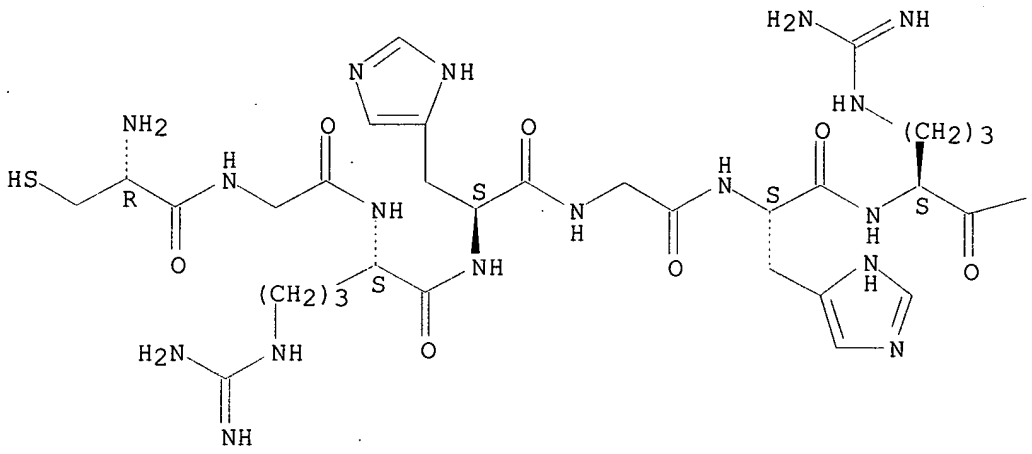
Absolute stereochemistry.

Search completed by David Schreiber x22526

PAGE 1-A



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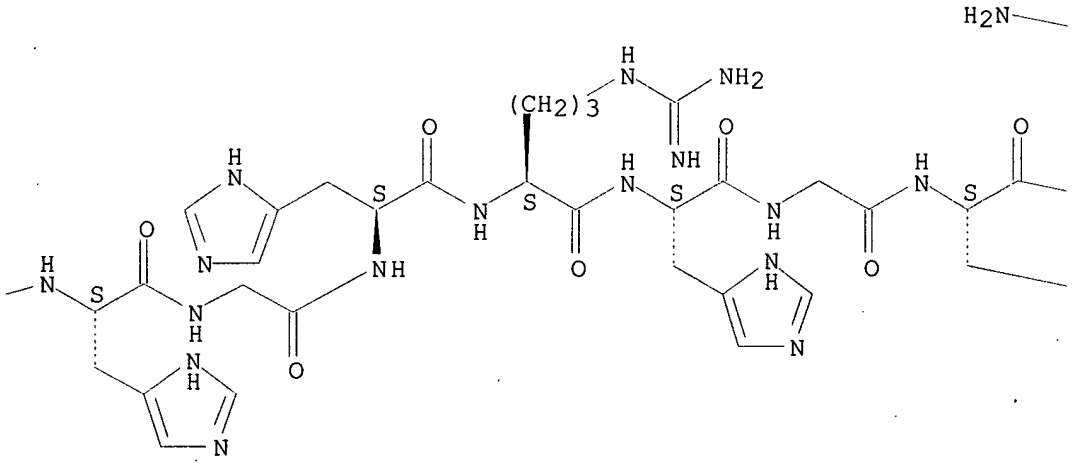
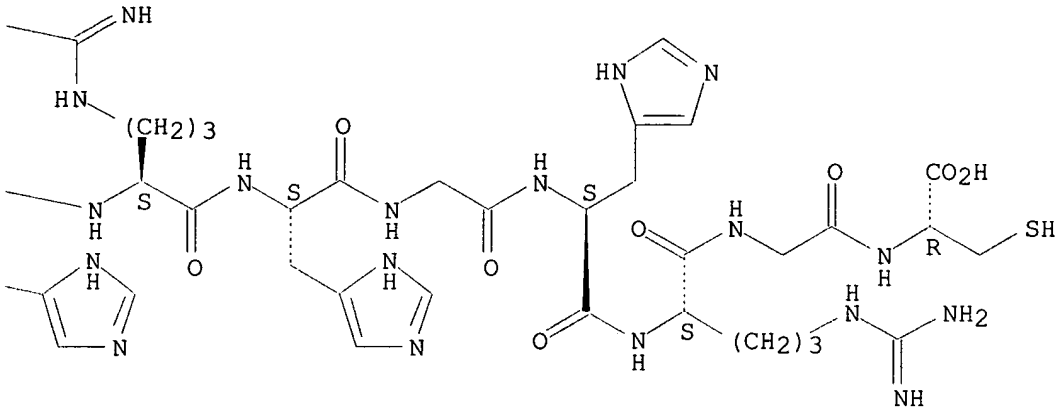


Search completed by David Schreiber x22526

PAGE 1-C



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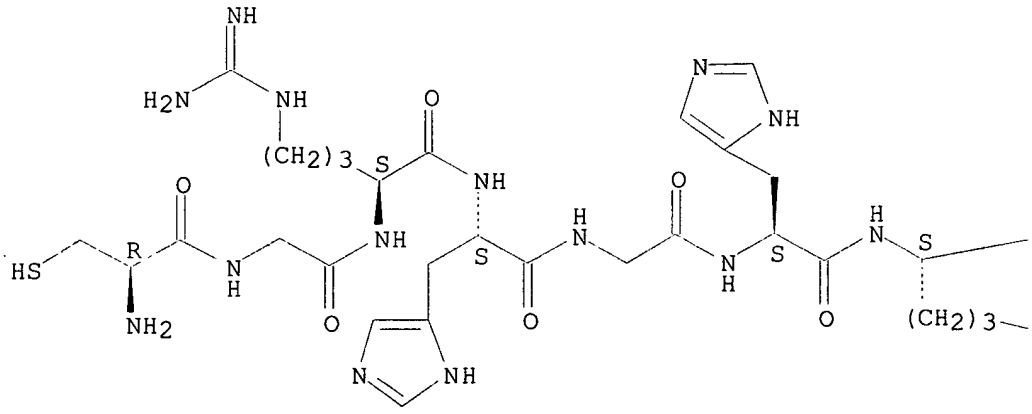
Absolute stereochemistry.

PAGE 1-A



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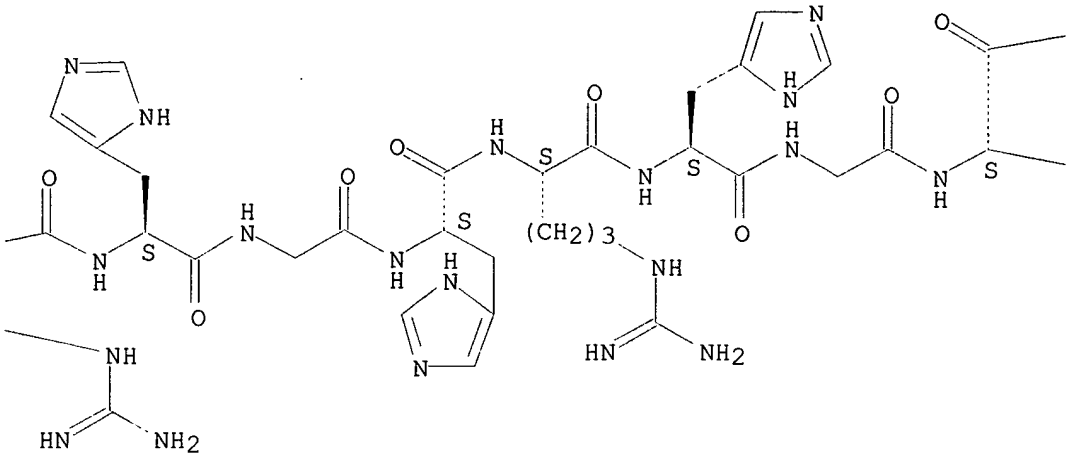
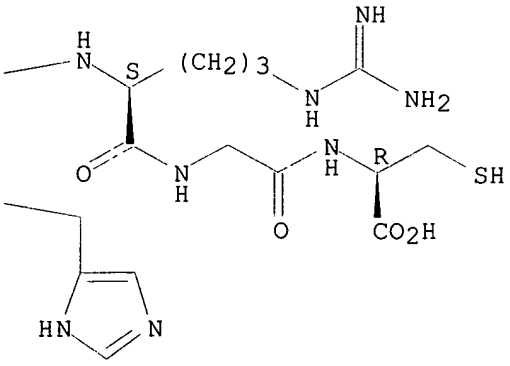


PAGE 1-C



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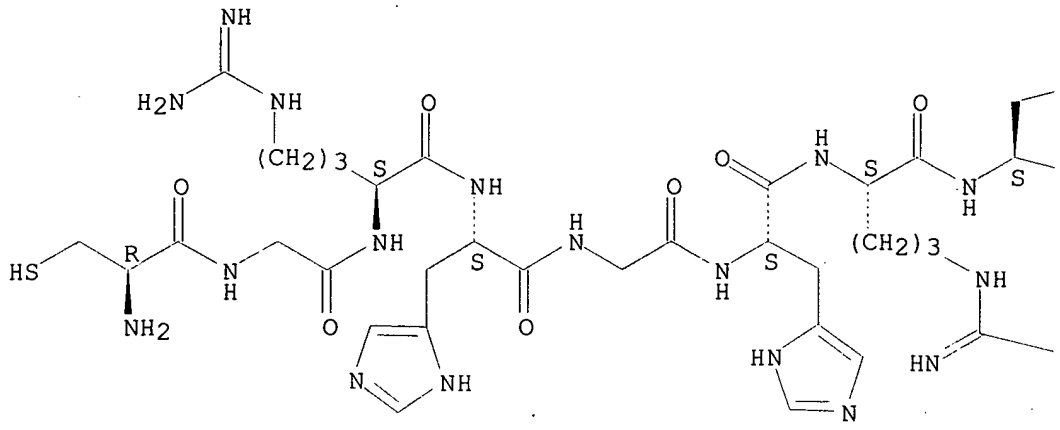
Absolute stereochemistry.

PAGE 1-A



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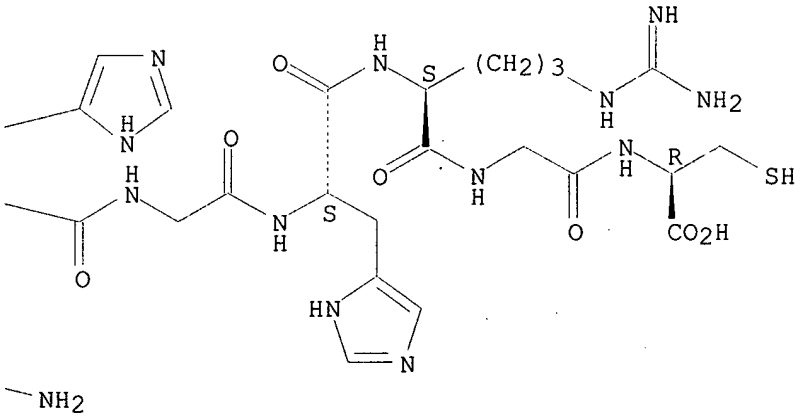

RN 680184-79-6 HCAPLUS
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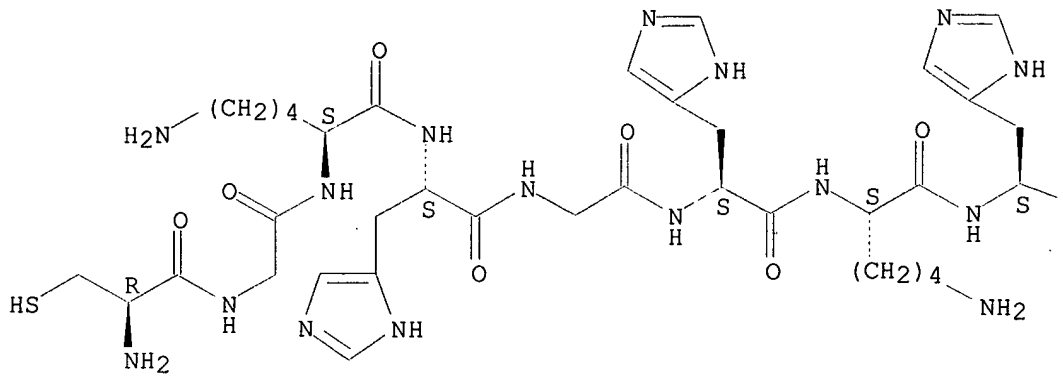
Absolute stereochemistry.

PAGE 1-A



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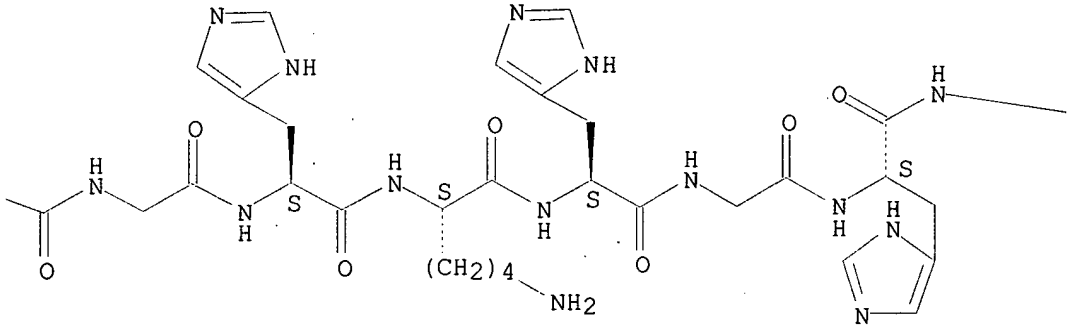
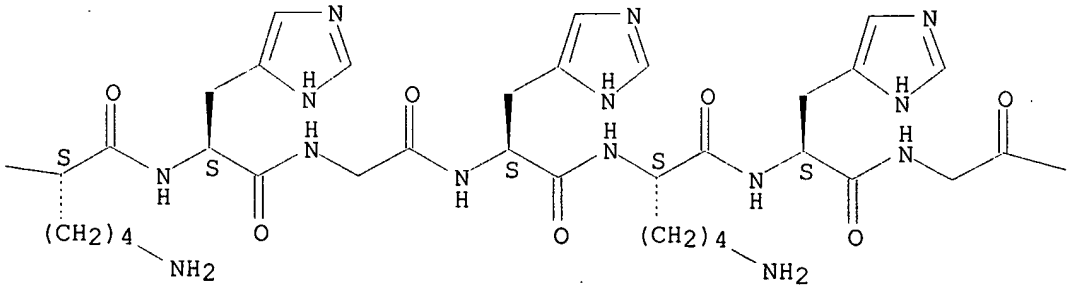


PAGE 1-C



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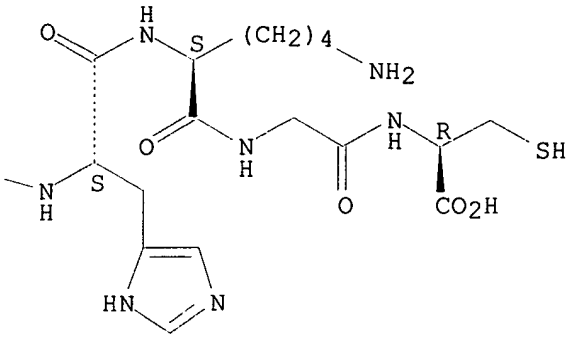


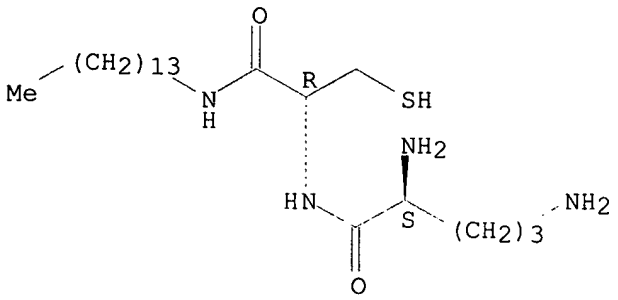
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 9 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:283734 HCAPLUS
DOCUMENT NUMBER: 139:64018
TITLE: Monomolecular condensation of DNA by **cationic** detergents
AUTHOR(S): Dauty, Emmanuel; Behr, Jean-Paul
CORPORATE SOURCE: Laboratoire de Chimie Genetique associe CNRS/Universite Louis Pasteur de Strasbourg, Faculte de Pharmacie BP 24, Illkirch, 67401, Fr.
SOURCE: Polymer International (2003), 52(4), 459-464
CODEN: PLYIEI; ISSN: 0959-8103
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Controlling the size of condensed DNA particles is a key determinant for their diffusion in vivo as well as for gene delivery to target cells. Towards this goal, DNA mols. were compacted individually by **cationic** thiol-detergents into discrete nanometric entities. These particles were then stabilized by air-induced dimerization of the detergent into a disulfide lipid on the template DNA. Using a tetradecane-cysteine-ornithine (C14COrn) detergent, a solution of 5.5 Kb plasmid DNA was thus converted into a monodisperse population of 35-nm particles. The stability of the complexes, as well as their size, morphol. and **transfection** efficiencies were investigated. Surprisingly, the electrophoretic mobility of the quasi-neutral condensed DNA was found higher than that of the extended DNA polyanion. The diams. of particles resulting from the condensation of DNA of various sizes was measured by dynamic light scattering and found to vary as the cubic root of the DNA size. In an attempt to extend their biodistribution and to target tumor cells, we have prepared folate-poly(ethylene oxide)-coated particles that were shown to bind to the cell-surface folate receptor.

IT **227176-25-2**
RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(complexes with DNA; monomol. condensation of DNA by **cationic** detergents)
RN 227176-25-2 HCAPLUS
CN L-Cysteinamide, L-ornithyl-N-tetradecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 10 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:736275 HCAPLUS
DOCUMENT NUMBER: 137:268405
TITLE: Use of non-complexing peptides for the preparation of a composition for transfection of a polynucleotide into a cell and compositions useful in gene therapy
INVENTOR(S): Rittner, Karola; Jacobs, Eric
PATENT ASSIGNEE(S): Transgene S.A., Fr.
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074794	A2	20020926	WO 2002-EP1646	20020215
WO 2002074794	A3	20030227		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1363675	A2	20031126	EP 2002-716783	20020215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:
EP 2001-440049 A 20010227
US 2001-277982P P 20010323
EP 2001-440133 A 20010515
US 2001-293187P P 20010525
WO 2002-EP1646 W 20020215

OTHER SOURCE(S): MARPAT 137:268405

AB The present invention relates to the use of non-complexing peptides for the preparation of compns. useful for improving transfer of substances of interest into cells. Such compns. are specially useful in gene therapy,

vaccination, and any therapeutic or prophylactic situation in which a substance of interest, particularly a nucleic acid is administered to cells in vivo.

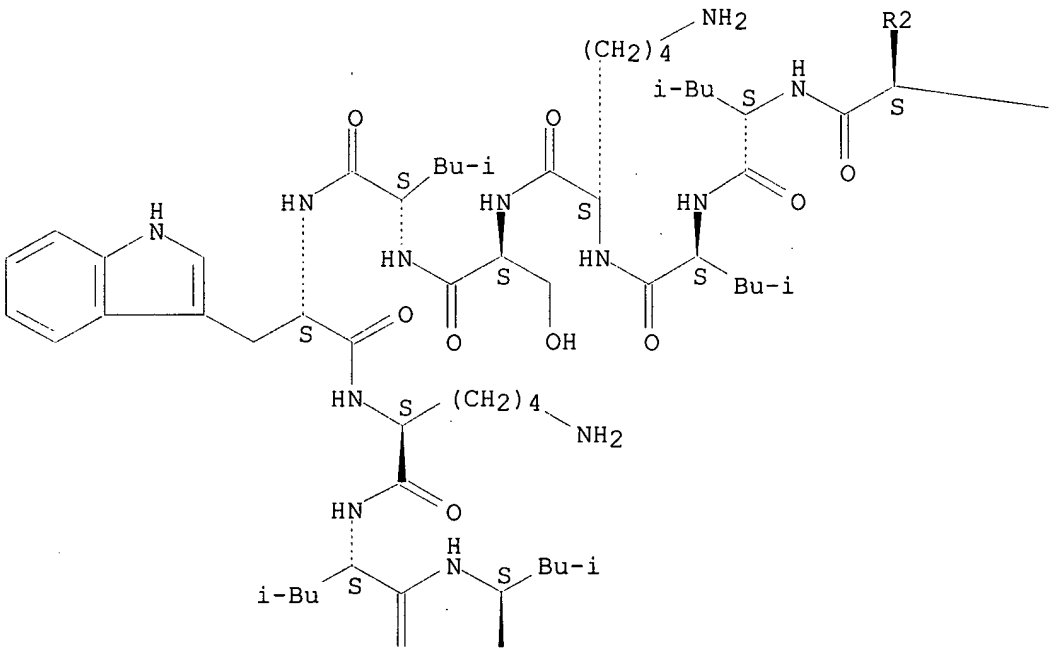
IT **380480-81-9P 380480-83-1P**
RL: BSU (Biological study, unclassified); PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(non-complexing peptides for **transfection** of a polynucleotide into a cell and compns. useful in gene therapy)

RN 380480-81-9 HCAPLUS

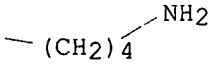
CN L-Alanine, L-cysteinylglycyl-L-leucyl-L-phenylalanyl-L-lysyl-L-alanyl-L-leucyl-L-leucyl-L-lysyl-L-leucyl-L-leucyl-L-lysyl-L-seryl-L-leucyl-L-tryptophyl-L-lysyl-L-leucyl-L-leucyl-L-leucyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

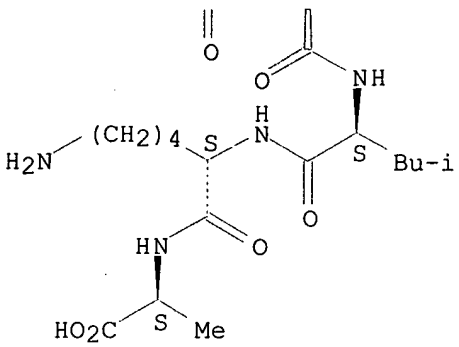
PAGE 1-A



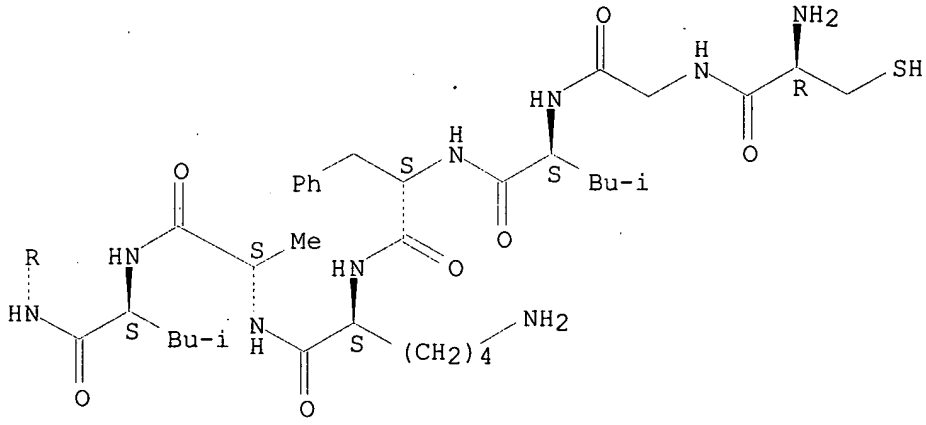
PAGE 1-B



PAGE 2-A



PAGE 3-A



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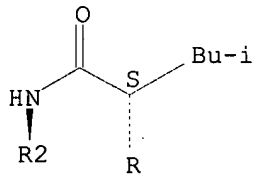

RN 380480-83-1 HCAPLUS
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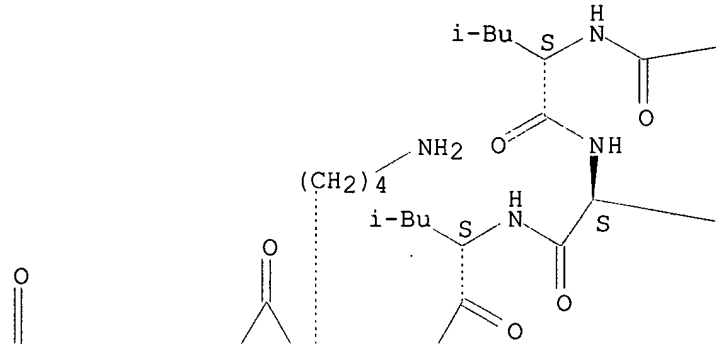
Absolute stereochemistry.

Search completed by David Schreiber x22526

PAGE 1-A



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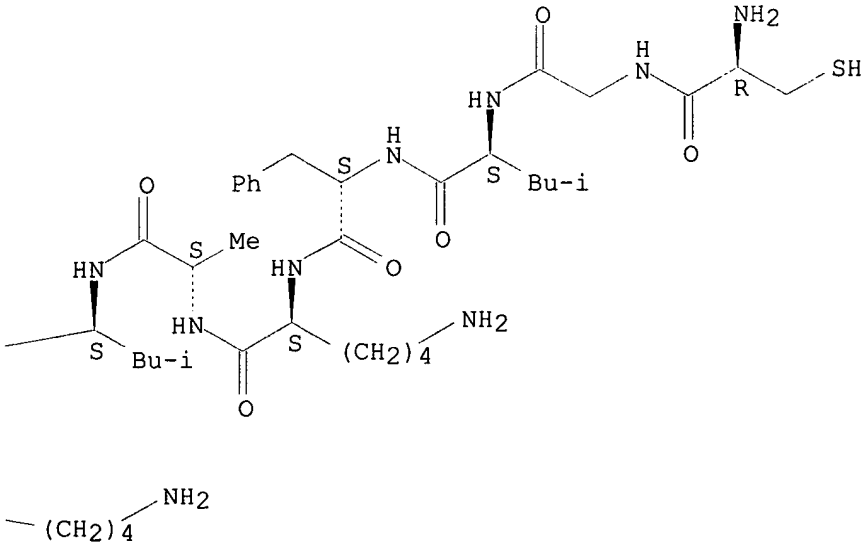

Search completed by David Schreiber x22526

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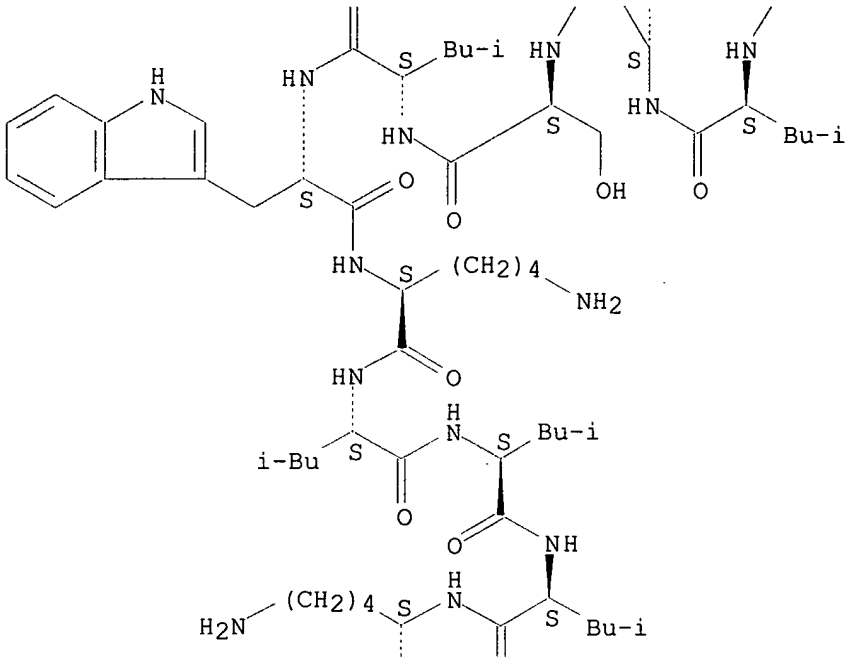

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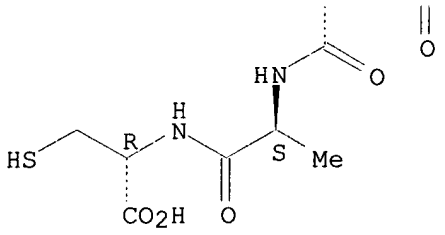
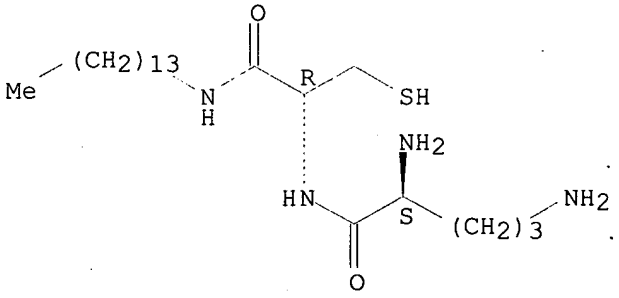


L48 ANSWER 11 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:457871 HCAPLUS
DOCUMENT NUMBER: 137:174731
TITLE: Intracellular Delivery of Nanometric DNA Particles via the Folate Receptor
AUTHOR(S): Dauty, Emmanuel; Remy, Jean-Serge; Zuber, Guy; Behr, Jean-Paul
CORPORATE SOURCE: Laboratoire de Chimie Genetique associe CNRS/Universite Louis Pasteur de Strasbourg Faculte de Pharmacie BP 24, CNRS/Universite Louis Pasteur de Strasbourg, Illkirch, 67401, Fr.
SOURCE: Bioconjugate Chemistry (2002), 13(4), 831-839. CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The size of condensed DNA particles is a key determinant for both

diffusion to target cells in vivo and intracellular trafficking. The smallest complexes are obtained when each DNA mol. collapses individually. This was achieved using a designed **cationic** thiol-detergent, tetradecyl-cysteinyl-ornithine (C14COrn). The resulting particles were subsequently stabilized by air-induced dimerization of the detergent into a disulfide lipid on the DNA template. Particles are anionic (zeta potential = -45 mV), and their size (30 nm) corresponds to the volume of a single plasmid DNA mol. The electrophoretic mobility of the condensed DNA, though quasi-neutralized, was found higher than that of the extended DNA. Moreover, the dimerized (C14COrn)2 lipid was found to be an efficient **transfection** reagent for various cell lines. In an attempt to achieve extended circulation times and to target tumors by systemic delivery, we have coated the particles with PEG-folate residues. Plasmid DNA was condensed into monomol. particles as described above and coated by simple mixing with DPPE-PEG-folate. Physicochem. measurements showed particles coated with 2% of DPPE-PEG3400-folate remain monomol. and are stable in the cell-culture medium. Caveolae-mediated cell entry was demonstrated by ligand-dependence, by competition with excess folic acid as well as by confocal microscopy.

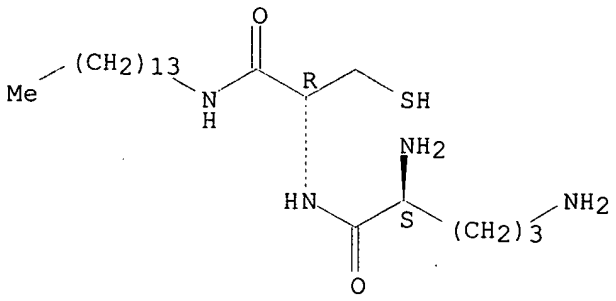
IT **227176-25-2DP**, complexes with DNA
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(intracellular delivery of nanometric DNA particles via the folate receptor)
RN 227176-25-2 HCAPLUS
CN L-Cysteinamide, L-ornithyl-N-tetradecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **227176-25-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(intracellular delivery of nanometric DNA particles via the folate receptor)
RN 227176-25-2 HCAPLUS
CN L-Cysteinamide, L-ornithyl-N-tetradecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 12 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:427625 HCAPLUS
DOCUMENT NUMBER: 137:16470
TITLE: Procedure for the improvement of the transfection efficiency by using novel synthetic K16-CYC and K16-VprN peptides
INVENTOR(S): Cartier, Regis; Boettger, Michael; Haberland, Annekathrin; Reszka, Regina
PATENT ASSIGNEE(S): Max-Delbrueck-Centrum fuer Molekulare Medizin, Germany
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10130849	A1	20020606	DE 2001-10130849	20010628
EP 1294908	A1	20030326	EP 2001-955217	20010628
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2004501657	T2	20040122	JP 2002-506296	20010628
US 2003175975	A1	20030918	US 2003-312691	20030310

PRIORITY APPLN. INFO.: DE 2000-10031900 IA 20000628
DE 2000-10040895 IA 20000818
WO 2001-DE2336 W 20010628

AB The invention concerns a procedure for the improvement of the transfection efficiency by using synthetic K16-peptides in complexes with DNA and lipids. Moreover the invention concerns new K16-Peptides, in particular the K16-CYC and K16-VprN.

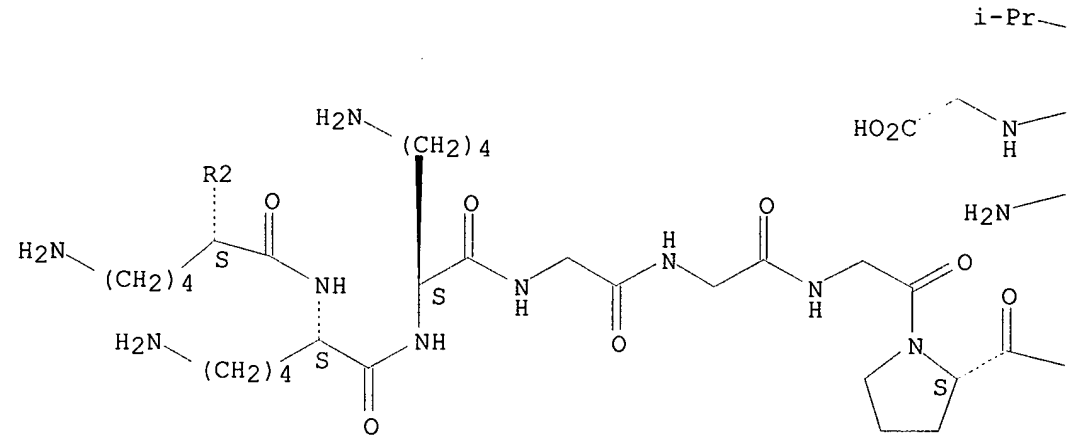
IT **433714-74-0 433714-76-2**
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(amino acid sequence; procedure for the improvement of the **transfection** efficiency by using novel synthetic K16-CYC and K16-VprN peptides)

RN 433714-74-0 HCAPLUS
CN Glycine, L-cysteinyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysylglycylglycylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-

L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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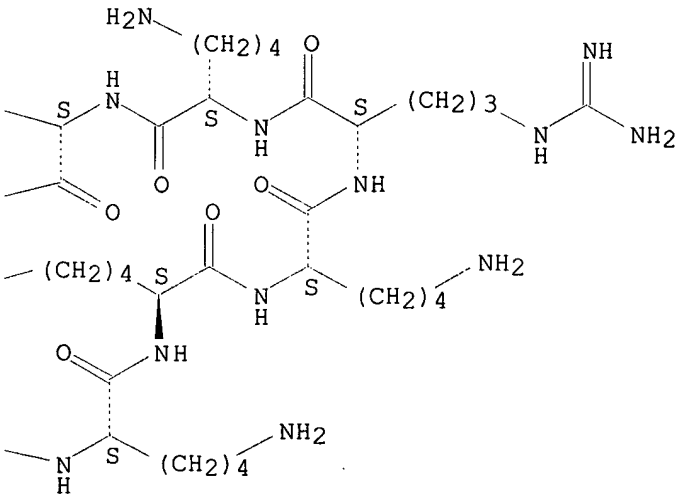
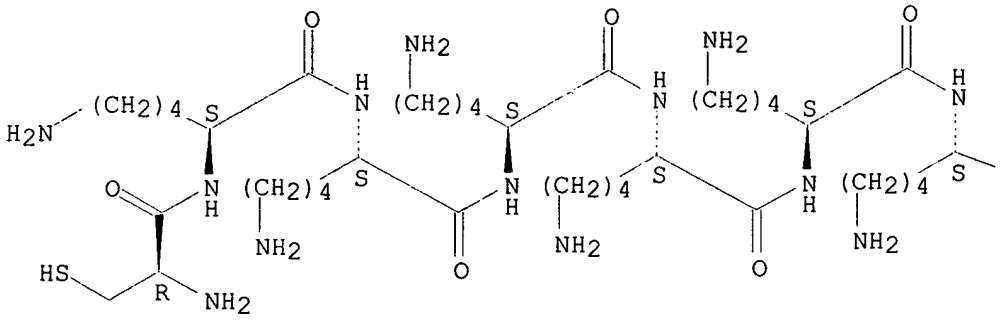
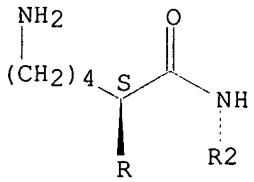


PAGE 2-A





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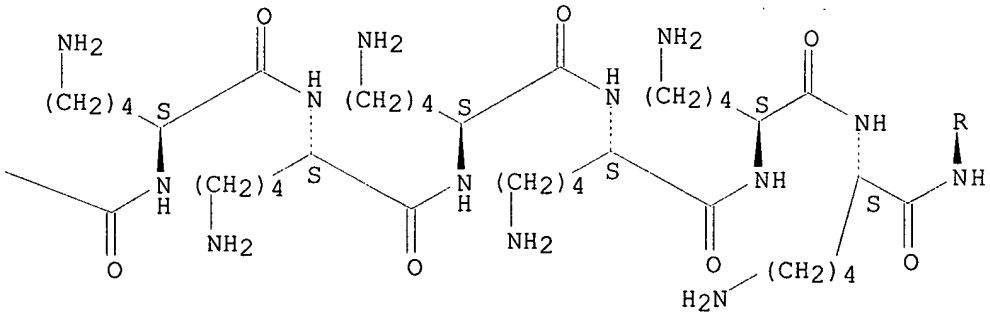
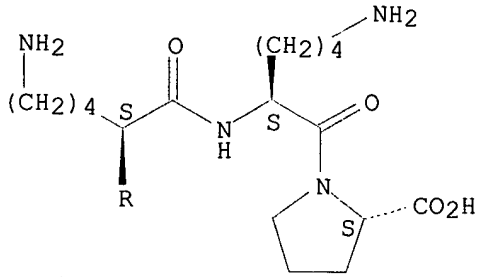


RN 433714-76-2 HCAPLUS
CN L-Proline, L-cysteinyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysylglycylglycylglycylglycyl-L-valyl-L-lysyl-L-arginyl-L-lysyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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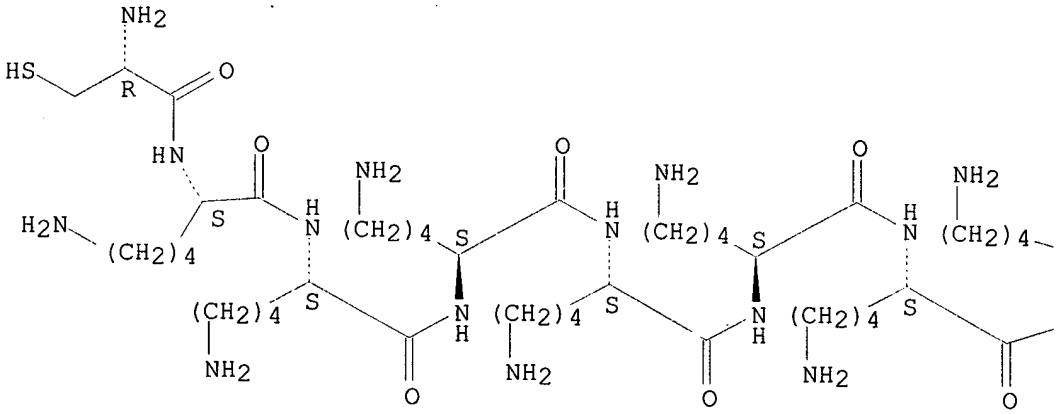

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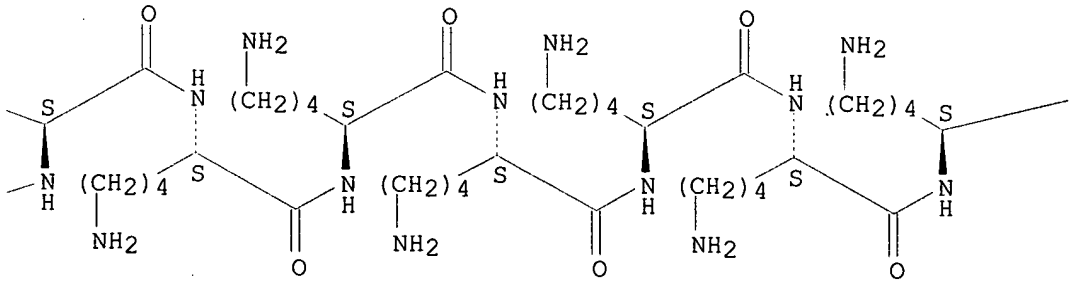
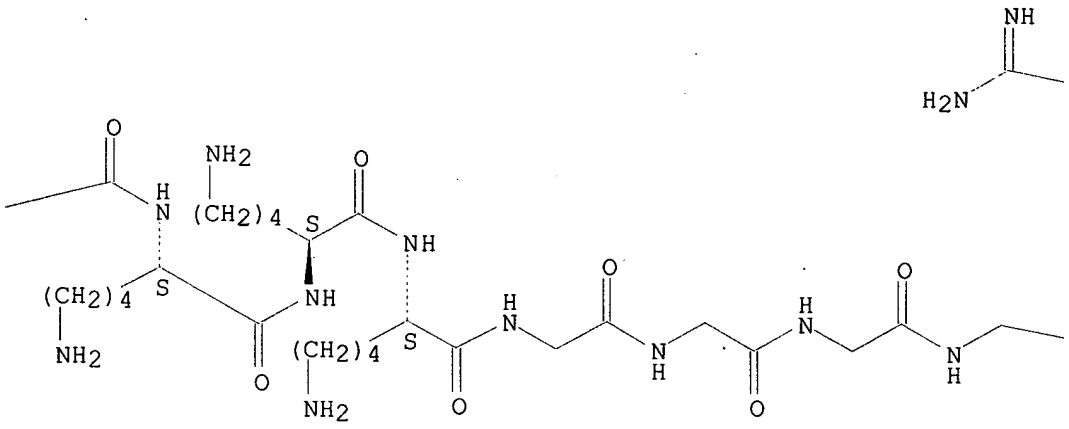


Search completed by David Schreiber x22526

PAGE 2-C



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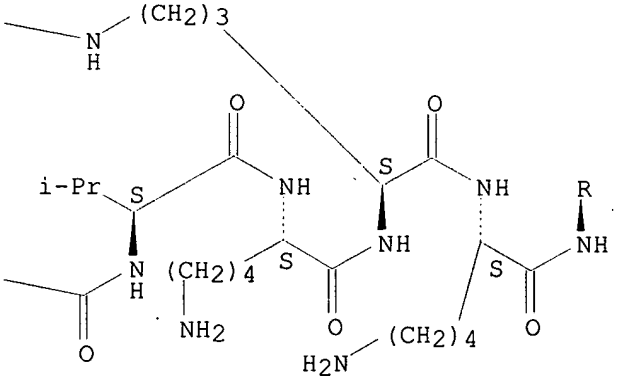


L48 ANSWER 13 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2002:408770 HCAPLUS
DOCUMENT NUMBER:	137:1464
TITLE:	Artery wall binding peptide-poly(ethylene glycol)-grafted-poly(L-lysine)-based gene delivery to artery wall cells
INVENTOR(S):	Yu, Lei; Kim, Sung Wan; Nah, Jae-Woon
PATENT ASSIGNEE(S):	University of Utah Research Foundation, USA
SOURCE:	PCT Int. Appl., 34 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042426	A2	20020530	WO 2001-US47072	20011109
WO 2002042426	A3	20021017		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002041603	A5	20020603	AU 2002-41603	20011109

PRIORITY APPLN. INFO.:

US 2000-247320P	P	20001110
WO 2001-US47072	W	20011109

AB An artery wall binding peptide (AWBP) based on the artery wall cell-binding domain of apolipoprotein B-100 conjugated to a **cationic** backbone configured for forming a complex with a nucleic acid, and its use to produce a composition that enhances gene transfer to artery wall cells, are disclosed. Methods of making and using the composition for gene transfer are also described. Artery wall binding peptide (AWBP; Cys-Gly-Arg-Ala-Leu-Val-Asp-Thr-Leu-Lys-Phe-Val-Thr-Gln-Ala-Glu-Gly-Ala-Lys), a specific targeting peptide, was conjugated to poly(ethylene glycol)-grafted-poly(L-lysine) (PEG-g-PLL) to enhance the gene transfer to artery wall cells. AWBP-PEG-PLL was synthesized by the reaction between the vinylsulfone group of PEG-g-PLL and the thiol group of cysteine in AWBP. 1H-NMR anal. confirmed the composition of the obtained polymer and indicated that four mol. of AWBP were reacted to one mole of VS-PEG-PLL. The particles of AWBP-PEG-PLL/pDNA complexes were determined spherical with a size of .apprx.100 nm by dynamic light scattering (DLS) and atomic force microscopy (AFM). Agarose gel retardation assay indicated that AWBP-PEG-PLL was able to condense plasmid DNA and reach complete complexation at and above a charge ratio 1/1 (+/-). **Transfection** efficiency of AWBP-PEG-PLL/pDNA complexes was 150-180 times higher than that of control systems, such as PEG-g-PLL/pDNA and PLL/pDNA, in both bovine aorta endothelial cells and smooth muscle cells. Luciferase activities of AWBP-PEG-PLL depended on the amount of free AWBP, while those of the control carriers such as PLL and PEG-g-PLL were not affected by free AWBP. These results supported that gene transfer of AWBP-PEG-PLL/pDNA complexes to bovine aorta wall cells was mediated by specific artery wall cell receptor-mediated endocytosis. AWBP-PEG-g-PLL could protect pDNA from digestion with DNase for at least 2 h at 37° (FIG. 3B), whereas naked DNA was completely digested by DNASE within 5 to 10 min of incubation at 37° (data not shown).

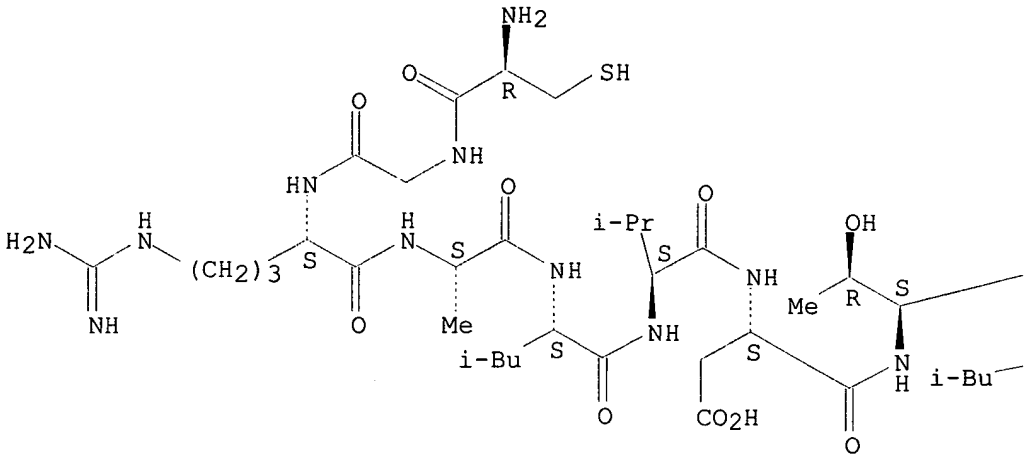
IT **433223-48-4**
RL: BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); USES (Uses)
(amino acid sequence; artery wall binding peptide-poly(ethylene glycol)-grafted-poly(L-lysine)-based gene delivery to artery wall cells)

RN 433223-48-4 HCAPLUS

CN L-Lysine, L-cysteinylglycyl-L-arginyl-L-alanyl-L-leucyl-L-valyl-L-α-aspartyl-L-threonyl-L-leucyl-L-lysyl-L-phenylalanyl-L-valyl-L-threonyl-L-glutaminyl-L-alanyl-L-α-glutamylglycyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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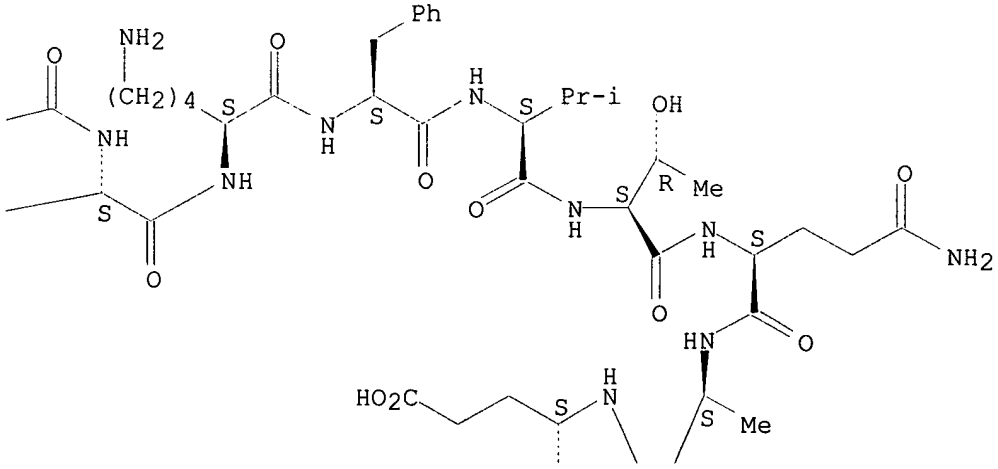

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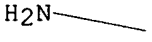
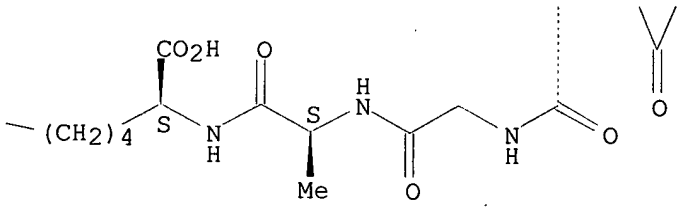


Search completed by David Schreiber x22526

PAGE 2-B



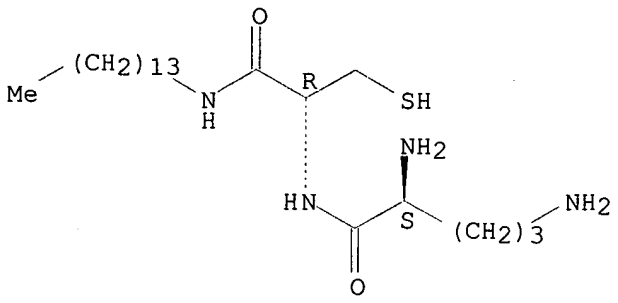
L48 ANSWER 14 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:405503 HCAPLUS
DOCUMENT NUMBER: 138:126858
TITLE: Development of plasmid and oligonucleotide nanometric particles
AUTHOR(S): Dauty, E.; Behr, J.-P.; Remy, J.-S.
CORPORATE SOURCE: Laboratoire de Chimie Genetique associe CNRS/Universite Louis Pasteur de Strasbourg, Faculte de Pharmacie, Illkirch, 67401, Fr.
SOURCE: Gene Therapy (2002), 9(11), 743-748
CODEN: GETHEC; ISSN: 0969-7128
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Nucleic acids delivery vectors have shown promising therapeutic potential in model systems. However, comparable clin. success is delayed essentially because of their poor biodistribution and of their ineffective intracellular trafficking. The size of condensed DNA particles is a key determinant for in vivo diffusion, as well as for gene delivery to the cell nucleus. Towards this goal, we have developed **cationic** thiol-detergents that individually compact plasmid DNA mols. into anionic particles. These particles are then "stabilized" by air-induced dimerization of the detergent into a disulfide lipid on the template DNA. The particles all measure approx. 30 nm, which corresponds to the volume of a single mol. of plasmid DNA. The gel electrophoretic mobility of the anionic particles was found to be higher than that of the plasmid DNA itself. Similarly, particles formed with a 31-mer oligonucleotide measured 19 nm. Improved in vivo diffusion, as well as improved intracellular trafficking may be inferred from the faster migration of the complexes. Moreover, the size of the particles remains compatible with nuclear pore crossing. Finally, in an attempt to improve the biodistribution of these particles, we have coated the monomol. particles with a poly(ethylene glycol) corona.

IT **227176-25-2 361525-74-8**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(plasmid and oligonucleotide nanometric particles for gene delivery)
RN 227176-25-2 HCAPLUS
CN L-Cysteinamide, L-ornithyl-N-tetradecyl- (9CI) (CA INDEX NAME)

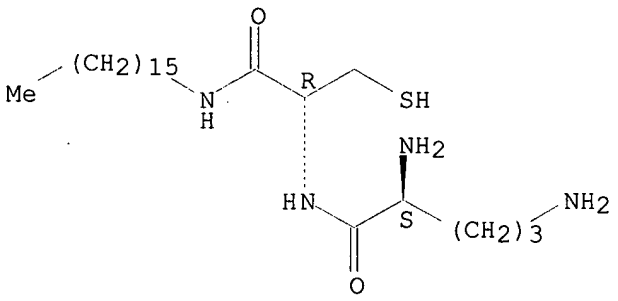
Absolute stereochemistry.

Search completed by David Schreiber x22526



RN 361525-74-8 HCAPLUS
CN L-Cysteinamide, L-ornithyl-N-hexadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

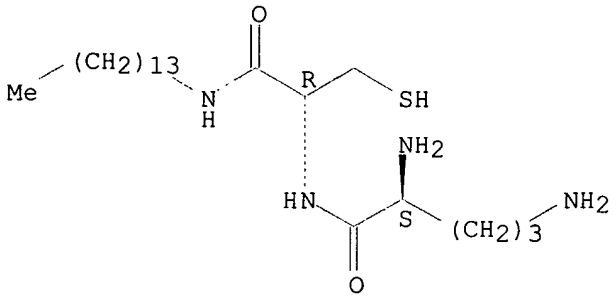
L48 ANSWER 15 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:350540 HCAPLUS
DOCUMENT NUMBER: 138:112277
TITLE: Dimerizable **cationic** detergents condense plasmid DNA into 30 nm particles and **transfect** cells in vitro
AUTHOR(S): Dauty, E.; Remy, J. S.; Blessing, T.; Behr, J. P.
CORPORATE SOURCE: Faculte de Pharmacie de Strasbourg, Laboratoire de Chimie Genetique associe CNRS/Universite Louis Pasteur, Illkirch, 67401, Fr.
SOURCE: Proceedings - 28th International Symposium on Controlled Release of Bioactive Materials and 4th Consumer & Diversified Products Conference, San Diego, CA, United States, June 23-27, 2001 (2001), Volume 2, 1135-1136. Controlled Release Society: Minneapolis, Minn.
CODEN: 69CNY8
DOCUMENT TYPE: Conference
LANGUAGE: English
AB In the present investigation, we reported the biophys. and biol. properties of the ornithinylcysteinyltetradecylamide (C14COrn). This new dimerizable detergent condenses plasmid DNA into monomol. particles of 30 nm. This complexes are mobile in agarose gel and exhibit a typical lipid/DNA supramol. structure. When the complexes are large and

cationic they show a **transfection** efficiency comparable to that obtained with the most potent vectors.

IT **227176-25-2D**, DNA complexes
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(detergent; dimerizable **cationic** detergents condense plasmid DNA into 30-nm particles and **transfect** cells)

RN 227176-25-2 HCAPLUS
CN L-Cysteinamide, L-ornithyl-N-tetradecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 16 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:309818 HCAPLUS
DOCUMENT NUMBER: 136:336176
TITLE: Compositions containing DNA, Tat peptide-nucleic acid binder conjugates, and **cationic** lipids for cell **transfections**
INVENTOR(S): Hawley-Nelson, Pamela; Lan, Jianqing; Shih, Pojen; Jessee, Joel A.; Schifferli, Kevin P.; Gebeyehu, Gulilat; Ciccarone, Valentina C.; Evans, Krista L.
PATENT ASSIGNEE(S): Life Technologies, Inc., USA
SOURCE: U.S., 108 pp., Cont.-in-part of U.S. 6,051,429. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6376248	B1	20020423	US 1998-39780	19980316
US 6051429	A	20000418	US 1997-818200	19970314
US 2003069173	A1	20030410	US 2001-911569	20010723
US 2003144230	A1	20030731	US 2002-200879	20020723

PRIORITY APPLN. INFO.:
US 1997-818200 A2 19970314
US 1995-477354 B2 19950607
US 1996-658130 A2 19960604
US 1998-39780 A1 19980316
US 2001-911569 A1 20010723

AB The present invention provides compns. useful for **transfecting** cells comprising nucleic acid complexes with Tat peptide, wherein the peptide is covalently coupled to a nucleic acid-binding group, and

cationic lipids as **transfection** agents. Inclusion of peptides in **transfection** compns. or covalent attachment of peptides to **transfection** agents results in enhanced **transfection** efficiency. Methods for the preparation of **transfection** compns. and methods of using these **transfection** compns. as intracellular delivery agents are also disclosed.

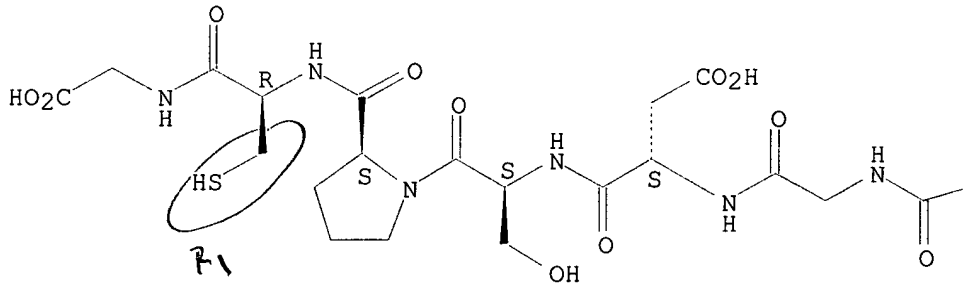
IT **264134-56-7 264232-06-6 264236-17-1**
RL: PRP (Properties)
(unclaimed sequence; compns. containing DNA, Tat peptide-nucleic acid binder conjugates, and **cationic** lipids for cell **transfections**)

RN 264134-56-7 HCAPLUS

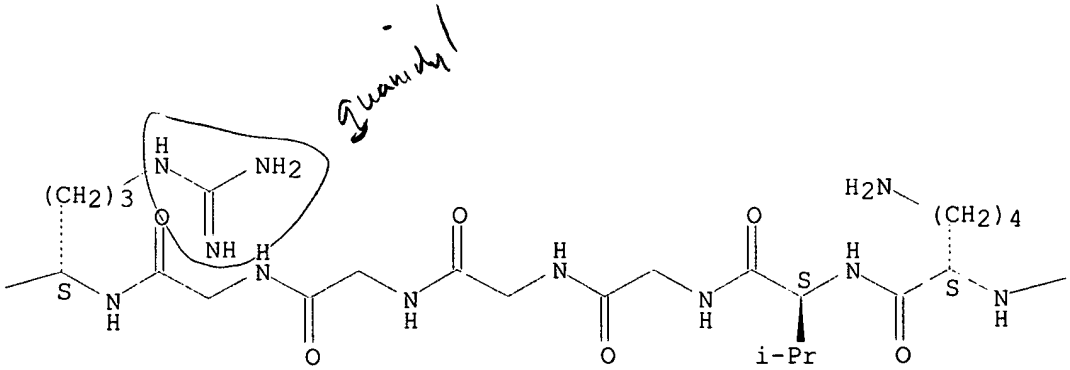
CN Glycine, L-cysteinylglycyl-L-tyrosylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valylglycylglycylglycylglycyl-L-arginylglycyl-L-α-aspartyl-L-seryl-L-prolyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



Search completed by David Schreiber x22526

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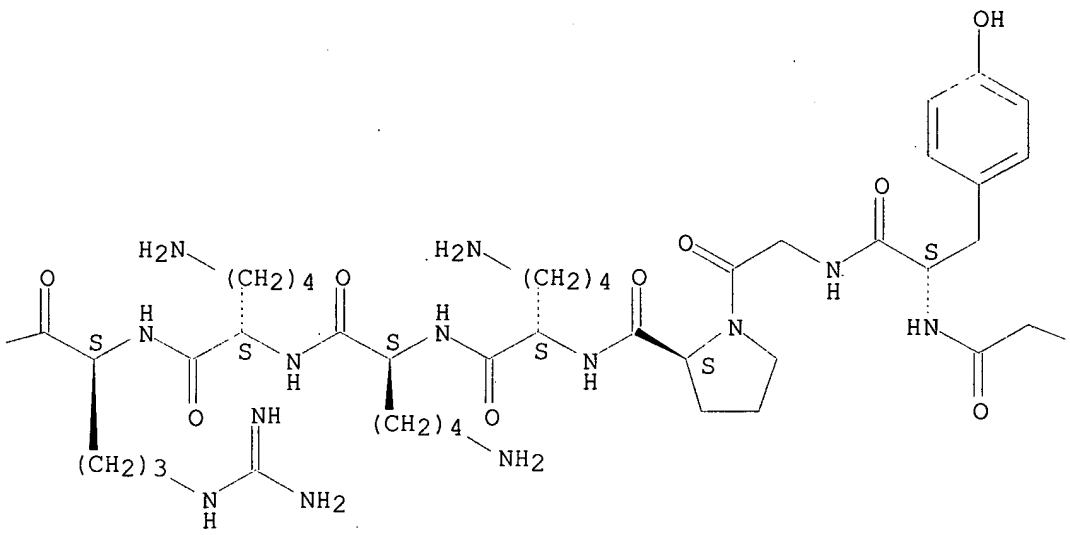

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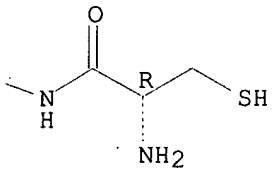
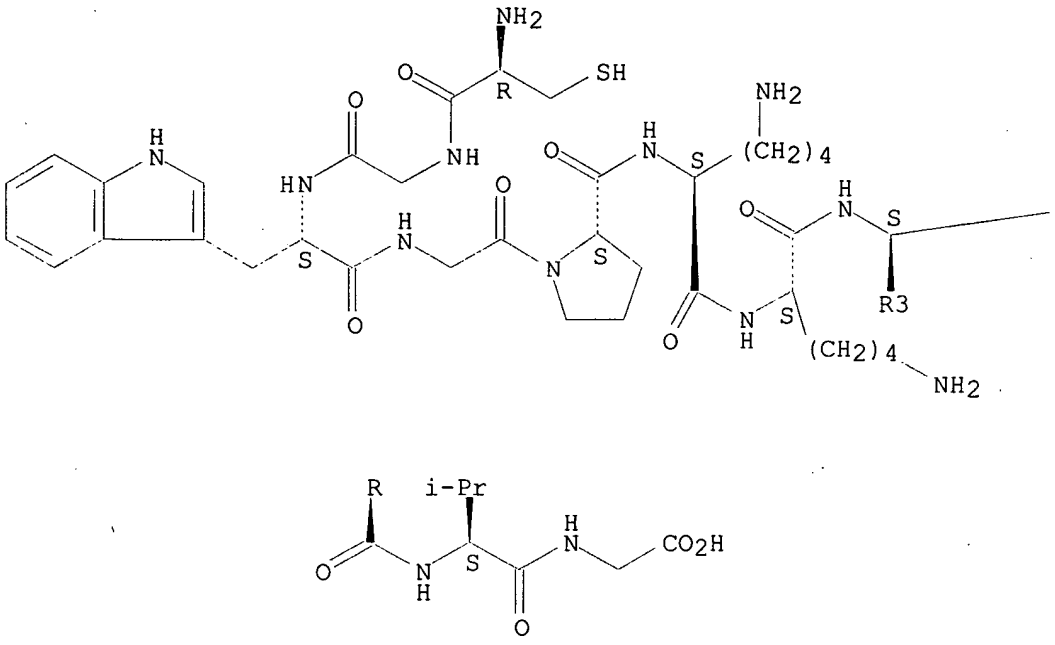


RN 264232-06-6 HCAPLUS
CN Glycine, L-cysteinylglycyl-L-tryptophylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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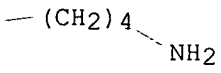

Search completed by David Schreiber x22526

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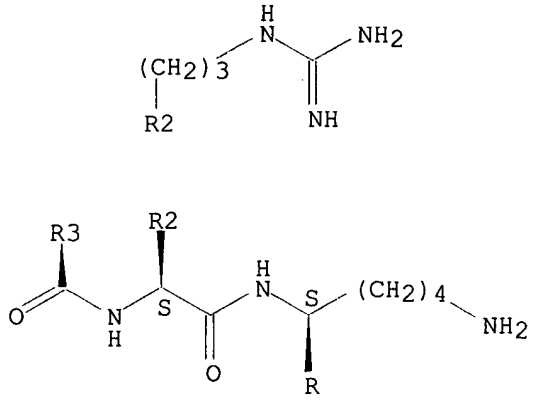
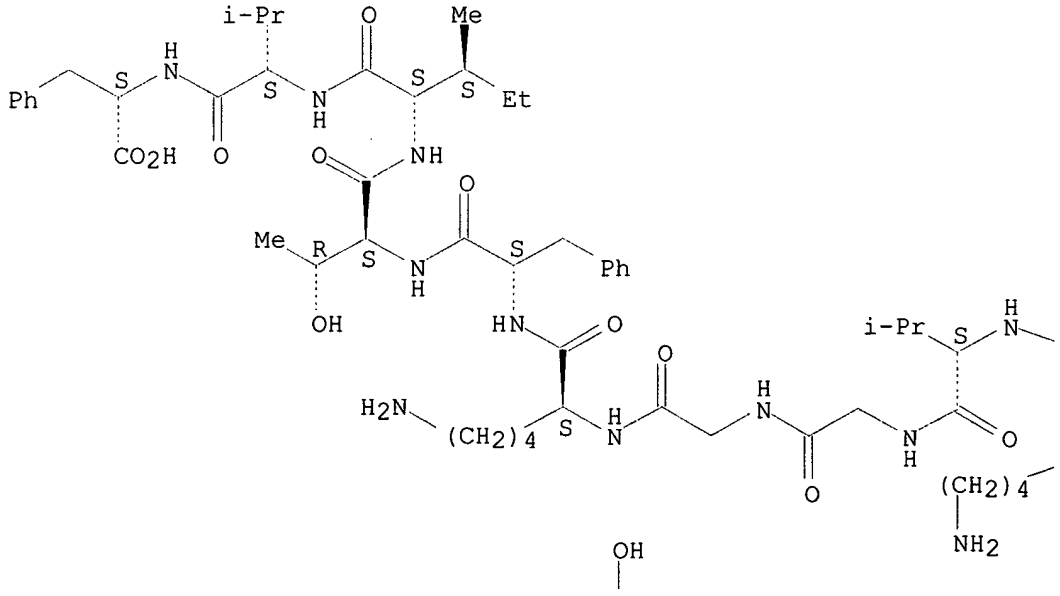


RN 264236-17-1 HCAPLUS
CN L-Phenylalanine, L-cysteinylglycyl-L-tyrosylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valylglycylglycyl-L-lysyl-L-phenylalanyl-L-threonyl-L-isoleucyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



Search completed by David Schreiber x22526

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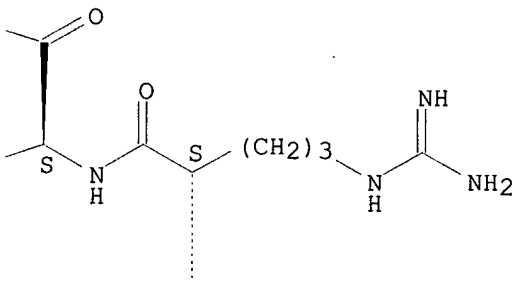
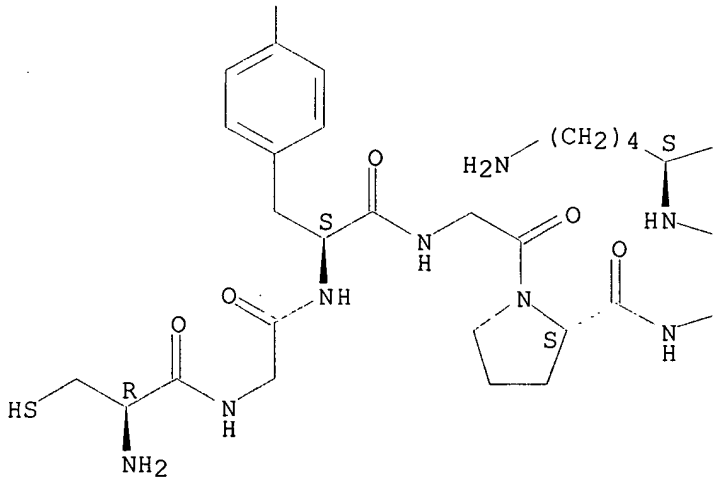


PAGE 2-A



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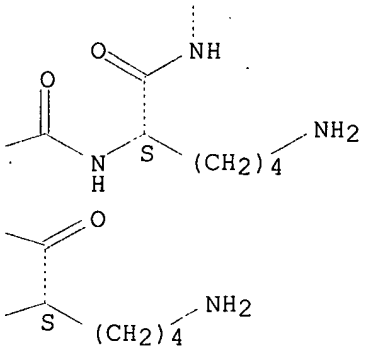


REFERENCE COUNT: 157 THERE ARE 157 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 17 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:183945 HCAPLUS
DOCUMENT NUMBER: 136:374721
TITLE: Surface-Tethered DNA Complexes for Enhanced Gene Delivery
AUTHOR(S): Segura, Tatiana; Shea, Lonnie D.
CORPORATE SOURCE: Departments of Chemical Engineering and Biomedical Engineering, Northwestern University, Evanston, IL, 60208-3120, USA
SOURCE: Bioconjugate Chemistry (2002), 13(3), 621-629
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Overcoming the barriers to efficient gene transfer is a fundamental goal of biotechnol. A versatile approach to enhance the delivery of nonviral DNA involves complexation with **cationic** polymers, which can be designed to overcome the barriers to effective gene transfer. More recently, DNA release from a polymer substrate or scaffold has been shown to enhance gene transfer, likely by increasing DNA concns. in the cell microenvironment. We propose a novel approach that combines these 2 strategies in which **cationic** polymer/DNA complexes are tethered to a substrate that supports cell adhesion. The **cationic** polymers package the DNA for efficient internalization and the surface tethering functions to maintain elevated concns. in the cell microenvironment for cells adhered to the substrate. The **cationic** polymer polylysine (d.p. equal to 19 or 150) was modified with biotin groups, which was confirmed by mass spectrometry and biochem. anal. Complex formation of DNA with biotinylated-polylysine, or mixts. of biotinylated and nonbiotinylated polylysines, was confirmed by gel electrophoresis. Plasmid DNA encoding for the reporter gene β-galactosidase was complexed with different mixts. of biotinylated and nonbiotinylated polylysine and incubated on neutravidin (nonglycosylated avidin)-coated surfaces. DNA surface densities ranging from 0.1 to 4.3 μg/cm2 were observed and found to be a function of the number of biotin groups, the mol. weight of the polylysine, and the amount of DNA. HEK293T or NIH/3T3 cells were then seeded onto the DNA-modified surfaces, and **transfection** was quantified at 48 and 96 h.

Transfection by the DNA surfaces was observed with both cell lines, and expression levels up to 100 fold greater than bulk delivery of the complexes was obtained. **Transfection** was a function of the surface DNA quantities and the number of tethers on the complex. **Transfected** cells were observed only in the region in which DNA complexes were tethered, suggesting that the location of **transfected** cells can be specifically controlled. Surface tethering of DNA represents a promising approach to enhancing gene transfer and spatially controlling gene delivery, which may have applications to a multitude of fields ranging from tissue engineering to functional genomics.

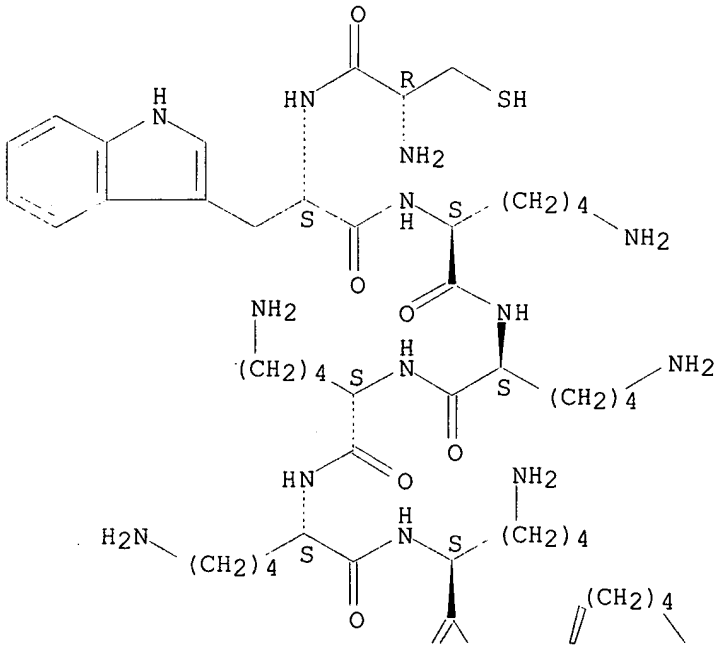
IT **425400-28-8DP**, biotinylated, DNA complexes
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(surface-tethered DNA complexes for enhanced gene delivery)

RN 425400-28-8 HCAPLUS

CN L-Lysine, L-cysteinyl-L-tryptophyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

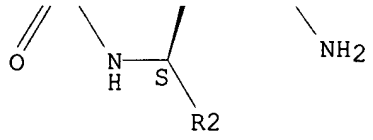
Absolute stereochemistry.

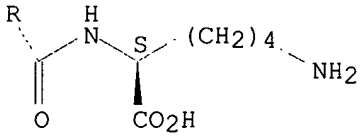
PAGE 1-A

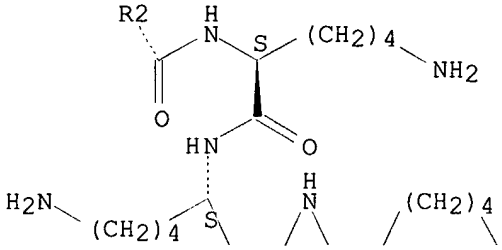


Search completed by David Schreiber x22526

PAGE 2-A







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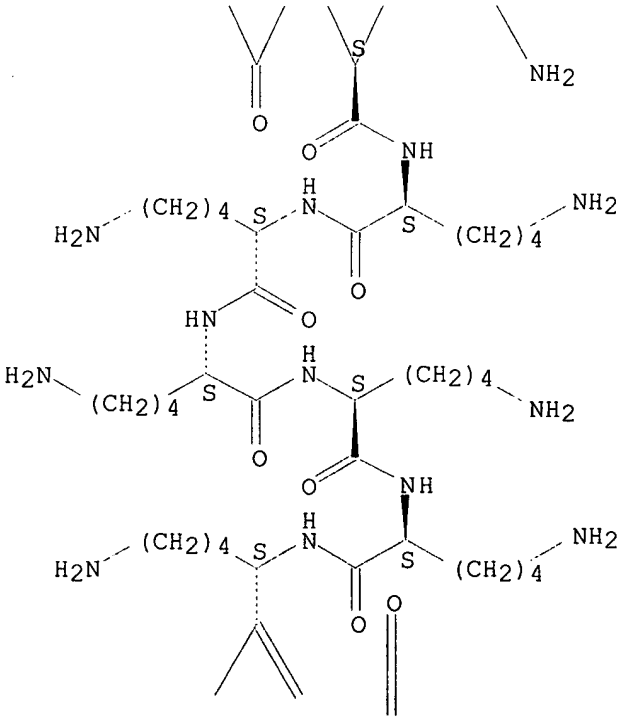

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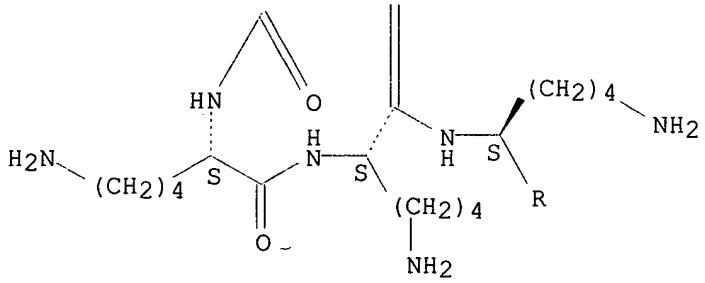


PAGE 4-A

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 18 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:83623 HCAPLUS
DOCUMENT NUMBER: 137:252788
TITLE: Proteolipidic Vectors for Gene Transfer to the Lung
AUTHOR(S): Vaysse, Laurence; Guillaume, Christine; Burgelin, Ingrid; Gorry, Philippe; Ferec, Claude; Arveiler, Benoit
CORPORATE SOURCE: Laboratoire de Pathologie Moleculaire et Therapie Genique, Universite Victor Segalen Bordeaux 2, Bordeaux, 33076, Fr.
SOURCE: Biochemical and Biophysical Research Communications (2002), 290(5), 1489-1498
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

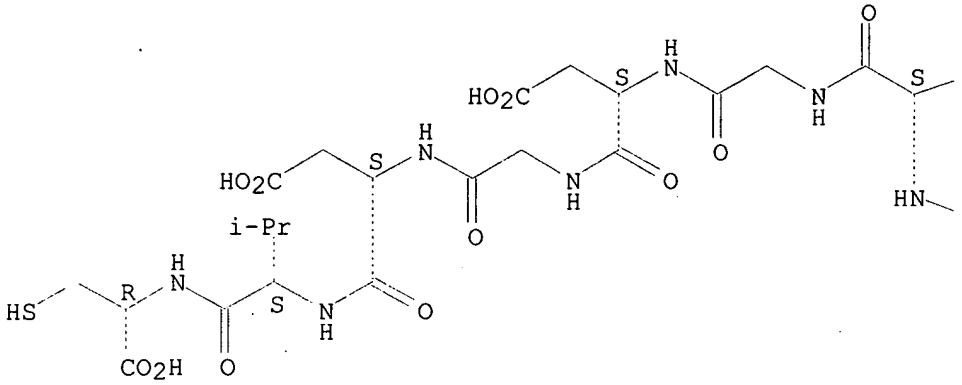
AB In order to develop improved synthetic gene transfer vectors, we have synthesized bifunctional peptides composed of a DNA binding peptide (P2) and ligand peptides selected by the phage display technique on tracheal epithelial cells. We have evaluated the capacity of these peptides to enhance the gene transfer efficiency of the **cationic** lipid DOTAP to the mouse lung. To optimize the in vivo **transfection** efficiency, we first compared the efficiency of DOTAP to **transfect** the lung by either i.v. injection or aerosolization. We then tested DNA/Peptide/DOTAP complexes formed at different Peptide/DNA and DOTAP/DNA charge ratios. Under optimal conditions, precompaction of DNA by peptide P2 gave a higher expression in the mouse lung using the luciferase reporter gene than DOTAP/DNA complexes. A further increase of **transfection** efficiency was obtained with the bifunctional peptide P2-9. Expts. performed with the GFP reporter gene showed expression in the alveolar parenchyme. (c) 2002 Academic Press.

IT **460313-18-2 460313-19-3**
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(capacity of peptides to enhance gene transfer efficiency of DOTAP to lung)

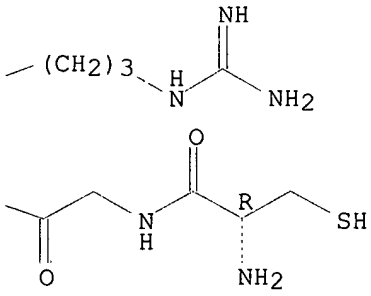
RN 460313-18-2 HCAPLUS
CN L-Cysteine, L-cysteinylglycyl-L-arginylglycyl-L-α-aspartylglycyl-L-α-aspartyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



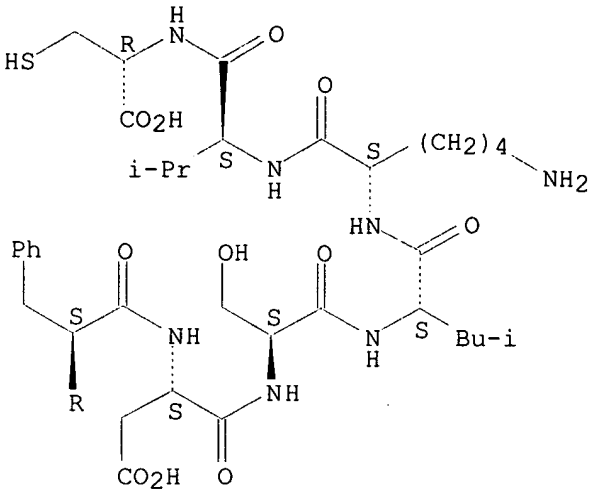
PAGE 1-B



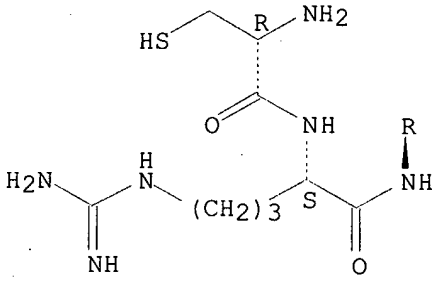
RN 460313-19-3 HCAPLUS
CN L-Cysteine, L-cysteinyl-L-arginyl-L-phenylalanyl-L-α-aspartyl-L-seryl-L-leucyl-L-lysyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



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L48 ANSWER 19 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:886529 HCAPLUS
DOCUMENT NUMBER: 136:32635
TITLE: Improved methods of transfection of cells with a receptor targeted vector and uses thereof
INVENTOR(S): Hart, Stephen Lewis
PATENT ASSIGNEE(S): ICH Productions Ltd., UK
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092543	A2	20011206	WO 2001-GB2396	20010530
WO 2001092543	A3	20020912		

Search completed by David Schreiber x22526

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1285081 A2 20030226 EP 2001-931977 20010530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2003534804 T2 20031125 JP 2002-500735 20010530
US 2004014217 A1 20040122 US 2003-296879 20030602
PRIORITY APPLN. INFO.: GB 2000-13089 A 20000530
GB 2000-13090 A 20000530
US 2001-287410P P 20010501
WO 2001-GB2396 W 20010530

AB The present invention relates to an improved method of transfecting cells. Transfection of confluent cells or other slowly dividing or non-dividing cells that are in contact with each other with a nucleic acid using a non-viral receptor targeted vector may be improved by the concurrent use of an agent that disrupts cell-cell junctions, especially EGTA. The vector is especially an integrin-targeting transfection vector complex comprising (i) a nucleic acid, especially a nucleic acid encoding a sequence of interest, (ii) an integrin-binding component, especially an integrin-targeting peptide, (iii) a polycationic nucleic acid-binding component, especially an oligolysine, and (iv) a lipid component, especially, DOPE, DOTMA, DOSPA or combinations thereof. Various applications of the improved method of transfection are described.

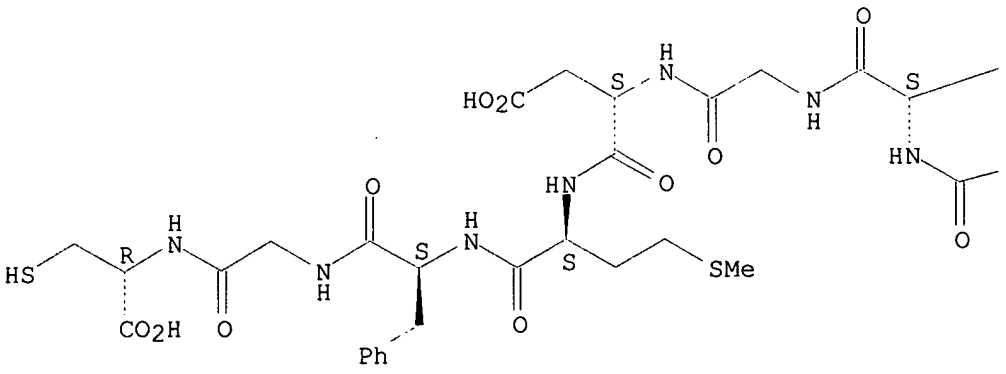
IT **379270-65-2 379270-71-0**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(amino acid sequence; improved methods of **transfection** of cells with a receptor targeted vector and uses thereof)

RN 379270-65-2 HCAPLUS

CN L-Cysteine, L-cysteinyl-L-arginylglycyl-L-α-aspartyl-L-methionyl-L-phenylalanylglycyl- (9CI) (CA INDEX NAME)

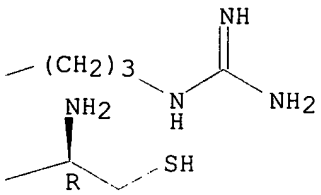
Absolute stereochemistry.

PAGE 1-A



Search completed by David Schreiber x22526

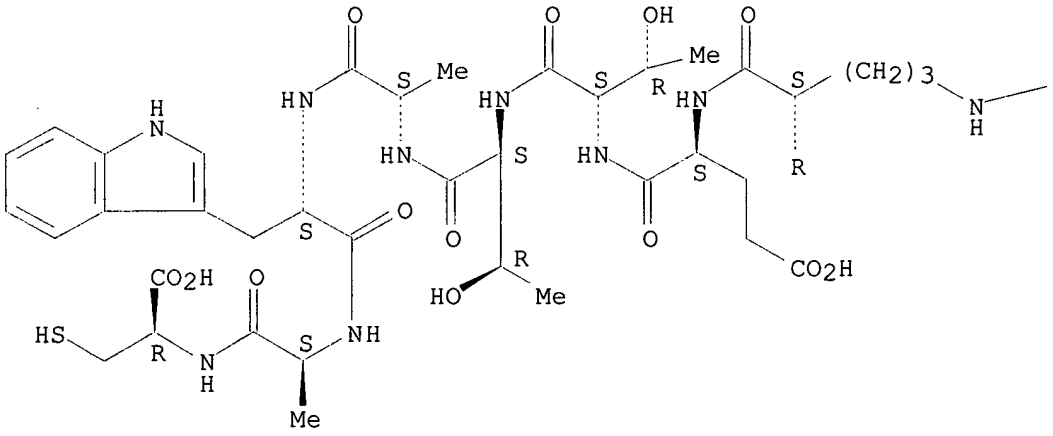
PAGE 1-B



RN 379270-71-0 HCAPLUS
CN L-Cysteine, L-cysteinyl-L-arginyl-L-arginyl-L-α-glutamyl-L-threonyl-L-threonyl-L-alanyl-L-tryptophyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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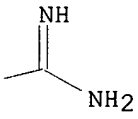
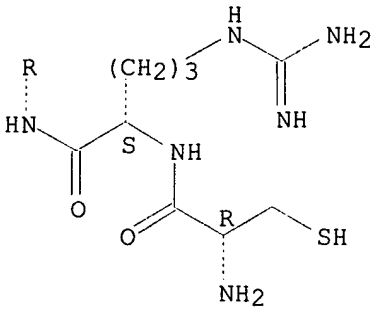




L48 ANSWER 20 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:636468 HCAPLUS
DOCUMENT NUMBER: 135:252520
TITLE: Dimerizable **Cationic** Detergents with a Low cmc Condense Plasmid DNA into Nanometric Particles and **Transfect** Cells in Culture
AUTHOR(S): Dauty, Emmanuel; Remy, Jean-Serge; **Blessing, Thomas; Behr, Jean-Paul**
CORPORATE SOURCE: Laboratoire de Chimie Genetique, CNRS/Universite Louis Pasteur de Strasbourg Faculte de Pharmacie, Illkirch, 67401, Fr.
SOURCE: Journal of the American Chemical Society (2001), 123(38), 9227-9234
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

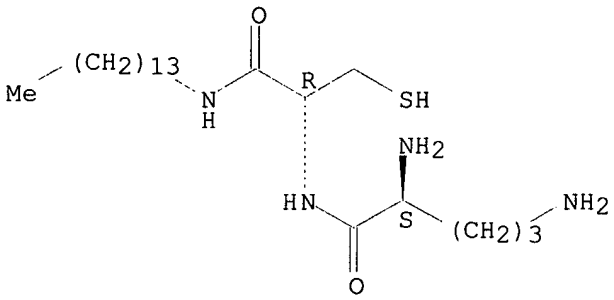
AB The size of condensed DNA particles is a key determinant for in vivo diffusion and gene delivery to cells. Gene mols. can be individually compacted by **cationic** thiol detergents into nanometric particles that are stabilized by oxidative conversion of the detergent into a gemini lipid. To reach the other goal, gene delivery, a series of **cationic** thiol detergents with various chain lengths (C12-C16) and headgroups (ornithine or spermine) was prepared, using a versatile polymer-supported synthetic strategy. Critical micelle concns. and thiol oxidation rates of the detergents were measured. The formation and stability of complexes formed with plasmid DNA, as well as the size, ξ-potential, morphol., and **transfection** efficiency of the **particles** were investigated. Using the tetradecane/ornithine detergent, a solution of 5.5 Kpb plasmid DNA mols. was converted into a homogeneous population of 35 nm particles. The same detergent, once oxidized, exhibited a typical lipid phase internal structure and was capable of effective cell **transfection**. The particle size did not increase with time. Surprisingly, the gel electrophoretic mobility of the DNA complexes was found to be higher than that of plasmid DNA itself. Favorable in vivo diffusion and intracellular trafficking properties may thus be expected for these complexes.

IT **227176-25-2P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(thiol **cationic** detergent; dimerizable **cationic** detergents with a low cmc condense plasmid DNA into nanometric particles and **transfect** cells in culture)

RN 227176-25-2 HCAPLUS
CN L-Cysteinamide, L-ornithyl-N-tetradecyl- (9CI) (CA INDEX NAME)

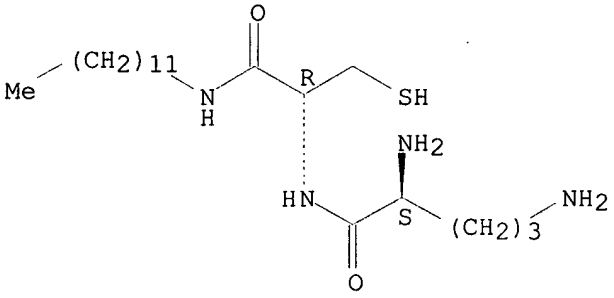
Absolute stereochemistry.



IT **227176-24-1P 361525-74-8P**
RL: BUU (Biological use, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(thiol **cationic** detergent; dimerizable **cationic** detergents with a low cmc condense plasmid DNA into nanometric particles and **transfect** cells in culture)

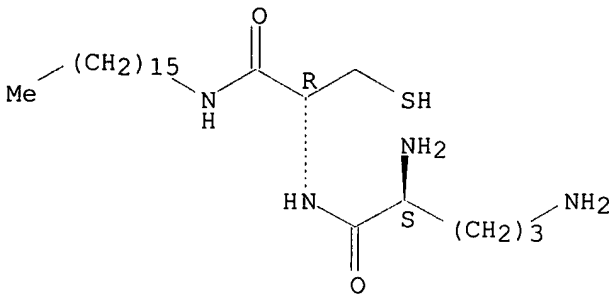
RN 227176-24-1 HCAPLUS
CN L-Cysteinamide, L-ornithyl-N-dodecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 361525-74-8 HCAPLUS
CN L-Cysteinamide, L-ornithyl-N-hexadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 21 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:483530 HCAPLUS
DOCUMENT NUMBER: 136:195946
TITLE: DNA condensation by an oxidizable **cationic** detergent. Interactions with lipid vesicles
AUTHOR(S): Lleres, D.; Dauty, E.; Behr, J.-P.; Mely, Y.; Duportail, G.
CORPORATE SOURCE: UMR 7034 du CNRS, Laboratoire de Pharmacologie et Physicochimie des Interactions Cellulaires et Moleculaires, Illkirch, 67401, Fr.
SOURCE: Chemistry and Physics of Lipids (2001), 111(1), 59-71
CODEN: CPLIA4; ISSN: 0009-3084
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

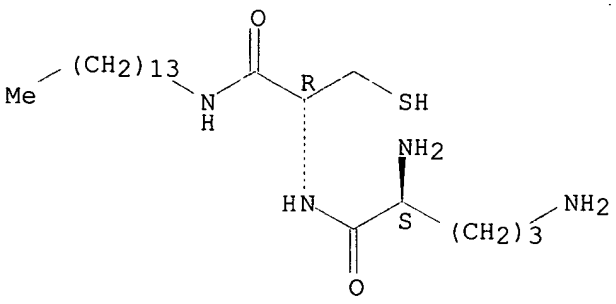
AB **Cationic** amphiphile-mediated delivery of plasmid DNA is the non-viral gene transfer method most often used. In the present work, we considered a new cysteine-detergent, ornithinyl-cysteinyl-tetradecylamide (C14-CO), able to convert itself, via oxidative dimerization, into a **cationic** cystine-lipid. By using fluorescence techniques, we first characterized the structure of complexes of plasmid DNA with C14-CO mols. either kept as monomers, or oxidized into dimers. Both forms are able to condense DNA, with the formation of hydrophobic micelle-like domains along the DNA chain. Domains with a larger mol. order were obtained with dimeric C14-CO/DNA complexes. In a second step, the interactions of these complexes with lipid vesicles considered as membrane models were investigated. In the presence of vesicles, we observed a decondensation of the DNA involved in complexes obtained with C14-CO monomers. With anionic vesicles, the DNA is released into the bulk solution, while with neutral vesicles, it remains bound to the vesicles via electrostatic interactions with inserted C14-CO mols. In sharp contrast, the complexes with C14-CO dimers are unaffected by the addition of either neutral or anionic vesicles and show no interaction with them. These results may partly explain the low **transfection** efficiency of these complexes at the ± charge ratios used in this study.

IT **227176-25-2**
RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); BIOL (Biological study); PROC (Process)
(C14-CO; DNA condensation by an oxidizable **cationic** detergent and interactions of DNA/detergent complexes with lipid vesicles)
RN 227176-25-2 HCAPLUS

Search completed by David Schreiber x22526

CN L-Cysteinamide, L-ornithyl-N-tetradecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 22 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:238066 HCAPLUS
DOCUMENT NUMBER: 134:276493
TITLE: **Cationic** virosomes as transfer system for genetic material
INVENTOR(S): Walti, Ernst Rudolf; Gluck, Reinhard; Klein, Peter
PATENT ASSIGNEE(S): Nika Health Products Limited, Liechtenstein
SOURCE: U.S., 39 pp., Cont.-in-part of U.S. Ser. No. 171,882.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6210708	B1	20010403	US 1999-414872	19991008
WO 9741834	A1	19971113	WO 1997-EP2268	19970504
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
NZ 504444	A	20001124	NZ 2000-504444	20000510
WO 2001026628	A1	20010419	WO 2000-EP9540	20000929
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1217990	A1	20020703	EP 2000-967824	20000929
EP 1217990	B1	20040128		

Search completed by David Schreiber x22526

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

TR 200200930	T2	20020821	TR 2002-20020093020000929	
JP 2003512306	T2	20030402	JP 2001-529418	20000929
AT 258428	E	20040215	AT 2000-967824	20000929
NO 2002001607	A	20020607	NO 2002-1607	20020405

PRIORITY APPLN. INFO.:

EP 1996-107282	A	19960508
WO 1997-EP2268	W	19970504
US 1998-171882	A2	19981230
NZ 1997-332666	A	19970504
US 1999-414872	A	19991008
WO 2000-EP9540	W	20000929

AB The present invention relates to a pos. charged virosome for efficient delivery of genetic material to resting or proliferating mammalian cells in vitro and in vivo. The virosome membrane contains **cationic** and/or polycationic lipids, at least one viral fusion peptide and preferably at least one cell-specific marker, advantageously selected from the group consisting of monoclonal antibodies, antibody fragments F(ab')2 and Fab', cytokines, and growth factors, for a selective detection and binding of target cells. The invention further relates to a method for the manufacture of the novel virosomes and to applications thereof, particularly for the manufacture of pharmaceutical compns. to treat cancer or leukemia.

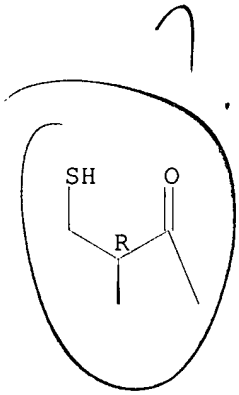
IT **144285-94-9 144285-96-1 144285-98-3**
144286-00-0 144286-02-2 144286-04-4
144286-06-6 144286-08-8
RL: PRP (Properties)
(unclaimed sequence; **cationic** virosomes as transfer system for genetic material)

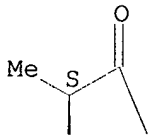
RN 144285-94-9 HCAPLUS

CN Glycine, L-cysteinyl-L-cysteinyl-L-cysteinylglycyl-L-leucyl-L-phenylalanylglycyl-L-alanyl-L-isoleucyl-L-alanylglycyl-L-phenylalanyl-L-isoleucyl-L-α-glutamyl-L-asparaginylglycyl-L-tryptophyl-L-α-glutamylglycyl-L-methionyl-L-isoleucyl-L-α-aspartylglycyl-L-tryptophyl-L-tyrosyl- (9CI) (CA INDEX NAME)

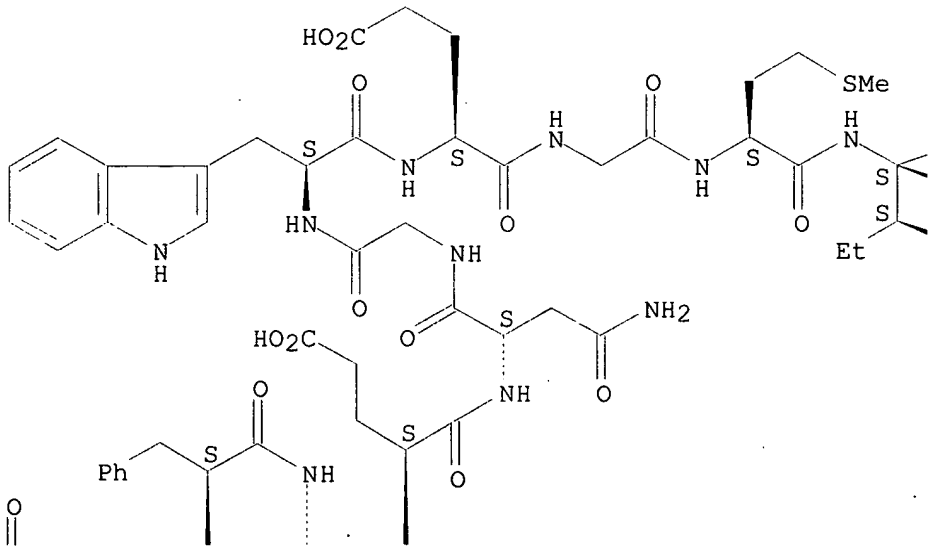
Absolute stereochemistry.

PAGE 1-A



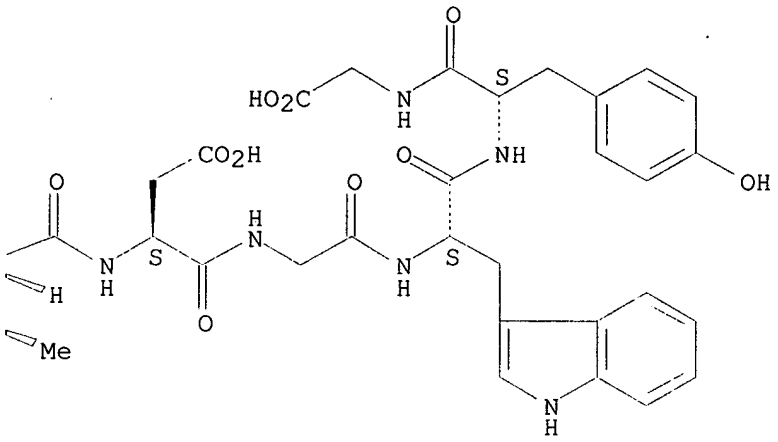


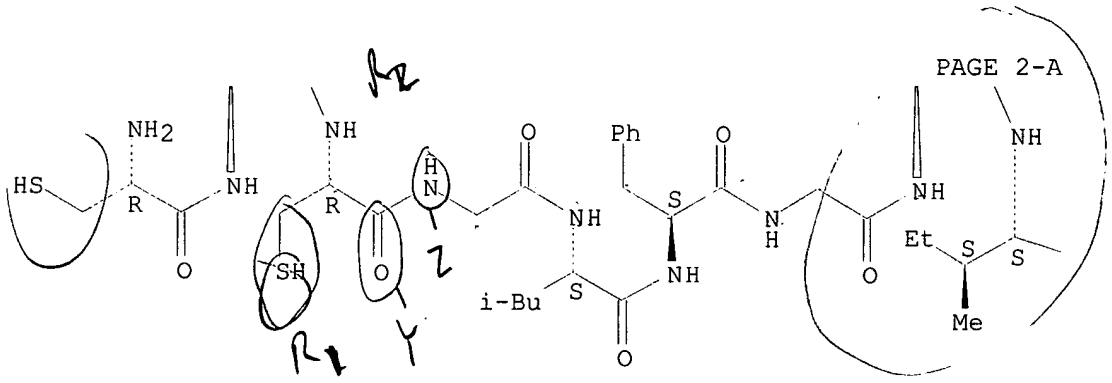
PAGE 1-B



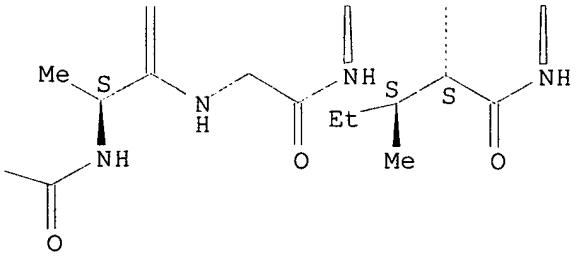
Search completed by David Schreiber x22526

PAGE 1-C





PAGE 2-A



PAGE 2-B

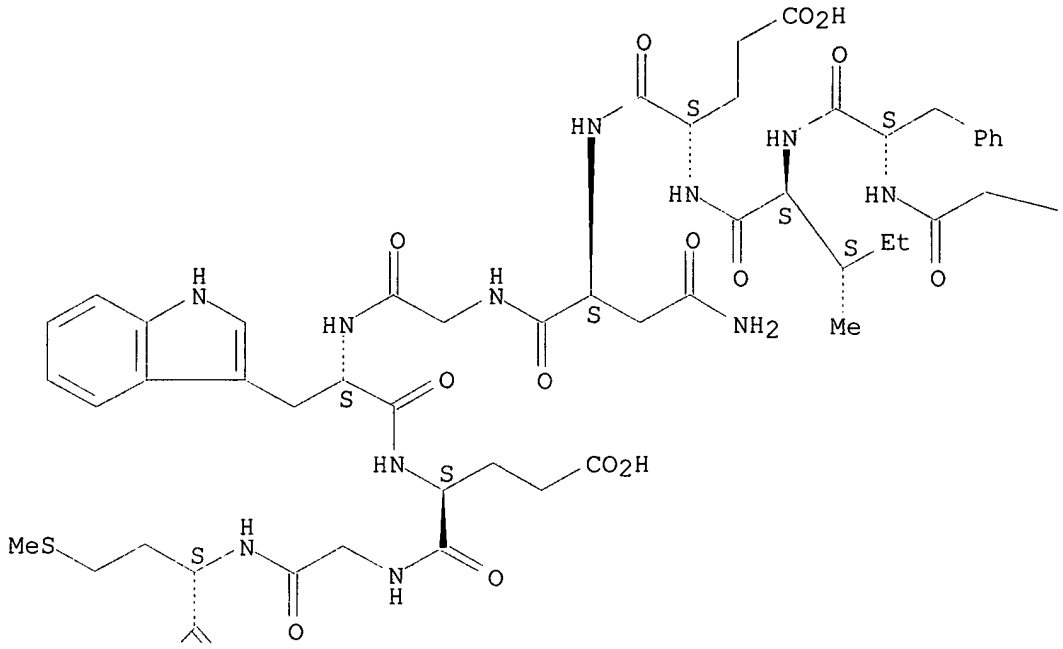
RN 144285-96-1 HCAPLUS

CN Glycine, L-cysteinyl-L-cysteinyl-L-cysteinylglycyl-L-leucyl-L-phenylalanylglycyl-L-alanyl-L-isoleucyl-L-alanylglycyl-L-phenylalanyl-L-isoleucyl-L-α-glutamyl-L-asparaginylglycyl-L-tryptophyl-L-α-glutamylglycyl-L-methionyl-L-isoleucyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

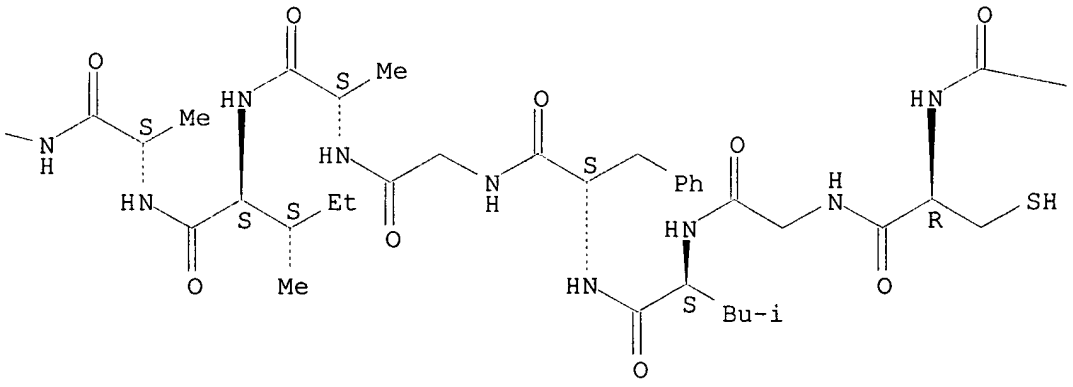
Absolute stereochemistry.

Search completed by David Schreiber x22526

PAGE 1-A

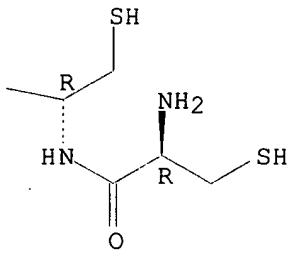


PAGE 1-B

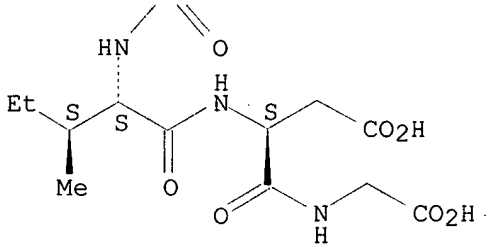


Search completed by David Schreiber x22526

PAGE 1-C



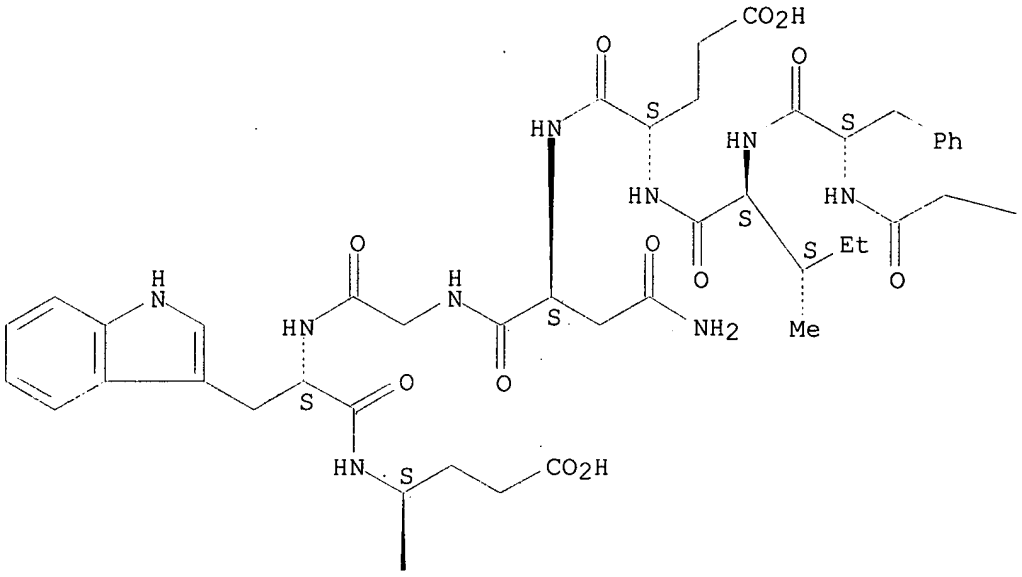
PAGE 2-A



RN 144285-98-3 HCAPLUS
CN Glycine, L-cysteinyl-L-cysteinyl-L-cysteinylglycyl-L-leucyl-L-phenylalanyl-L-α-glutamyl-L-alanyl-L-isoleucyl-L-alanylglycyl-L-phenylalanyl-L-isoleucyl-L-α-glutamyl-L-asparaginylglycyl-L-tryptophyl-L-α-glutamylglycyl-L-methionyl-L-isoleucyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

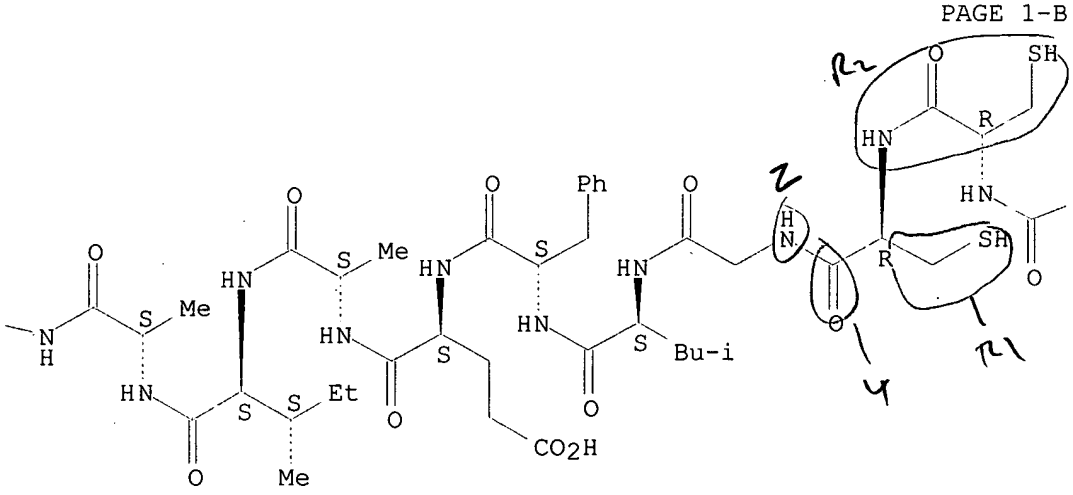
Absolute stereochemistry.

PAGE 1-A



Too long for R3

PAGE 1-B



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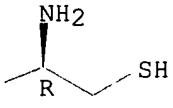

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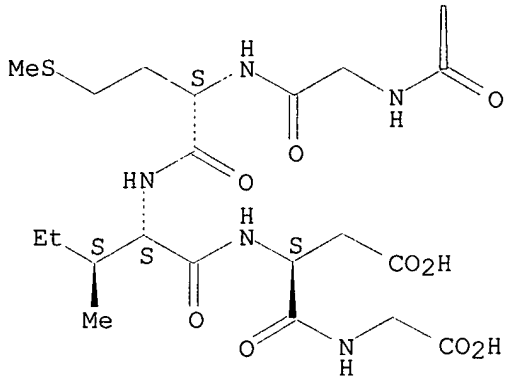
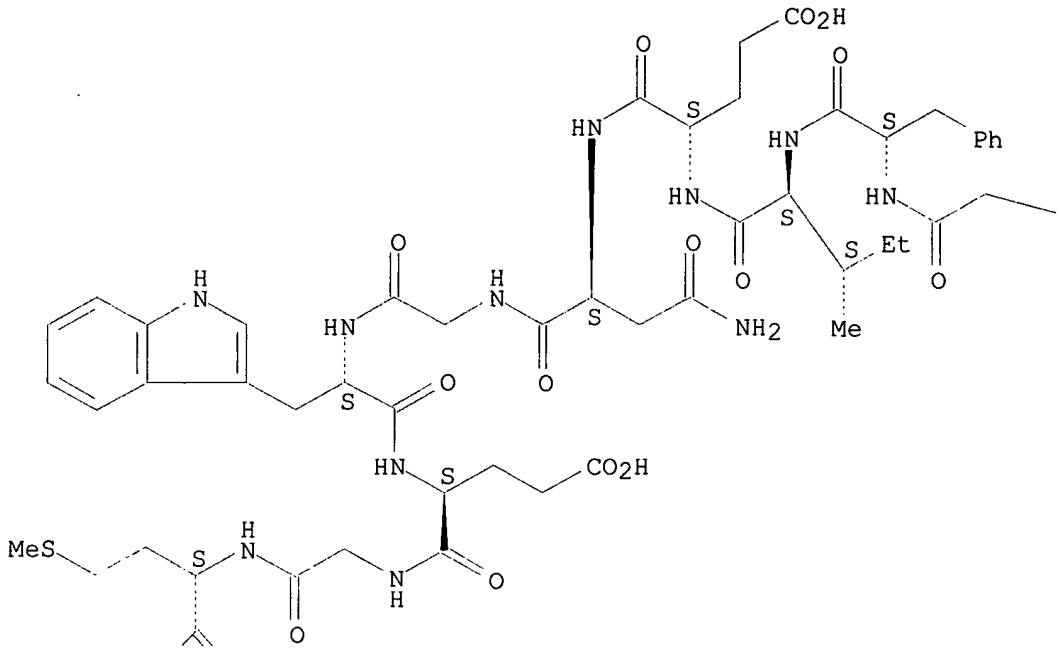


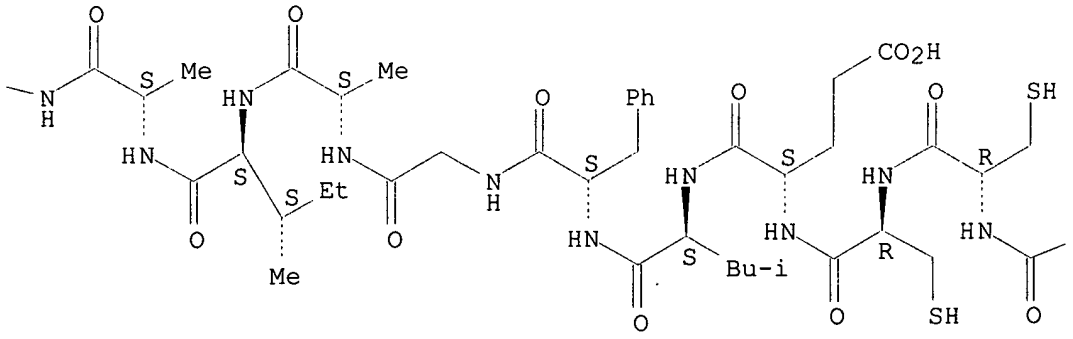
RN 144286-00-0 HCAPLUS
CN Glycine, L-cysteinyl-L-cysteinyl-L-cysteinyl-L-α-glutamyl-L-leucyl-L-phenylalanylglycyl-L-alanyl-L-isoleucyl-L-alanylglycyl-L-phenylalanyl-L-isoleucyl-L-α-glutamyl-L-asparaginylglycyl-L-tryptophyl-L-α-glutamylglycyl-L-methionyl-L-isoleucyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

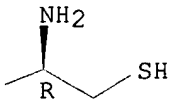


PAGE 1-B



Search completed by David Schreiber x22526

PAGE 1-C



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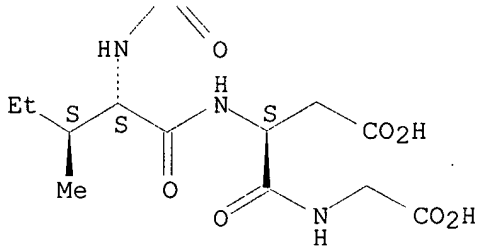

RN 144286-02-2 HCAPLUS
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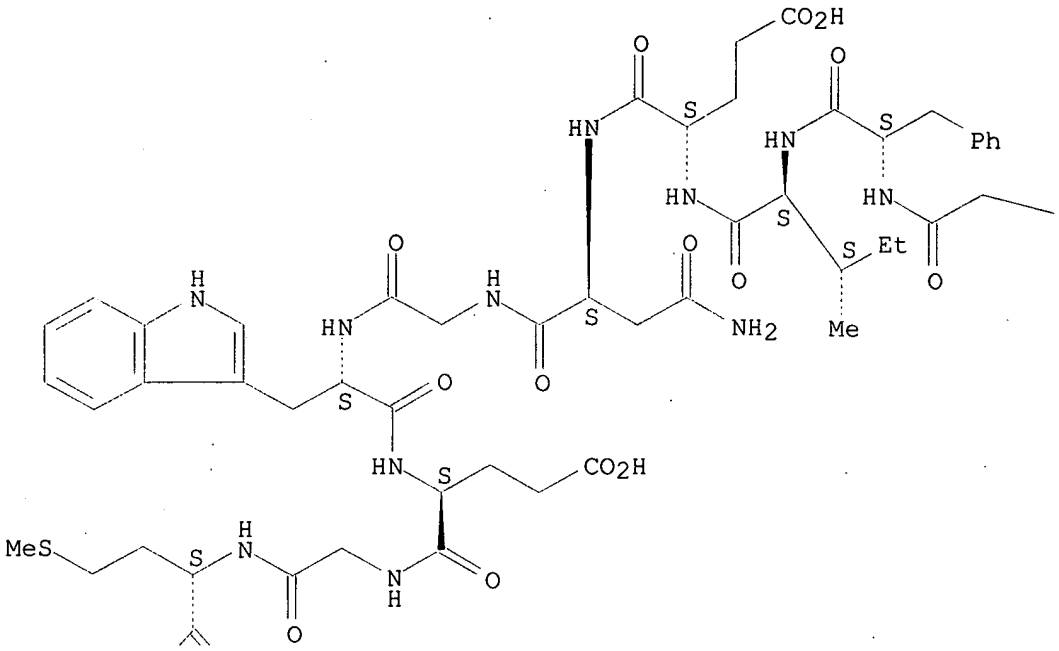
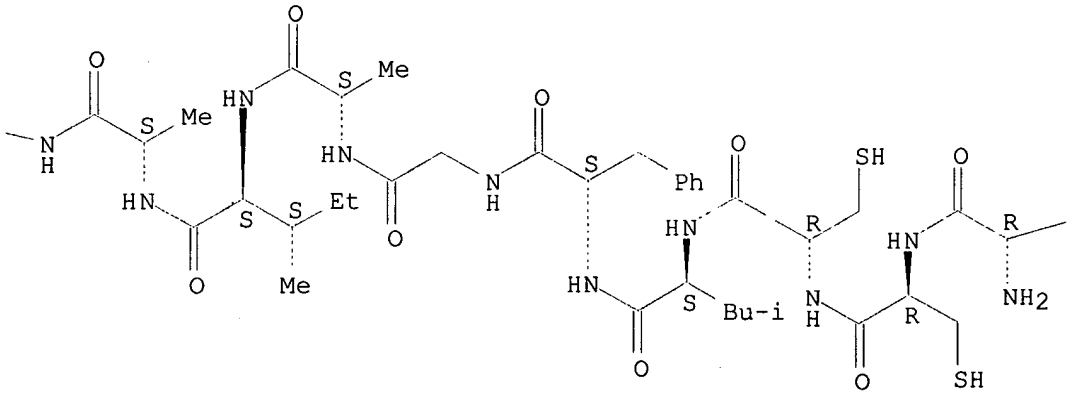
Absolute stereochemistry.

PAGE 1-A

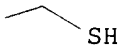


PAGE 1-B

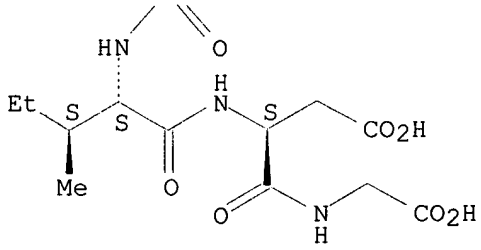


Search completed by David Schreiber x22526

PAGE 1-C



PAGE 2-A

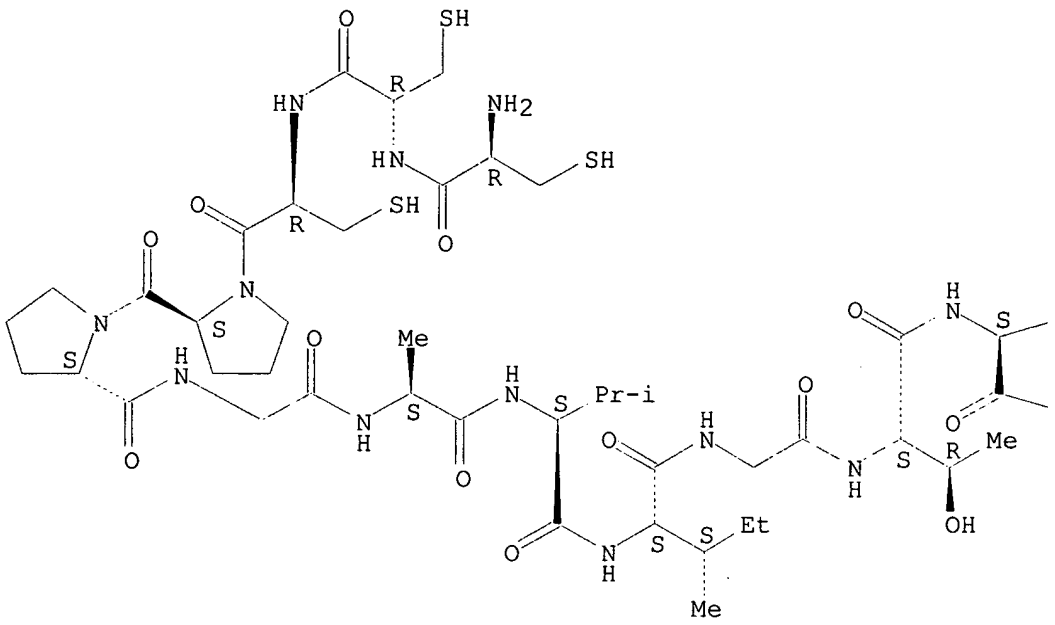


RN 144286-04-4 HCAPLUS
CN L-Threonine, L-cysteinyl-L-cysteinyl-L-cysteinyl-L-prolyl-L-prolylglycyl-L-alanyl-L-valyl-L-isoleucylglycyl-L-threonyl-L-isoleucyl-L-alanyl-L-leucylglycyl-L-valyl-L-alanyl-L-threonyl-L-alanyl-L-alanylglycyl-L-isoleucyl- (9CI) (CA INDEX NAME)

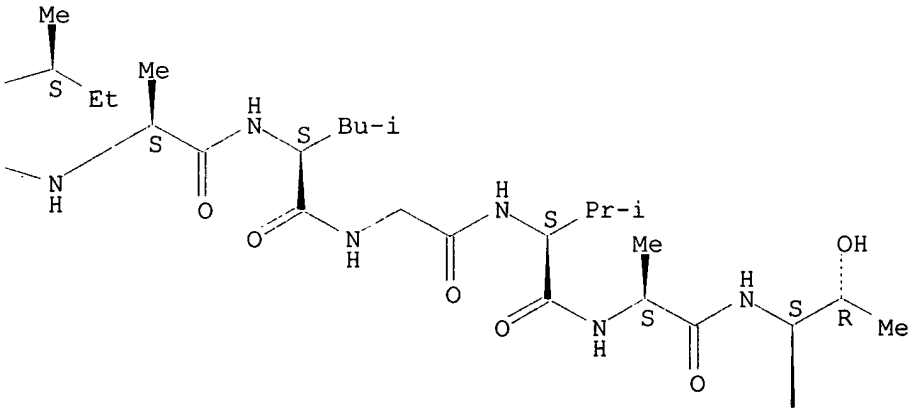
Absolute stereochemistry.

Search completed by David Schreiber x22526

PAGE 1-A



PAGE 1-B



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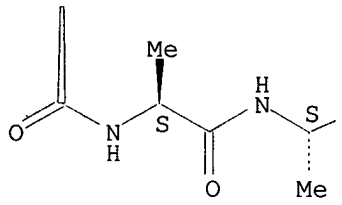
PAGE 2-B



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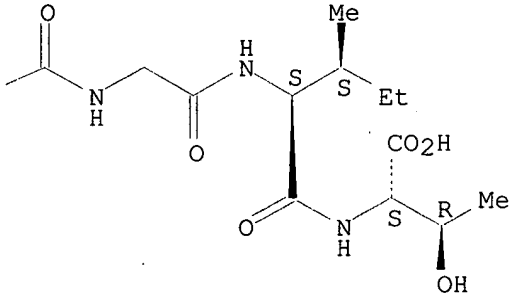

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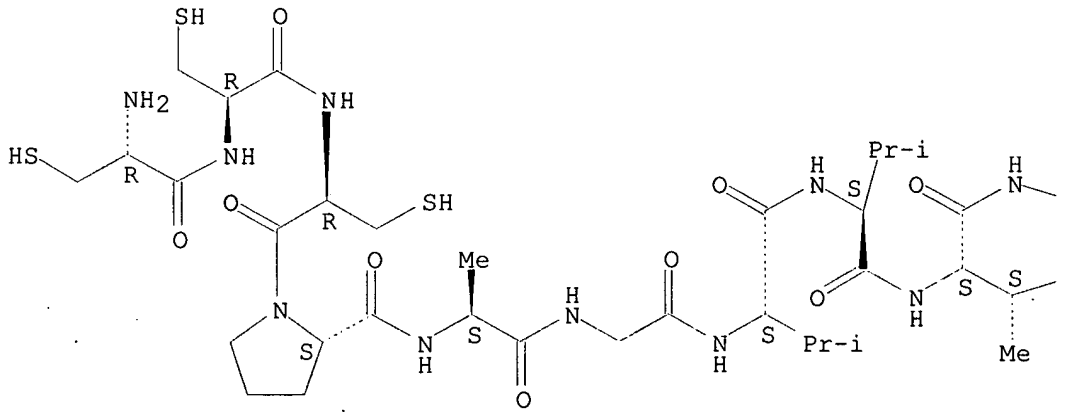
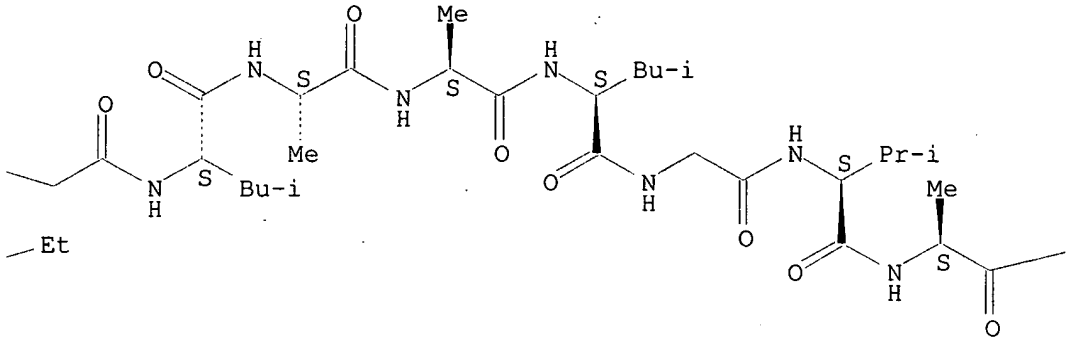
Absolute stereochemistry.

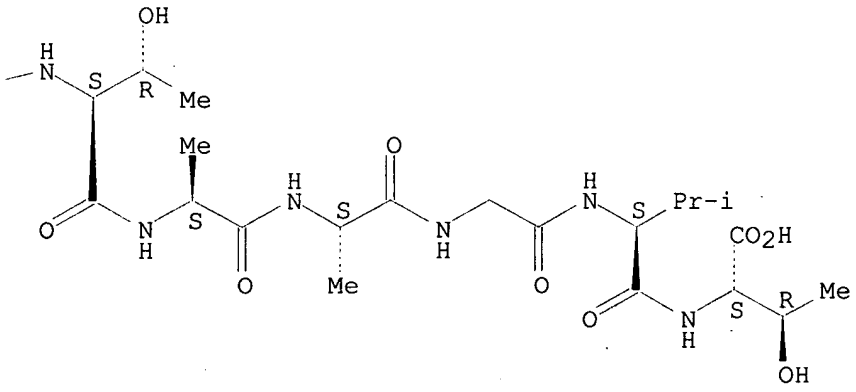
PAGE 1-A



PAGE 1-B



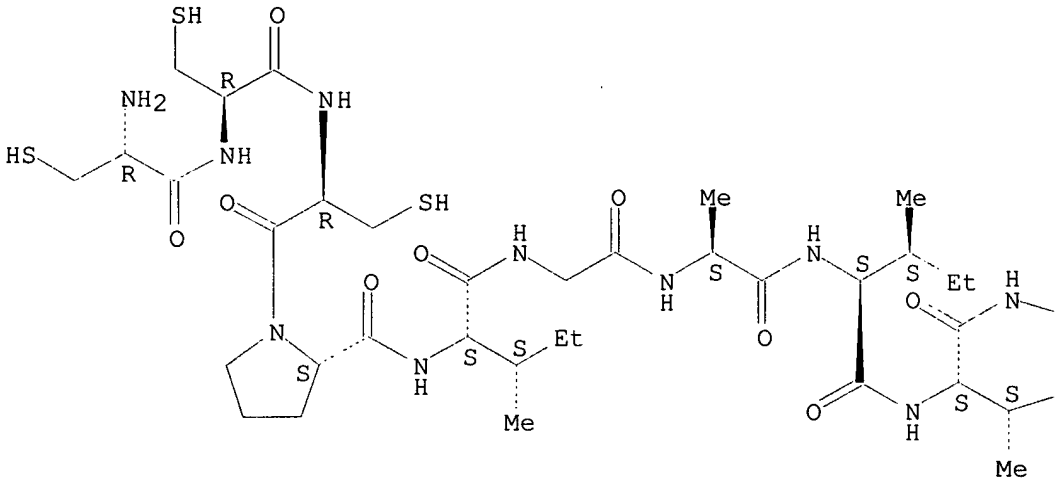
PAGE 1-C



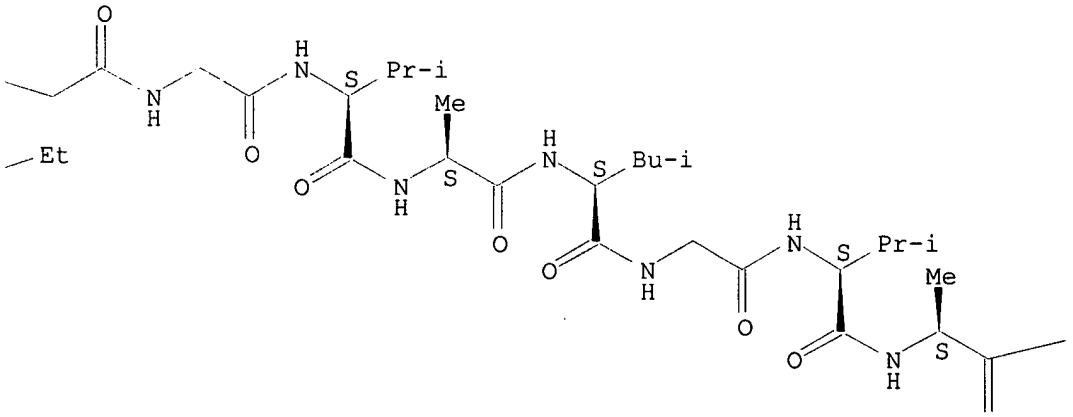
RN 144286-08-8 HCAPLUS
CN L-Threonine, L-cysteinyl-L-cysteinyl-L-cysteinyl-L-prolyl-L-isoleucylglycyl-L-alanyl-L-isoleucyl-L-isoleucylglycylglycyl-L-valyl-L-alanyl-L-leucylglycyl-L-valyl-L-alanyl-L-threonyl-L-alanyl-L-alanylglycyl-L-isoleucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

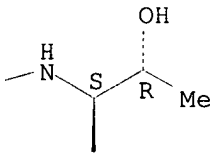


PAGE 1-B



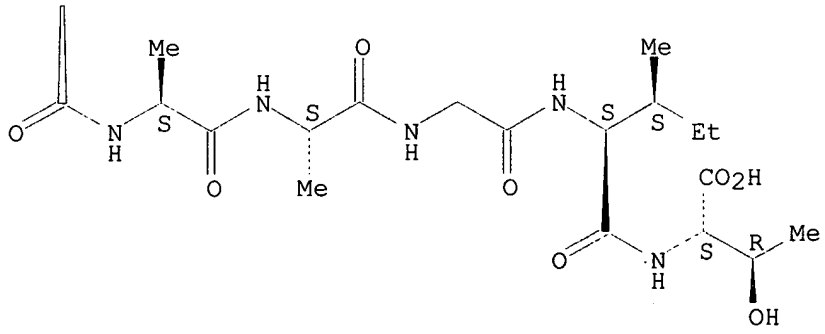
Search completed by David Schreiber x22526

PAGE 1-C



PAGE 2-B

PAGE 2-C



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 23 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:52575 HCAPLUS
DOCUMENT NUMBER: 135:277883

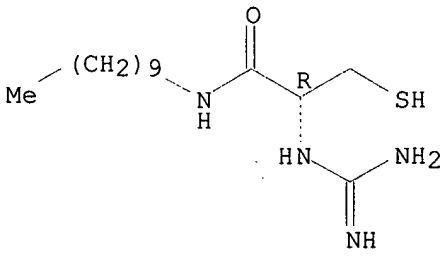
Search completed by David Schreiber x22526

TITLE: Dimerizable detergents as gene transfer vectors
AUTHOR(S): Blessing, Thomas; Dauty, Emmanuel; Remy, Jean-Serge; Behr, Jean-Paul
CORPORATE SOURCE: Laboratoire de Chimie Genetique associe CNRS/Universite Louis Pasteur, Faculte de Pharmacie de Strasbourg, Illkirch, 67401, Fr.
SOURCE: Journal of Liposome Research (2000), 10(4), 321-327 CODEN: JLREE7; ISSN: 0898-2104
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB **Cationic** lipids are efficient vectors for DNA delivery in vitro. However, they condense DNA into large polymorphic particles, which severely limits their in vivo performances due to size-restricted diffusion. In contrast, detergents are capable of collapsing DNA into smaller particles but do not mediate cell **transfection** per se. We have succeeded in retaining the interesting features of both types of amphiphiles in a two-step process leading to monomol. DNA particles stable in physiol. medium. Anionic DNA mols. were first individually condensed with a designed **cationic** cysteine-based detergent. The resulting small particles were then stabilized by spontaneous thiol dimerization of the cysteine-detergent into a cystine-lipid on the template DNA. Laser light scattering as well as electron microscopy revealed a monodisperse population of spherical particles that were stable for days in physiol. conditions. With an appropriate choice of hydrocarbon chain length, monomol. complexes exhibiting a typical lipid/DNA internal structure could be obtained. Their in vitro cell **transfection** properties compare favorably with those of Lipofectamine and PEI.

IT **227176-10-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dimerizable detergents as gene transfer vectors)
RN 227176-10-5 HCAPLUS
CN Propanamide, 2-[(aminoiminomethyl)amino]-N-decyl-3-mercapto-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 24 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:881174 HCAPLUS
DOCUMENT NUMBER: 134:61521
TITLE: Compositions and methods for delivery of drugs and nucleic acids using pH sensitive molecules
INVENTOR(S): Wolff, Jon A.

Search completed by David Schreiber x22526

PATENT ASSIGNEE(S): Mirus Corporation, USA
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000075164	A1	20001214	WO 2000-US15651	20000607
W: JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1102785	A1	20010530	EP 2000-939634	20000607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6630351	B1	20031007	US 2000-589978	20000607
US 2004058446	A1	20040325	US 2003-619778	20030715

PRIORITY APPLN. INFO.:
US 1999-137859P P 19990607
US 1999-167836P P 19991129
US 1999-172809P P 19991221
US 2000-589978 A3 20000607
WO 2000-US15651 W 20000607

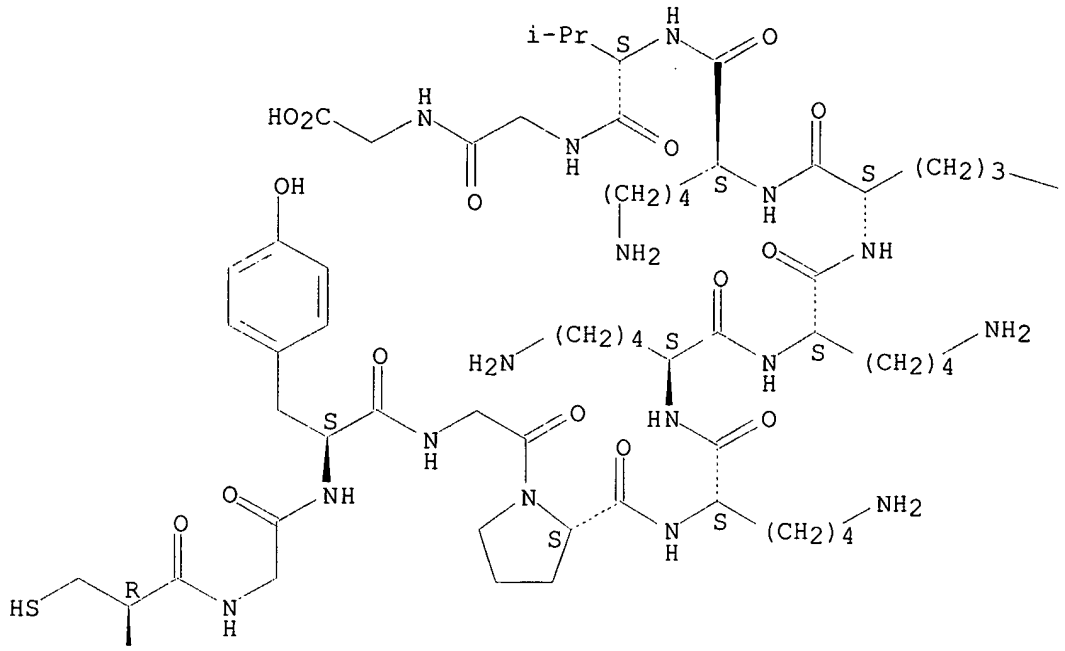
AB A system relating to the delivery of desired compds. (e.g., drugs and nucleic acids) into cells using pH-sensitive delivery systems is presented. The system provides compns. and methods for the delivery and release of a compound to a cell. **Transfection** of Hela cells with histone H1 and the membrane active peptide melittin, dimethylmaleic-modified melittin or succinic anhydride-modified melittin was carried out. The 2,3-dimethylmaleic modification of melittin allowed the peptide to complex with the **cationic** protein histone H1 and then cleave to release and reactivate in the lowered pH encountered by the complex in the cellular endosomal compartment. This caused a significant increase in luciferase expression over either unmodified melittin peptide or melittin peptide modified with succinic anhydride which allows complexing with histone H1 but does not cleave in lowered pH.

IT **104914-40-1 285131-20-6 285131-22-8**
285131-24-0 313216-57-8
RL: PRP (Properties)
(unclaimed sequence; compns. and methods for delivery of drugs and nucleic acids using pH sensitive mols.)

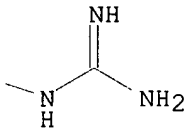
RN 104914-40-1 HCAPLUS
CN Glycine, L-cysteinylglycyl-L-tyrosylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



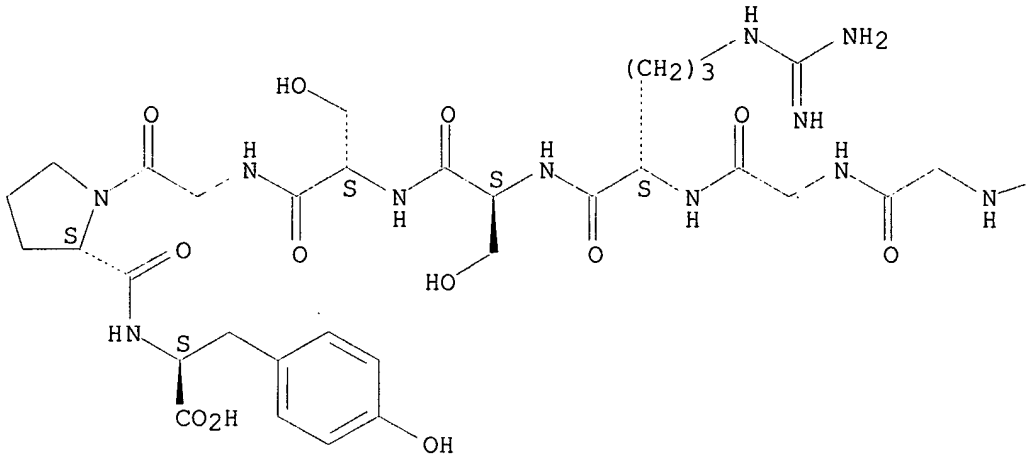
PAGE 2-A

RN 285131-20-6 HCAPLUS
CN L-Tyrosine, L-cysteinyl-L-tyrosyl-L-asparaginyl-L-α-aspartyl-L-phenylalanylglycyl-L-asparaginyl-L-tyrosyl-L-asparaginyl-L-asparaginyl-L-glutaminyl-L-seryl-L-seryl-L-asparaginyl-L-phenylalanylglycyl-L-prolyl-L-methionyl-L-lysyl-L-glutaminylglycyl-L-asparaginyl-L-phenylalanylglycylglycyl-L-arginyl-L-seryl-L-serylglycyl-L-prolyl- (9CI) (CA INDEX NAME)

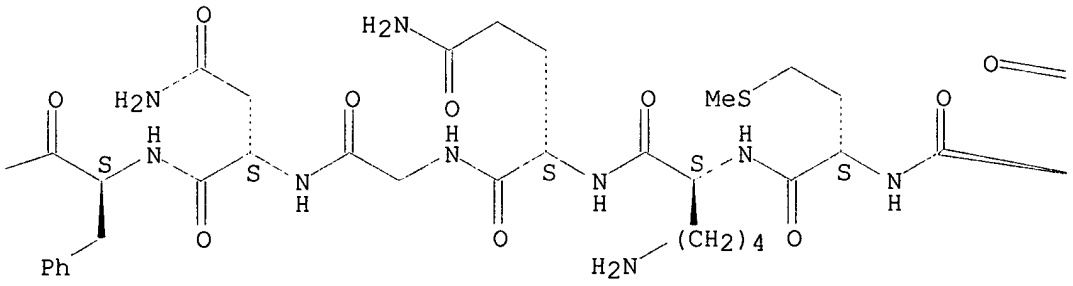
Absolute stereochemistry.

Search completed by David Schreiber x22526

PAGE 1-A

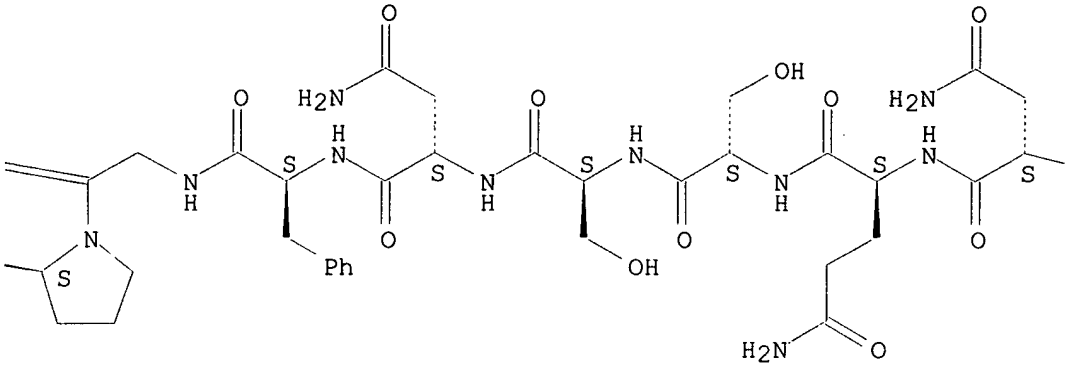


PAGE 1-B

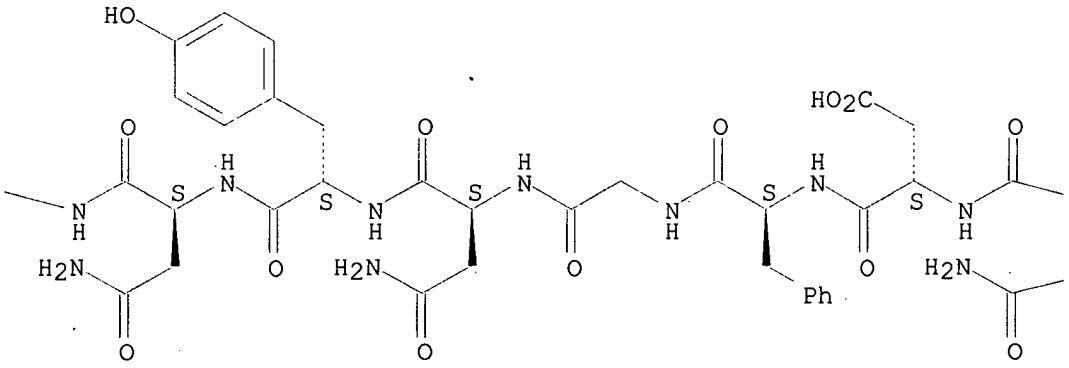


Search completed by David Schreiber x22526

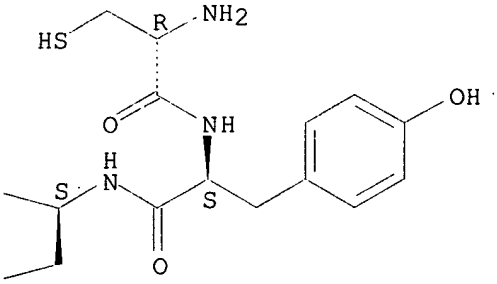
PAGE 1-C



PAGE 1-D



PAGE 1-E

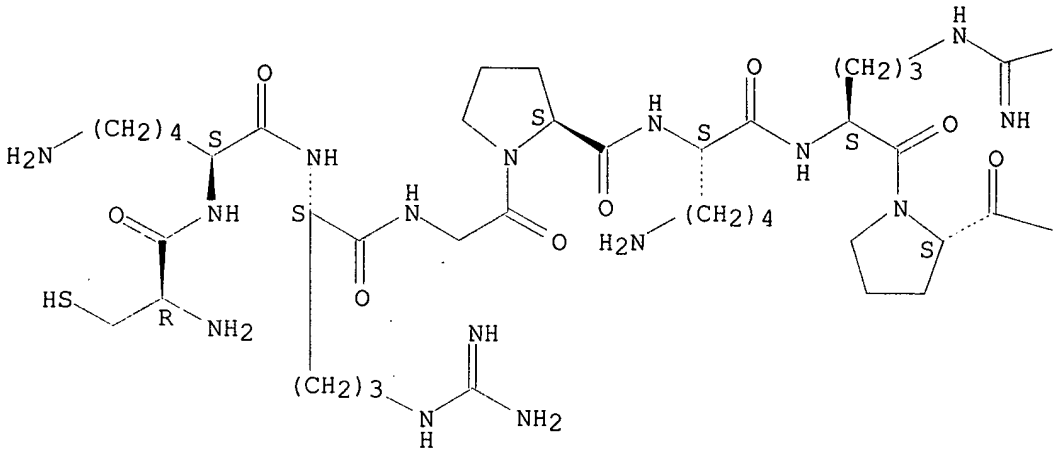


RN 285131-22-8 HCAPLUS
CN L-Proline, L-cysteinyl-L-lysyl-L-arginylglycyl-L-prolyl-L-lysyl-L-arginyl-L-prolyl-L-arginyl- (9CI) (CA INDEX NAME)

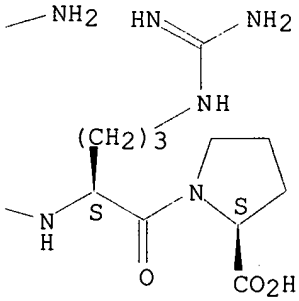
Absolute stereochemistry.

Search completed by David Schreiber x22526

PAGE 1-A



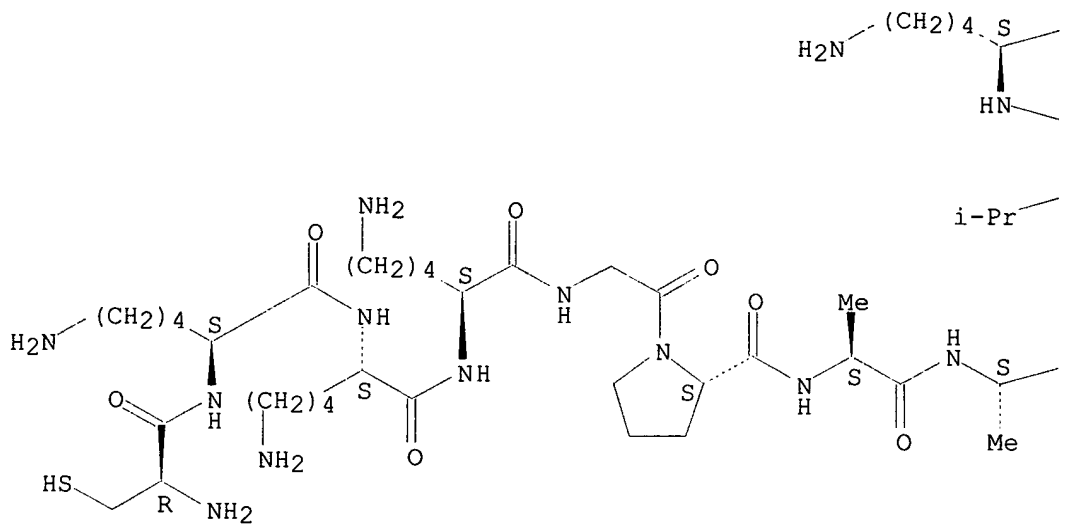
PAGE 1-B



RN 285131-24-0 HCAPLUS
CN L-Aspartic acid, L-cysteinyl-L-lysyl-L-lysyl-L-lysylglycyl-L-prolyl-L-alanyl-L-alanyl-L-lysyl-L-arginyl-L-valyl-L-lysyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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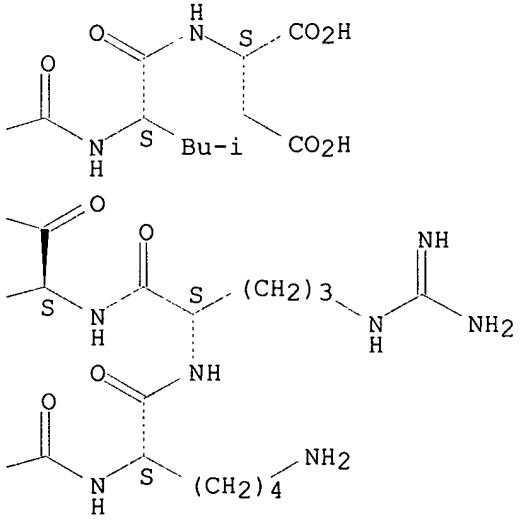
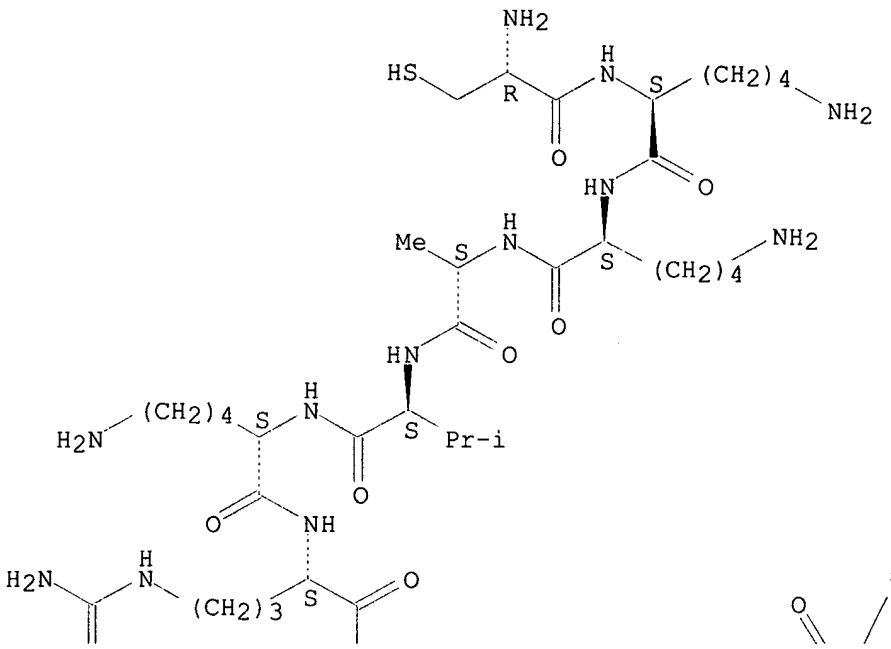


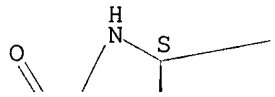
RN 313216-57-8 HCAPLUS
CN L-Leucine, L-cysteinyl-L-lysyl-L-lysyl-L-alanyl-L-valyl-L-lysyl-L-arginyl-L-prolyl-L-alanyl-L-alanyl-L-threonyl-L-lysyl-L-lysyl-L-alanylglycyl-L-glutaminyl-L-alanyl-L-lysyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Search completed by David Schreiber x22526

PAGE 1-A





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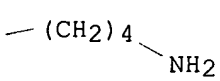
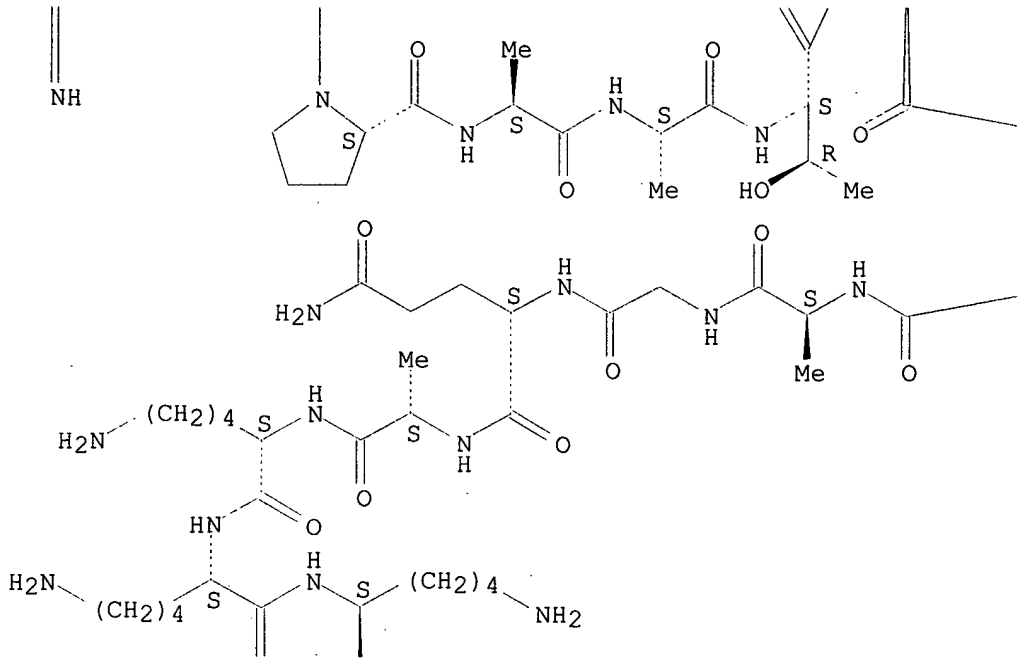
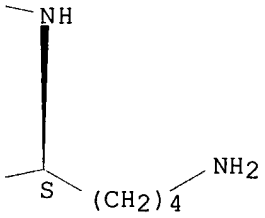


Search completed by David Schreiber x22526

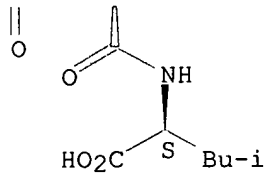
PAGE 2-A



PAGE 2-B



PAGE 3-A



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Search completed by David Schreiber x22526

L48 ANSWER 25 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:808568 HCAPLUS
DOCUMENT NUMBER: 133:345581
TITLE: Method for transferring an gene of interest into a cell by using C1 complement factor subunit and uses thereof in gene therapy
INVENTOR(S): Jacob, Eric
PATENT ASSIGNEE(S): Transgene S.A., Fr.
SOURCE: Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1052288	A1	20001115	EP 1999-401155	19990510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1052287	A2	20001115	EP 2000-401284	20000508
EP 1052287	A3	20030709		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2307446	AA	20001110	CA 2000-2307446	20000509
AU 770607	B2	20040226	AU 2000-32610	20000509
JP 2001029087	A2	20010206	JP 2000-137647	20000510

PRIORITY APPLN. INFO.: EP 1999-401155 A 19990510
US 2000-187217P P 20000303

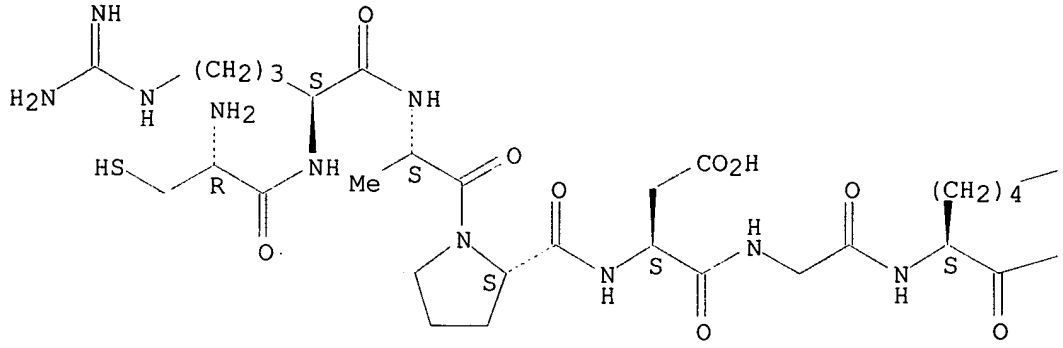
AB The invention provides a complex for transferring an anionic substance of interest in to a cells that comprises: (I) at least a first polypeptide capable of binding to an anionic substance, (II) a anionic substance of the interest, wherein said first polypeptides comprises all or part of an amino acid sequences of the C1 complement factor. The invention further relates to the uses of this complex in gene therapy.

IT **144525-73-5P**
RL: BUU (Biological use, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino acid sequence; method for transferring gene of interest into cell by using C1 complement factor subunit and uses thereof in gene therapy)

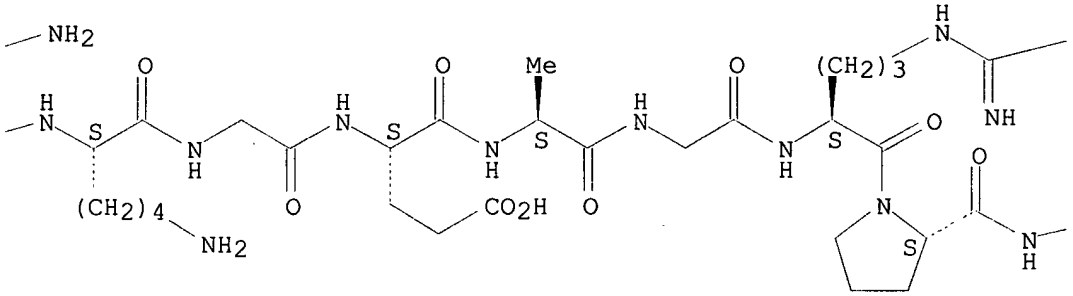
RN 144525-73-5 HCAPLUS
CN L-Lysine, L-cysteinyl-L-arginyl-L-alanyl-L-prolyl-L-α-aspartylglycyl-L-lysyl-L-lysylglycyl-L-α-glutamyl-L-alanylglycyl-L-arginyl-L-prolylglycyl-L-arginyl-L-arginylglycyl-L-arginyl-L-prolylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

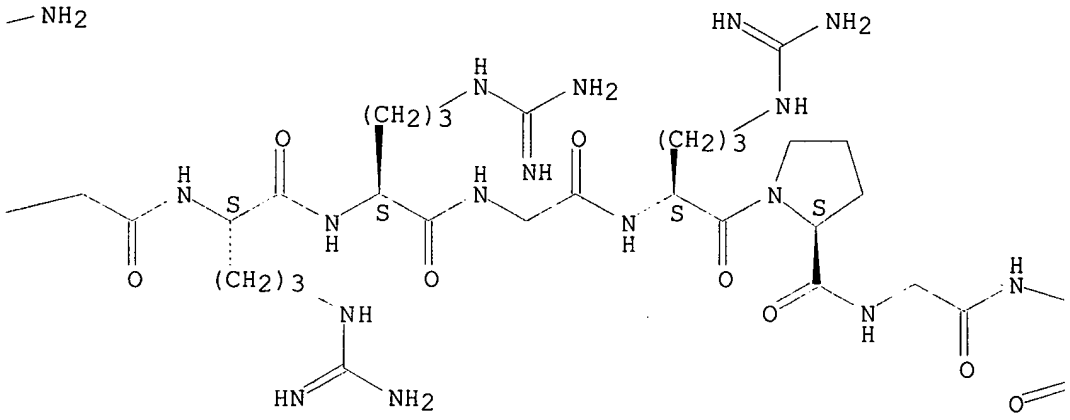


PAGE 1-B

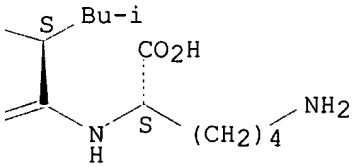


Search completed by David Schreiber x22526

PAGE 1-C



PAGE 1-D



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 26 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:808567 HCAPLUS
DOCUMENT NUMBER: 133:359782
TITLE: Method for transferring an gene of interest into a cell by using C1 complement factor subunit and uses

Search completed by David Schreiber x22526

thereof in gene therapy
INVENTOR(S): Jacobs, Eric
PATENT ASSIGNEE(S): Transgene S.A., Fr.
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1052287	A2	20001115	EP 2000-401284	20000508
EP 1052287	A3	20030709		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1052288	A1	20001115	EP 1999-401155	19990510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: EP 1999-401155 A 19990510
US 2000-187217P P 20000303

AB The invention provides a complex for transferring an anionic substance of interest in to a cells that comprises: (I) at least a first polypeptide capable of binding to an anionic substance, (II) a anionic substance of the interest, wherein said first polypeptides comprises all or part of an amino acid sequences of the C1 complement factor. The invention further relates to the uses of this complex in gene therapy.

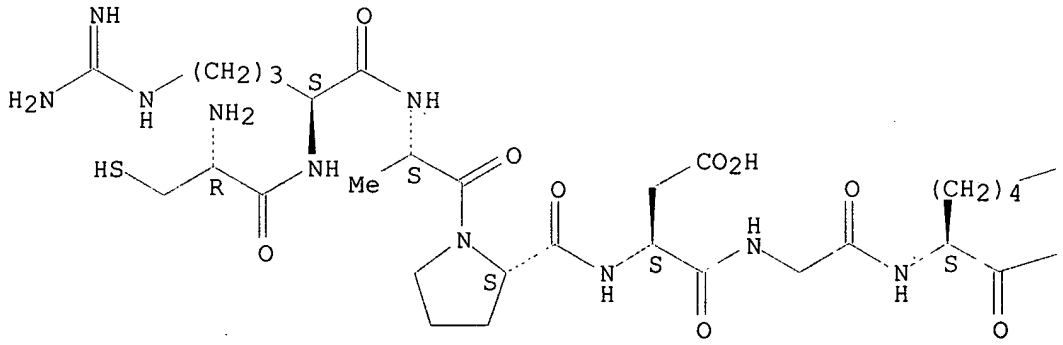
IT **144525-73-5P 306961-13-7P 306961-14-8P**
306961-15-9P 306961-16-0P
RL: BUU (Biological use, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino acid sequence; method for transferring gene of interest into cell by using C1 complement factor subunit and uses thereof in gene therapy)

RN 144525-73-5 HCAPLUS

CN L-Lysine, L-cysteinyl-L-arginyl-L-alanyl-L-prolyl-L-α-aspartylglycyl-L-lysyl-L-lysylglycyl-L-α-glutamyl-L-alanylglycyl-L-arginyl-L-prolylglycyl-L-arginyl-L-arginylglycyl-L-arginyl-L-prolylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

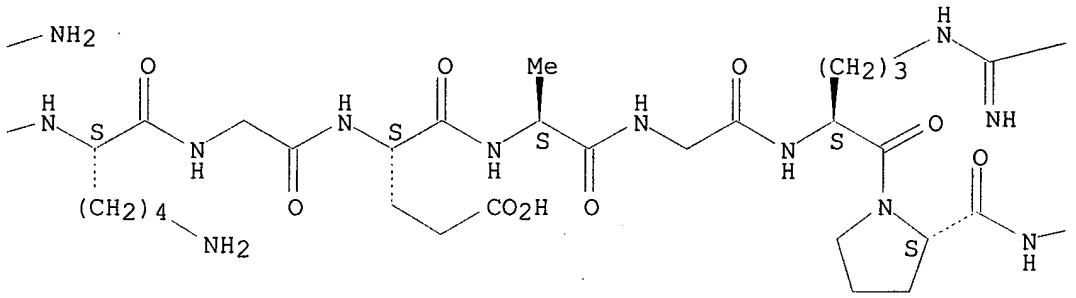
Absolute stereochemistry.

PAGE 1-A



Search completed by David Schreiber x22526

PAGE 1-B



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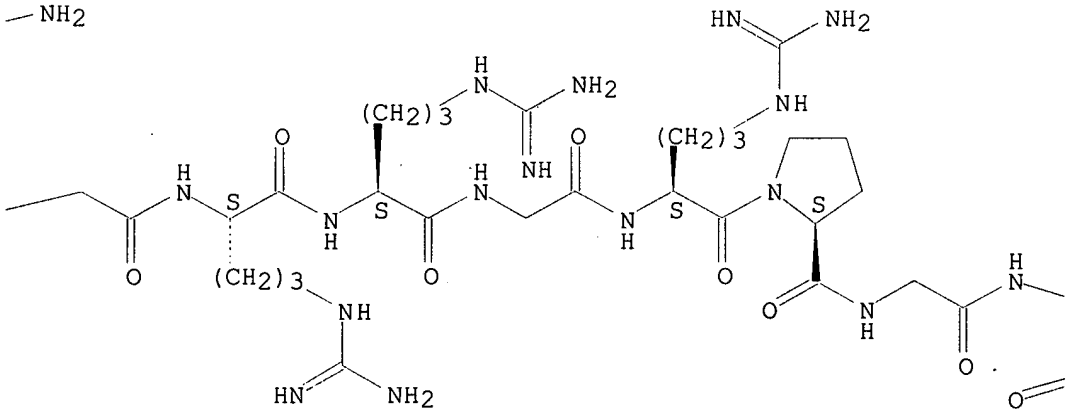

Search completed by David Schreiber x22526

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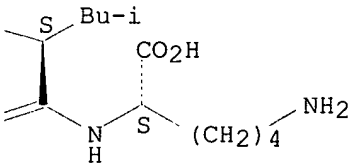

RN 306961-13-7 HCAPLUS
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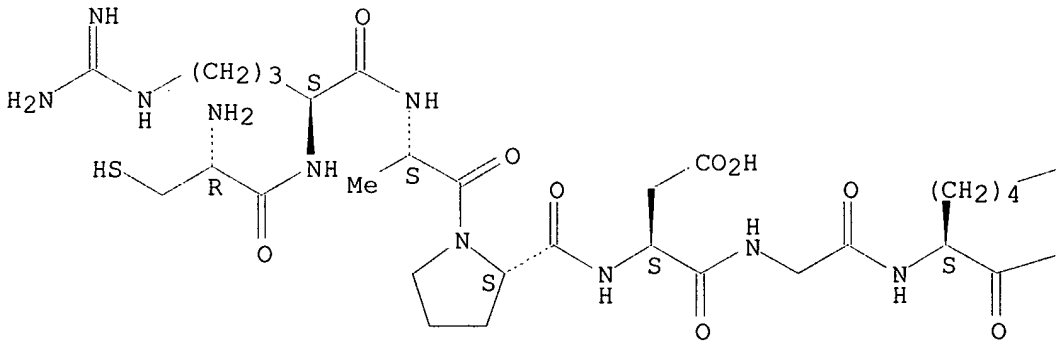
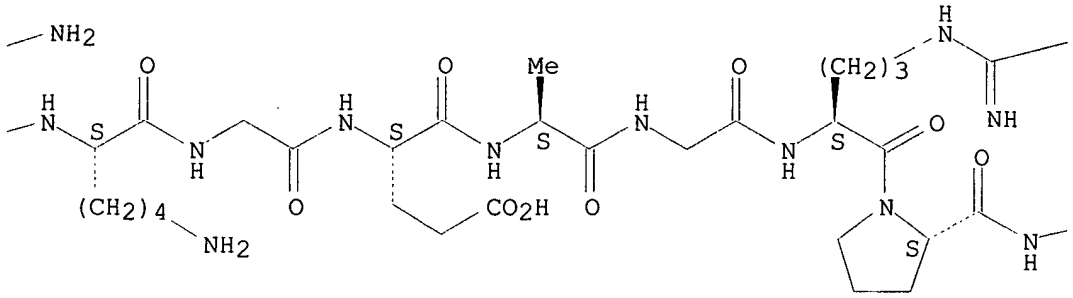
Absolute stereochemistry.

PAGE 1-A



Search completed by David Schreiber x22526

PAGE 1-B



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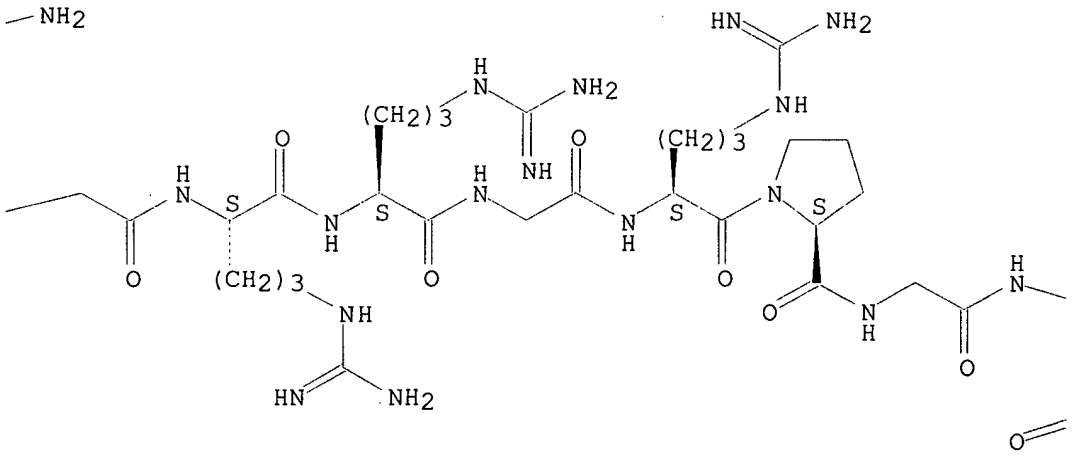

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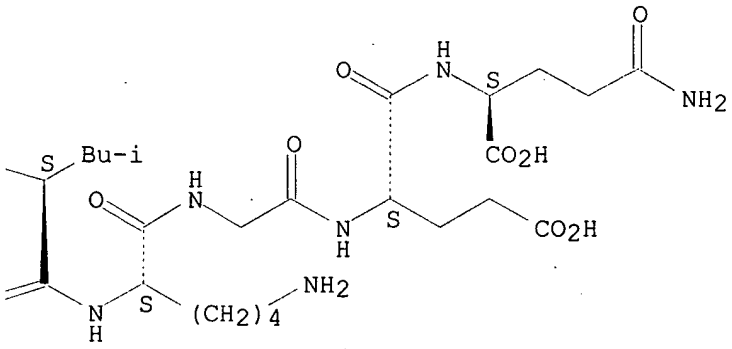

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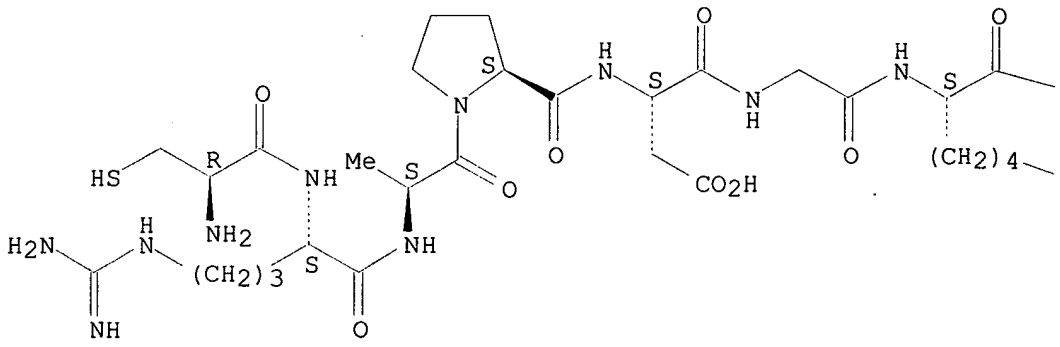
Absolute stereochemistry.

PAGE 1-A



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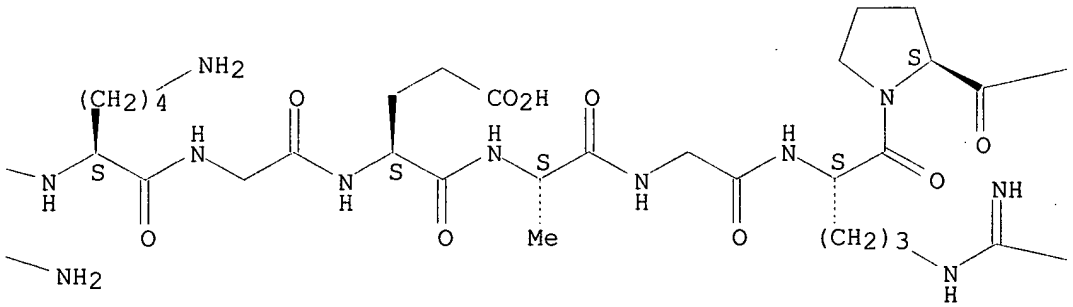

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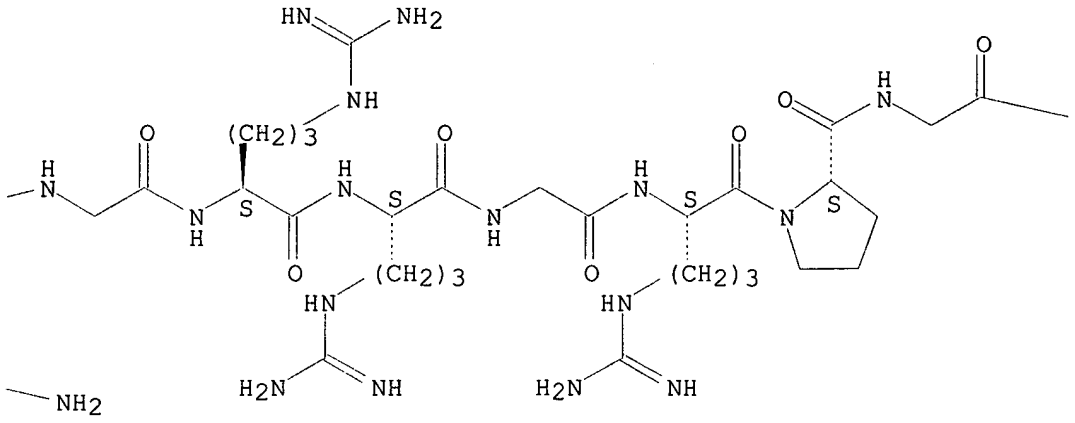

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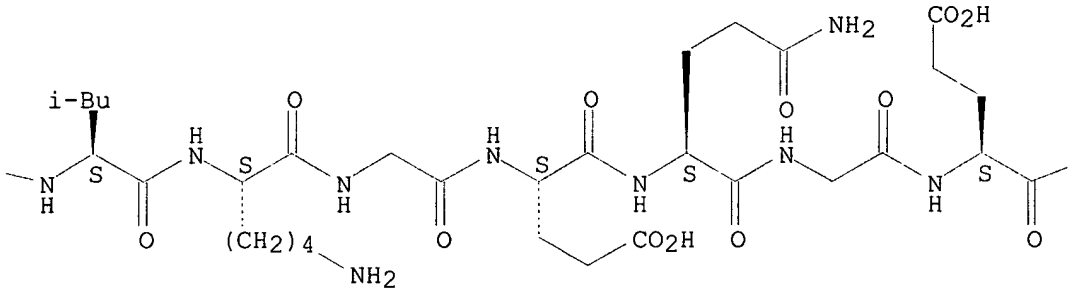

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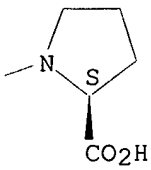

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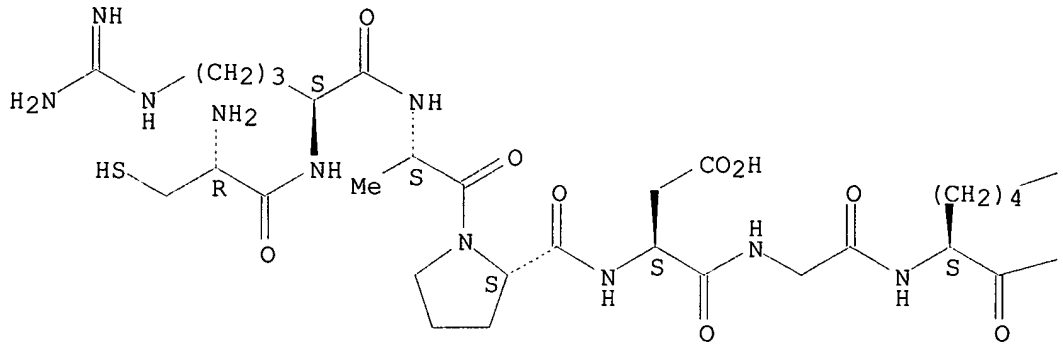
Search completed by David Schreiber x22526

Absolute stereochemistry.

PAGE 1-A



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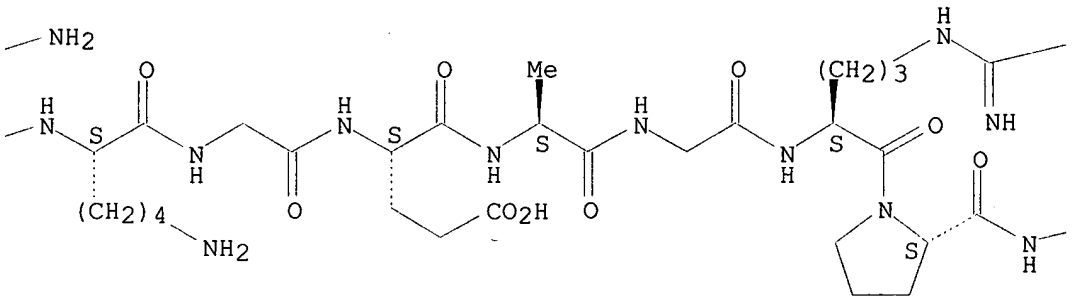
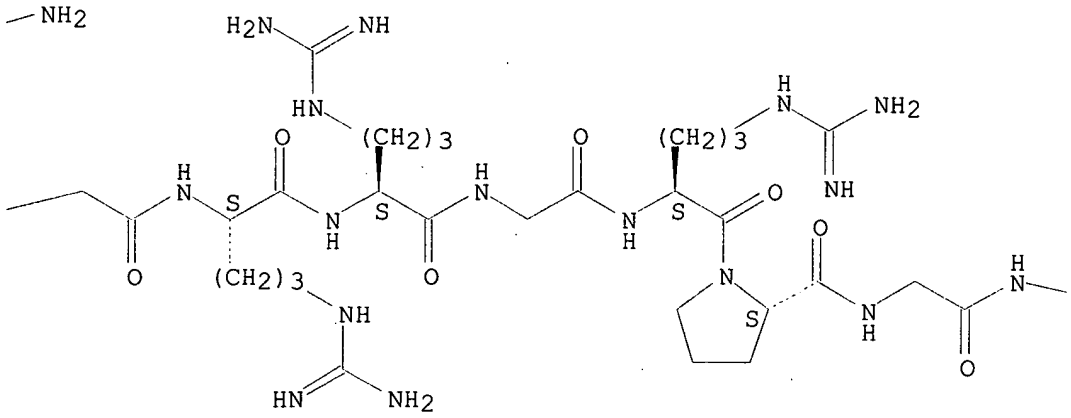


Search completed by David Schreiber x22526

PAGE 1-C



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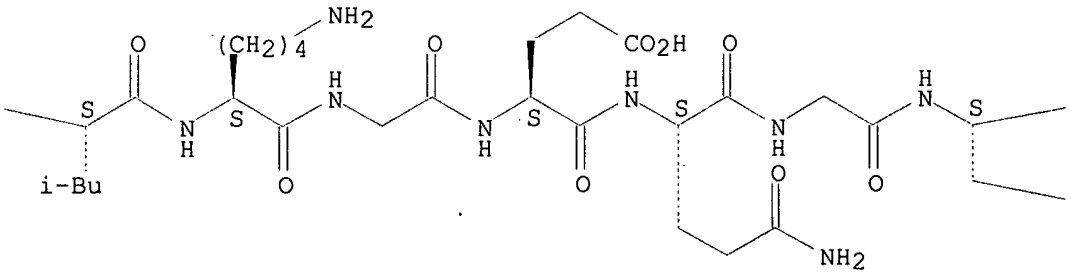

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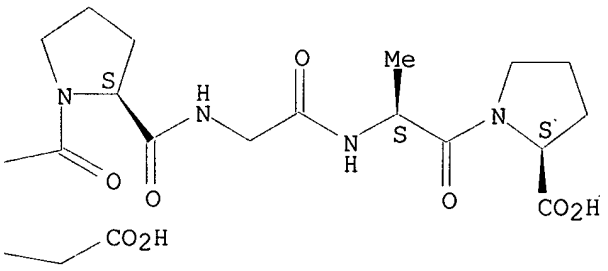
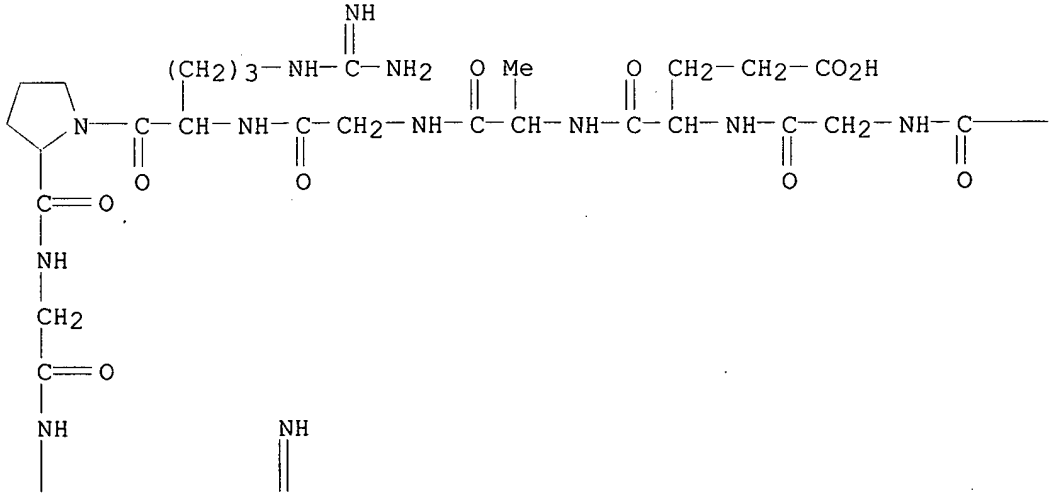
PAGE 1-E



RN 306961-16-0 HCAPLUS
CN L-Arginine, L-cysteinyl-L-arginyl-L-alanyl-L-prolyl-L-α-aspartylglycyl-L-lysyl-L-lysylglycyl-L-α-glutamyl-L-alanylglycyl-L-arginyl-L-prolylglycyl-L-arginyl-L-arginylglycyl-L-arginyl-L-prolylglycyl-L-leucyl-L-lysylglycyl-L-α-glutamyl-L-glutaminylglycyl-L-α-glutamyl-L-prolylglycyl-L-alanyl-L-prolylglycyl-L-isoleucyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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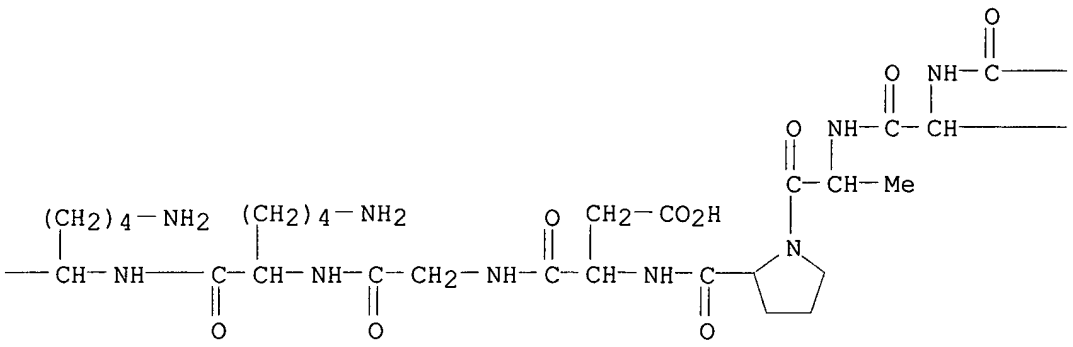
PAGE 1-B



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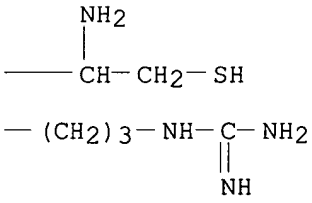
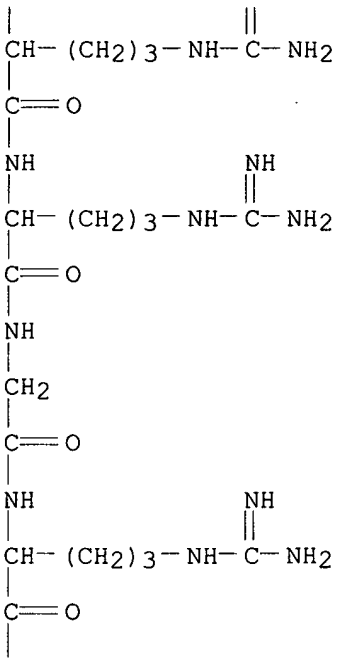
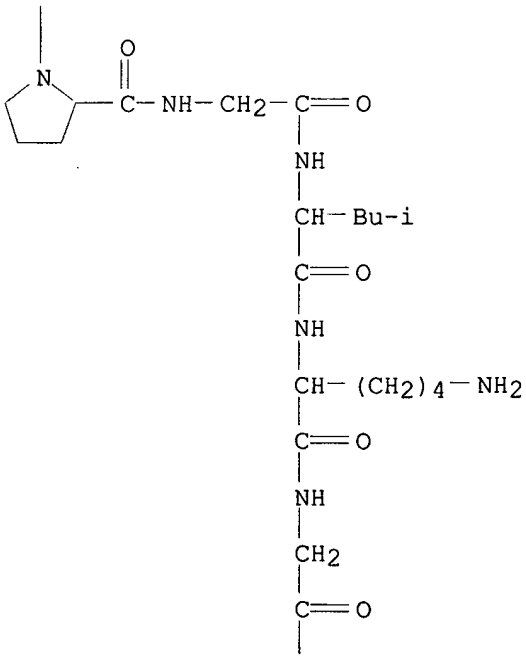


PAGE 2-A



PAGE 3-A



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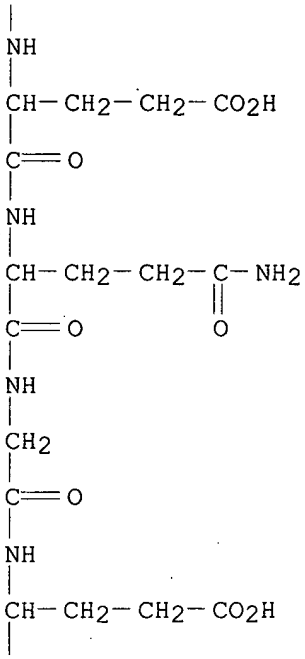
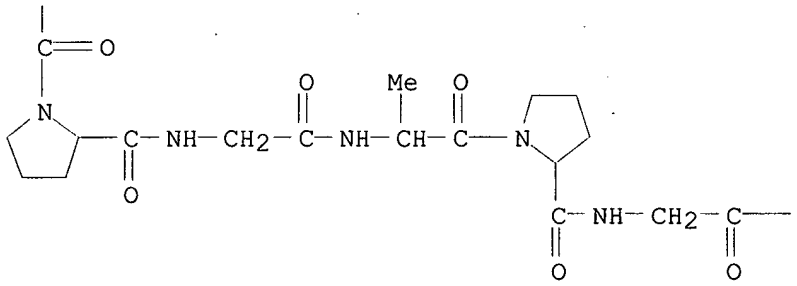
PAGE 4-A



PAGE 5-A



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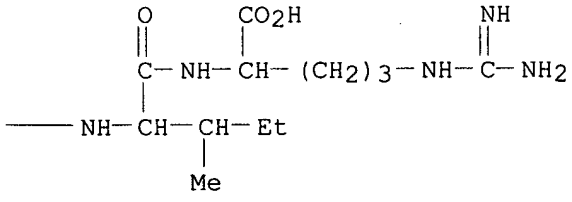


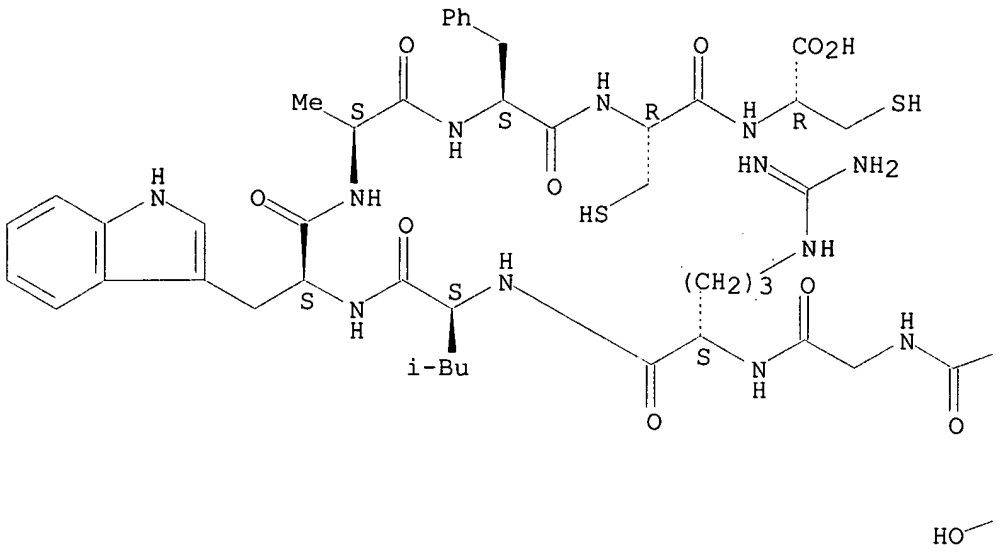
L48 ANSWER 27 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN

Search completed by David Schreiber x22526

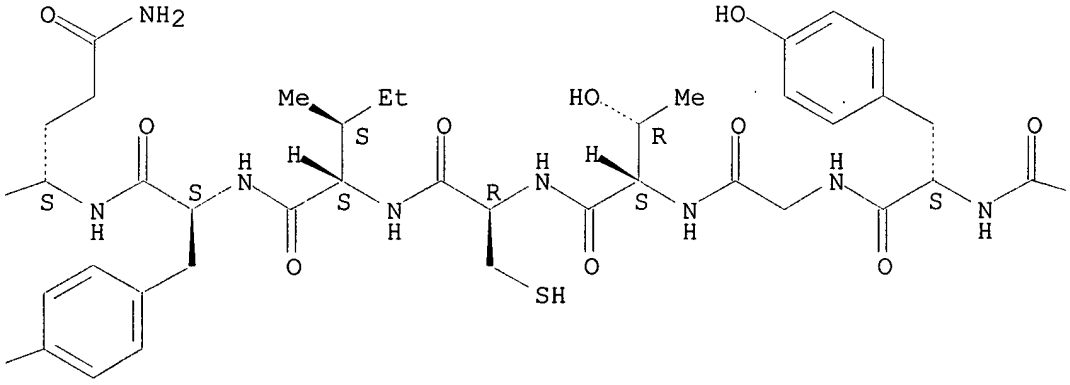
ACCESSION NUMBER: 2000:282902 HCAPLUS
DOCUMENT NUMBER: 133:57523
TITLE: Defensins are dominant HLA-DR-associated self-peptides from CD34- peripheral blood mononuclear cells of different tumor patients (plasmacytoma, chronic myeloid leukemia)
AUTHOR(S): Halder, Thomas M.; Bluggel, Martin; Heinzel, Susanne; Pawelec, Graham; Meyer, Helmut E.; Kalbacher, Hubert
CORPORATE SOURCE: Medical and Natural Sciences Research Center, Section for Transplantation Immunology and Immunohaematology, University of Tubingen, Tubingen, D-72074, Germany
SOURCE: Blood (2000), 95(9), 2890-2896
CODEN: BLOOAW; ISSN: 0006-4971
PUBLISHER: American Society of Hematology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The HLA-DR-associated peptides from peripheral blood mononuclear cells of 2 patients with plasmacytoma and 1 with chronic myeloid leukemia were isolated, identified, and compared. Several were identified as derivs. of the defensin family. Defensins [or human neutrophil peptides (HNP)] are antimicrobial, **cationic** peptides of 29-35 amino acids in length and are the major constituents of the azurophilic granules of human neutrophils. Using peripheral blood cells from leukapheresis, containing about 90% of polymorphonuclear cells, the authors could identify HNP-1, -2, and -4 and propeptides of up to 49 amino acids in length, eluted from HLA class II mols. Binding of isolated and synthetic defensin peptides to various HLA-DR alleles using an in vitro binding/competition assay based on size exclusion chromatog. revealed that defensin may bind into the peptide-binding groove. In a T-cell competition assay, defensins were able to reduce the proliferation of an HLA-DR-restricted T-cell line after preincubation of stimulating cells (CHO-DRB1*0401 **transfectants**) with defensin. Therefore, binding of defensins might prevent T-cell recognition of HLA class II mols. expressed on different blood precursor cells (all of which are "nonprofessional" antigen-presenting cells) by blocking the HLA peptide-binding groove or, alternatively, might protect defensin-expressing cells from self-destruction.
IT **99287-07-7**, Defensin NP 2 (human reduced)
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(defensins are dominant HLA-DR-associated self-peptides from CD34- peripheral blood mononuclear cells of different tumor patients)
RN 99287-07-7 HCAPLUS
CN L-Cysteine, L-cysteinyl-L-tyrosyl-L-cysteinyl-L-arginyl-L-isoleucyl-L-prolyl-L-alanyl-L-cysteinyl-L-isoleucyl-L-alanylglycyl-L-α-glutamyl-L-arginyl-L-arginyl-L-tyrosylglycyl-L-threonyl-L-cysteinyl-L-isoleucyl-L-tyrosyl-L-glutaminylglycyl-L-arginyl-L-leucyl-L-tryptophyl-L-alanyl-L-phenylalanyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

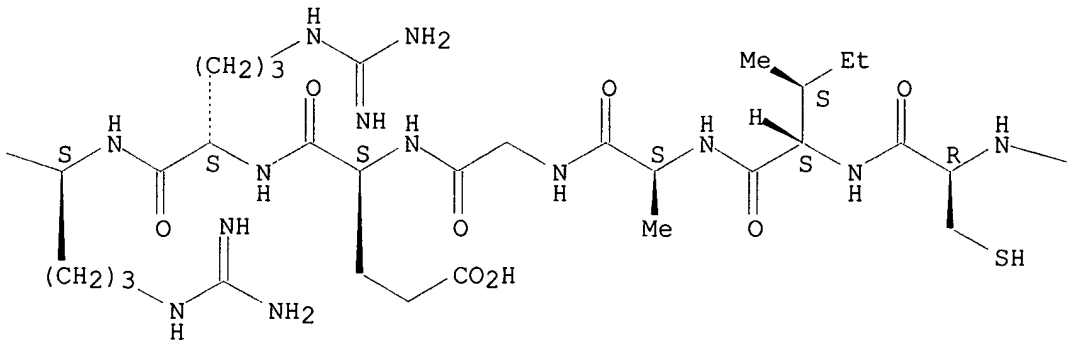


PAGE 1-B

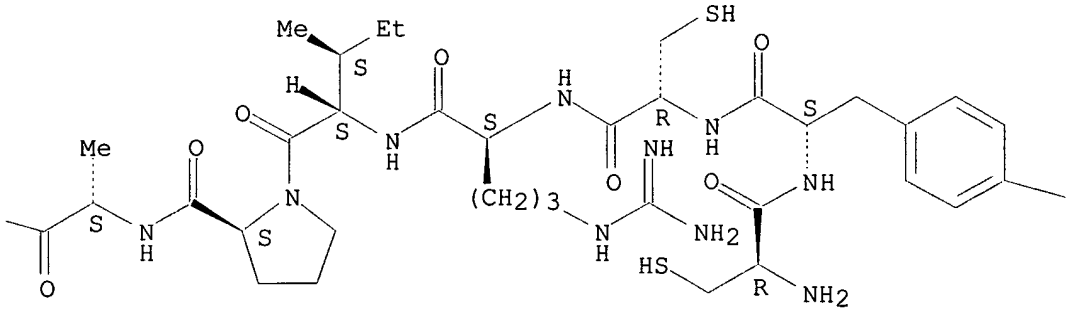


Search completed by David Schreiber x22526

PAGE 1-C



PAGE 1-D



Search completed by David Schreiber x22526

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REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 28 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:254039 HCAPLUS
DOCUMENT NUMBER: 132:289590
TITLE: Peptide-enhanced **cationic** lipid **transfections**
INVENTOR(S): Hawley-Nelson, Pamela; Lan, Jianqing; Shih, Pojen; Jessee, Joel A.; Schifferli, Kevin P.; Gebeyehu, Gulilat
PATENT ASSIGNEE(S): Life Technologies, Inc., USA
SOURCE: U.S., 103 pp., Cont.-in-part of U.S. 5,736,392. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6051429	A	20000418	US 1997-818200	19970314
US 5736392	A	19980407	US 1996-658130	19960604
WO 9840502	A1	19980917	WO 1998-US5232	19980316
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9865622	A1	19980929	AU 1998-65622	19980316
EP 1007699	A1	20000614	EP 1998-911737	19980316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001517939	T2	20011009	JP 1998-539899	19980316
US 6376248	B1	20020423	US 1998-39780	19980316
US 2003144230	A1	20030731	US 2002-200879	20020723

PRIORITY APPLN. INFO.:
US 1995-477354 B2 19950607
US 1996-658130 A2 19960604
US 1997-818200 A 19970314

Search completed by David Schreiber x22526

US 1998-39780 A1 19980316
WO 1998-US5232 W 19980316
US 2001-911569 A1 20010723

AB The present invention provides compns. useful for **transfecting** eukaryotic cells comprising nucleic acid complexes with peptides, wherein the peptide is optionally covalently coupled to a nucleic acid-binding group, and **cationic** lipids or dendrimers as **transfection** agents. The invention also provides **transfection** compns. in which a peptide is covalently linked to the **transfection** agent (lipid, **cationic** lipid or dendrimer). Inclusion of peptides or modified-peptides in **transfection** compns. or covalent attachment of peptides to **transfection** agents results in enhanced **transfection** efficiency. Methods for the preparation of **transfection** compns. and methods of using these **transfection** compns. as intracellular delivery agents and extracellular targeting agents are also disclosed.

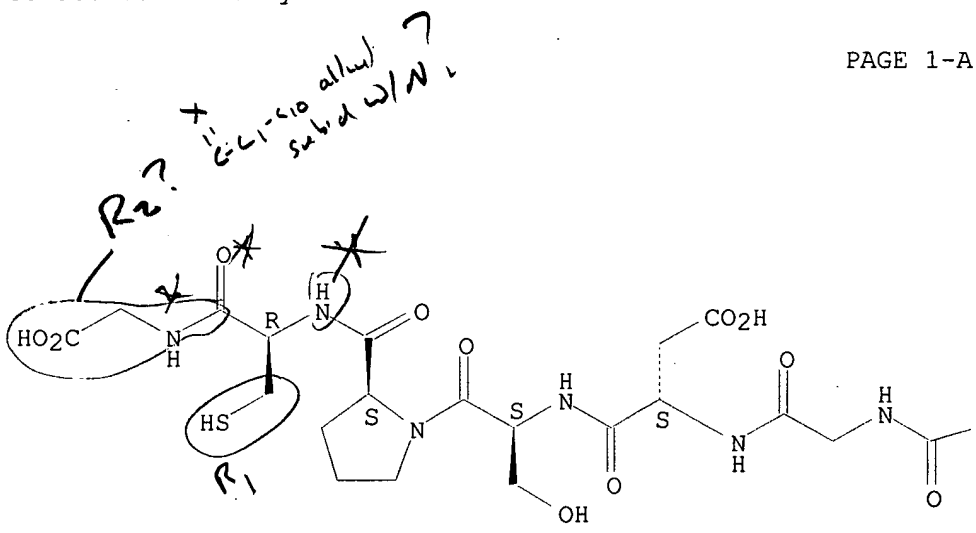
IT **264134-56-7**
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(increasing efficiency of uptake of transforming DNA complexes with polycations using peptides)

RN 264134-56-7 HCAPLUS

CN Glycine, L-cysteinylglycyl-L-tyrosylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valylglycylglycylglycylglycyl-L-arginylglycyl-L-α-aspartyl-L-seryl-L-prolyl-L-cysteinyl- (9CI) (CA INDEX NAME)

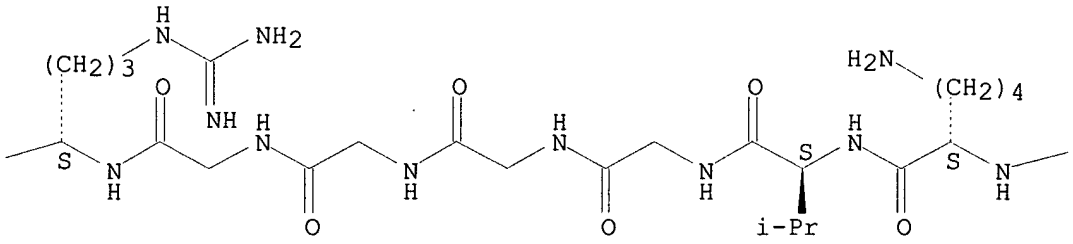
Absolute stereochemistry.

PAGE 1-A

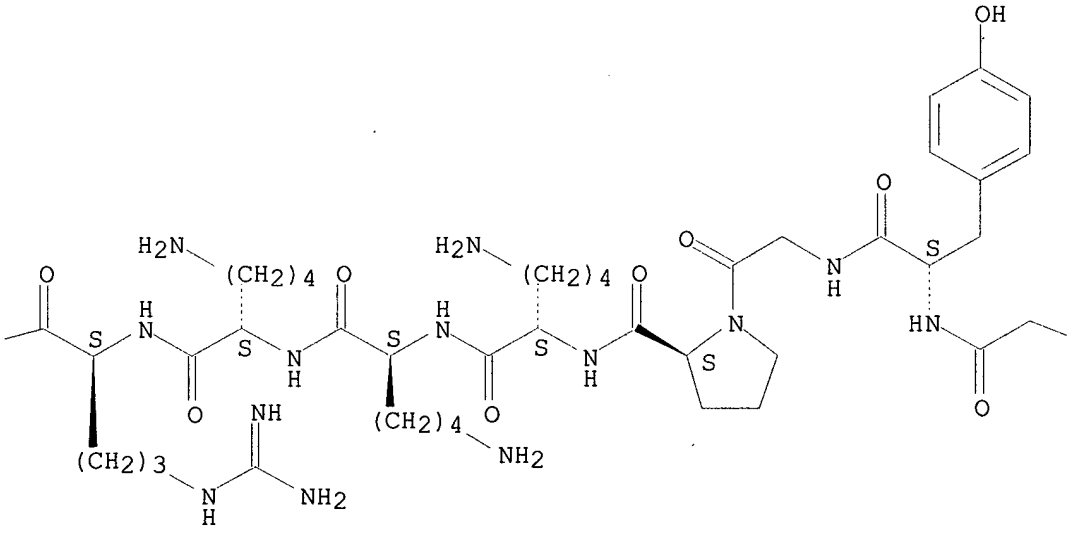


Search completed by David Schreiber x22526

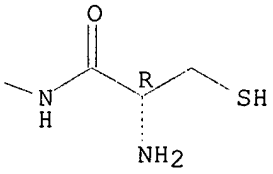
PAGE 1-B



PAGE 1-C



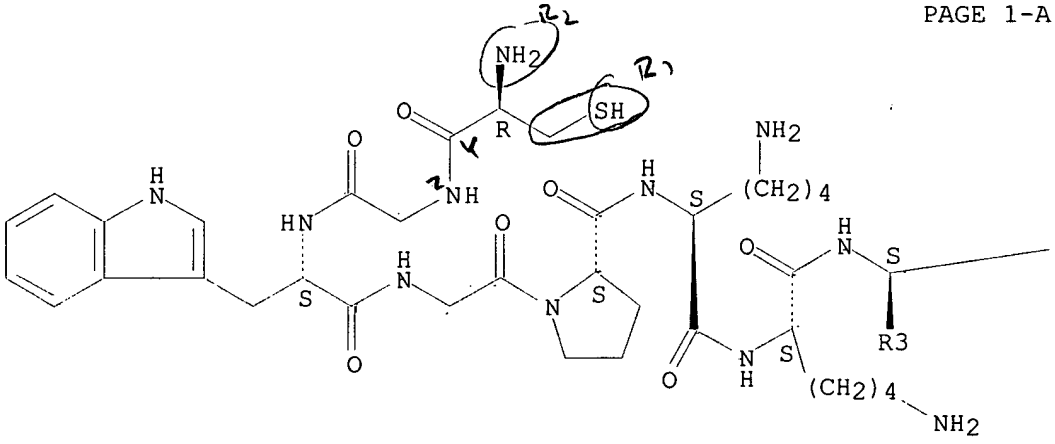
PAGE 1-D

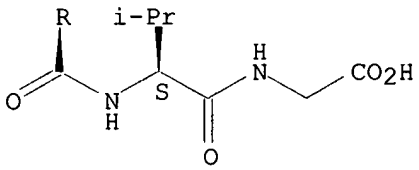


IT **264232-06-6 264236-17-1**
RL: PRP (Properties)
(unclaimed sequence; peptide-enhanced **cationic** lipid **transfections**)
RN 264232-06-6 HCAPLUS
CN Glycine, L-cysteinylglycyl-L-tryptophylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

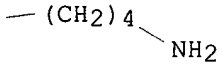
PAGE 1-A



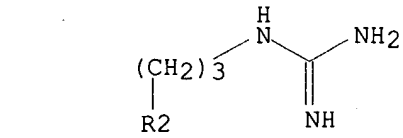


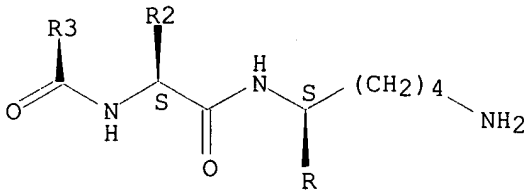
Search completed by David Schreiber x22526

PAGE 1-B



PAGE 2-A

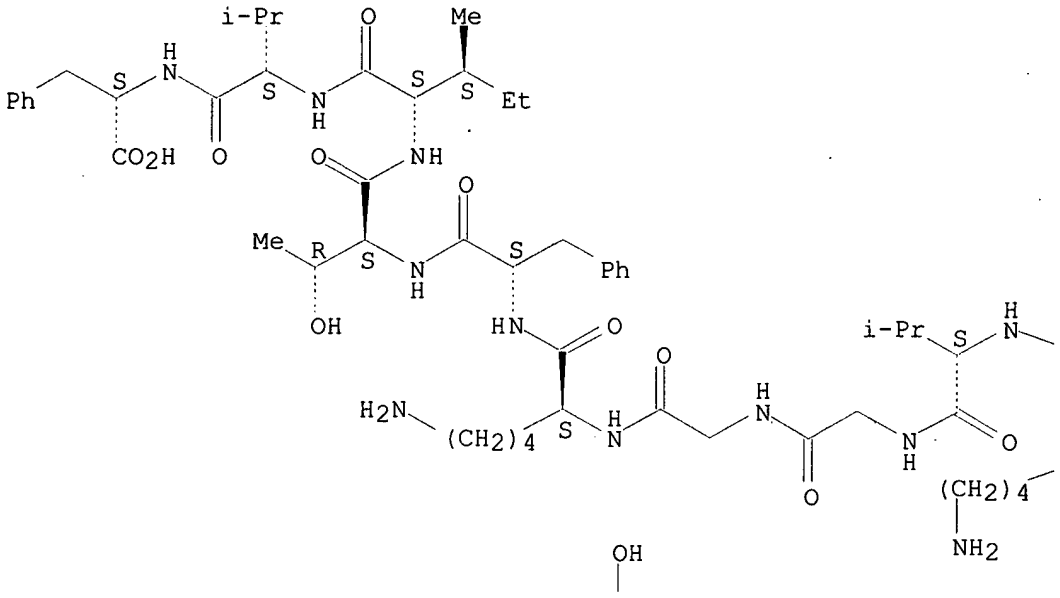




RN 264236-17-1 HCAPLUS
CN L-Phenylalanine, L-cysteinylglycyl-L-tyrosylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valylglycylglycyl-L-lysyl-L-phenylalanyl-L-threonyl-L-isoleucyl-L-valyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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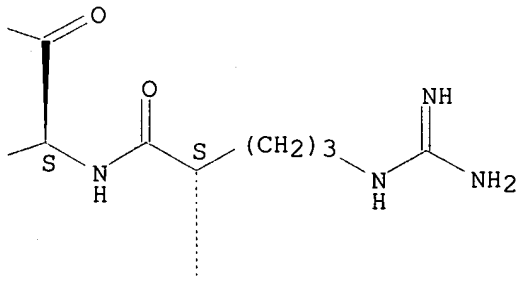
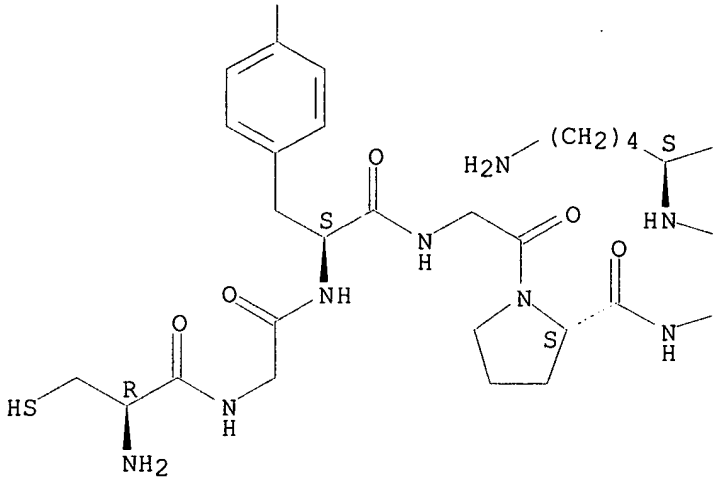


Search completed by David Schreiber x22526

PAGE 2-A



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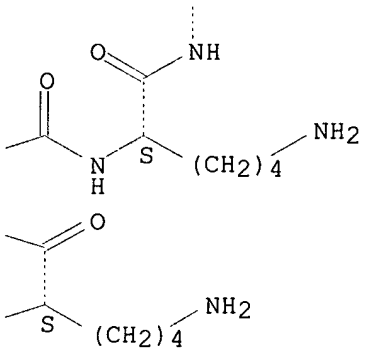


REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 29 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:94114 HCAPLUS
DOCUMENT NUMBER: 132:322123
TITLE: Derivatization of protonated peptides via gas phase ion-molecule reactions with acetone
AUTHOR(S): O'Hair, R. A. J.; Reid, G. E.
CORPORATE SOURCE: School of Chemistry, University of Melbourne, Parkville, Australia
SOURCE: Journal of the American Society for Mass Spectrometry (2000), 11(3), 244-256
CODEN: JAMSEF; ISSN: 1044-0305
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The protonated [M +H]+ ions of glycine, simple glycine containing peptides, and other simple di- and tripeptides react with acetone in the gas phase to yield [M + H + Me2CO]+ adduct ions, some of which fragment via water loss to give [M + H + Me2CO - H2O]+ Schiff's base adducts. Formation of

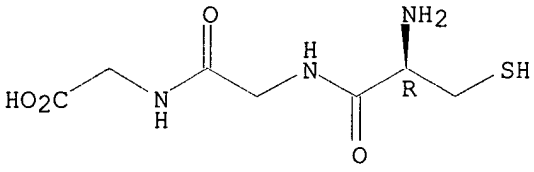
the [M + H + Me2CO]+ adduct ions is dependent on the difference in proton affinities between the peptide M and acetone, while formation of the [M + H + Me2CO - H2O]+ Schiff's base adducts is dependent on the ability of the peptide to act as an intramol. proton shuttle. The structure and mechanisms for the formation of these Schiff's base adducts have been examined via the use of collision-induced dissociation tandem mass spectrometry (CID MS/MS), isotopic labeling [using (CD3)2CO] and by comparison with the reactions of Schiff's base adducts formed in solution CID MS/MS of these adducts yield primarily N-terminally directed a-type and b-type sequence ions. Potential structures of the b1 ion, not usually observed in the product ion spectra of protonated peptide ions, were examined using ab initio calcns. A cyclic five-membered pyrrolinone, formed by a neighboring group participation reaction from an **enamine** precursor, was predicted to be the primary product.

IT **66163-41-5**, Glycine, L-cysteinylglycyl-
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(primary sequence determination by derivatization of protonated peptides via gas phase ion-mol. reactions with acetone)

RN 66163-41-5 HCAPLUS

CN Glycine, L-cysteinylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 30 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:678096 HCAPLUS

DOCUMENT NUMBER: 130:57118

TITLE: **Delivery** of antisense **oligonucleotides** using HPMA polymer: synthesis of a thiol polymer and its conjugation to water-soluble molecules

AUTHOR(S): Wang, Laixin; Kristensen, Jakob; Ruffner, Duane E.

CORPORATE SOURCE: Department of Pharmaceutics and Pharmaceutical Chemistry, University of Utah, Salt Lake City, UT, 84108, USA

SOURCE: Bioconjugate Chemistry (1998), 9(6), 749-757
CODEN: BCCHES; ISSN: 1043-1802

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We report the synthesis and characterization of N-(2-hydroxypropyl)methacrylamide (HPMA)-based polymers for use as carriers for the delivery of water-soluble drugs. The polymers contain active-sulfhydryl groups for coupling of ligands through a disulfide linkage. The polymers can also be prepared containing pendant amino groups in addition to the active-sulfhydryl moiety. This allows the use of different chemistries to conjugate a variety of ligands to the polymer. We demonstrate that a sulfhydryl-terminated antisense oligonucleotide can be efficiently and rapidly conjugated to the polymers. The polymer-oligonucleotide conjugate

is efficiently taken up by cultured cells.

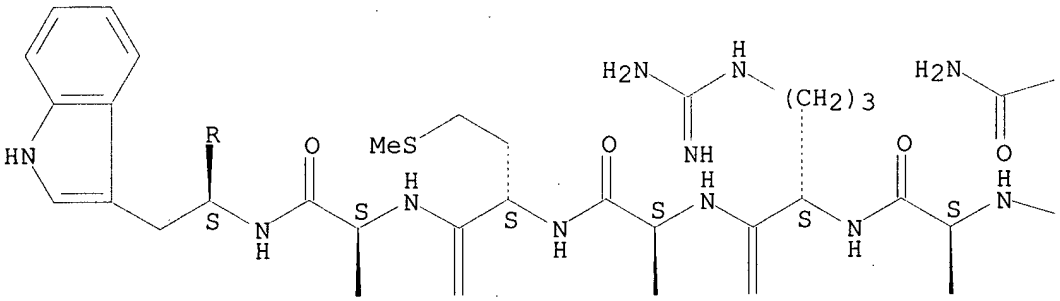
IT **209323-98-8**
RL: PRP (Properties)
(kinetics of reaction with thiol methacrylamide polymers)

RN 209323-98-8 HCAPLUS

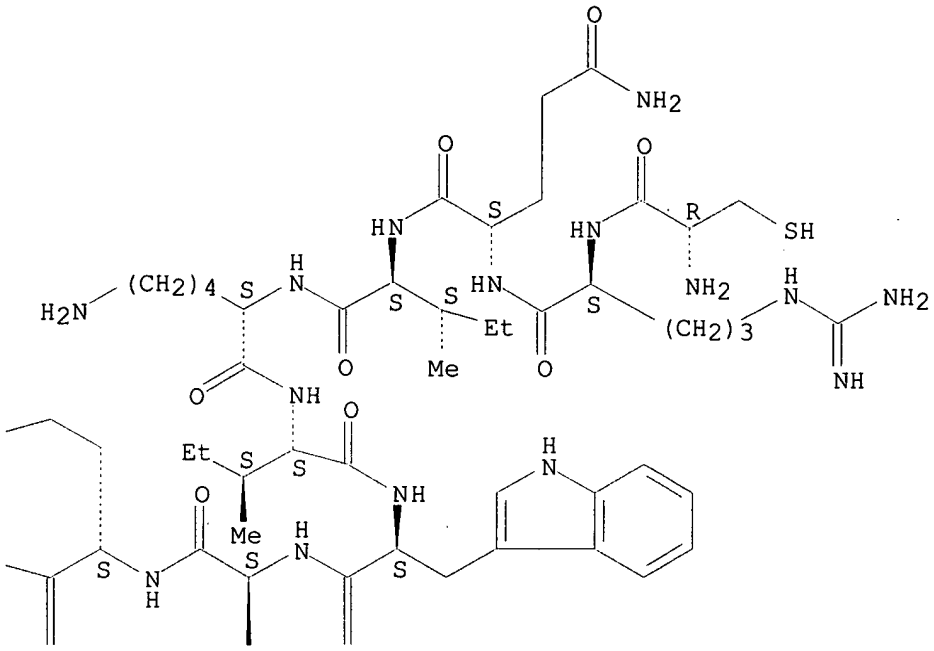
CN L-Lysine, L-cysteinyl-L-arginyl-L-glutaminyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

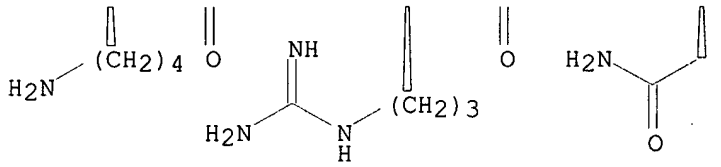
PAGE 1-A

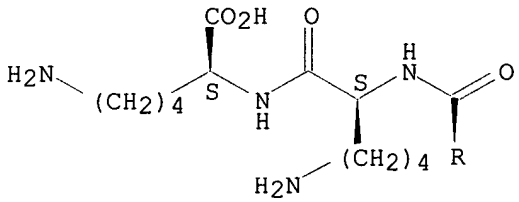


PAGE 1-B

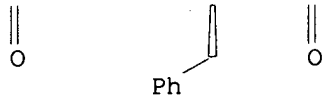


PAGE 2-A





PAGE 2-B



IT **209323-98-8DP**, reaction products with thiol methacrylamide polymer and **oligonucleotide**

Search completed by David Schreiber x22526

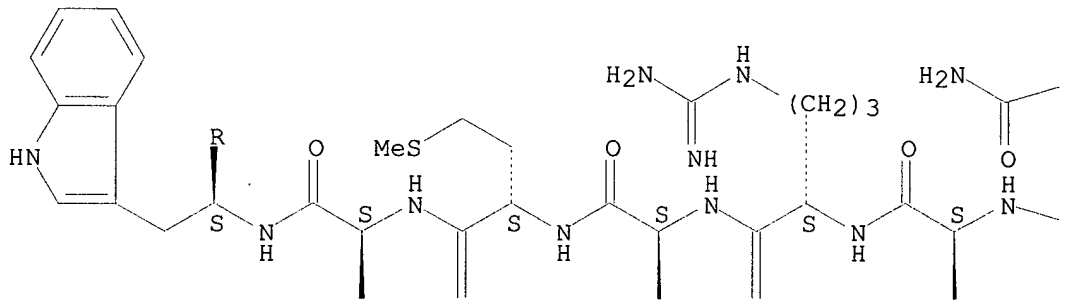
RL: SPN (Synthetic preparation); PREP (Preparation)
(thiol polymers for **delivery** of antisense **oligonucleotides**)

RN 209323-98-8 HCAPLUS

CN L-Lysine, L-cysteinyl-L-arginyl-L-glutaminyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

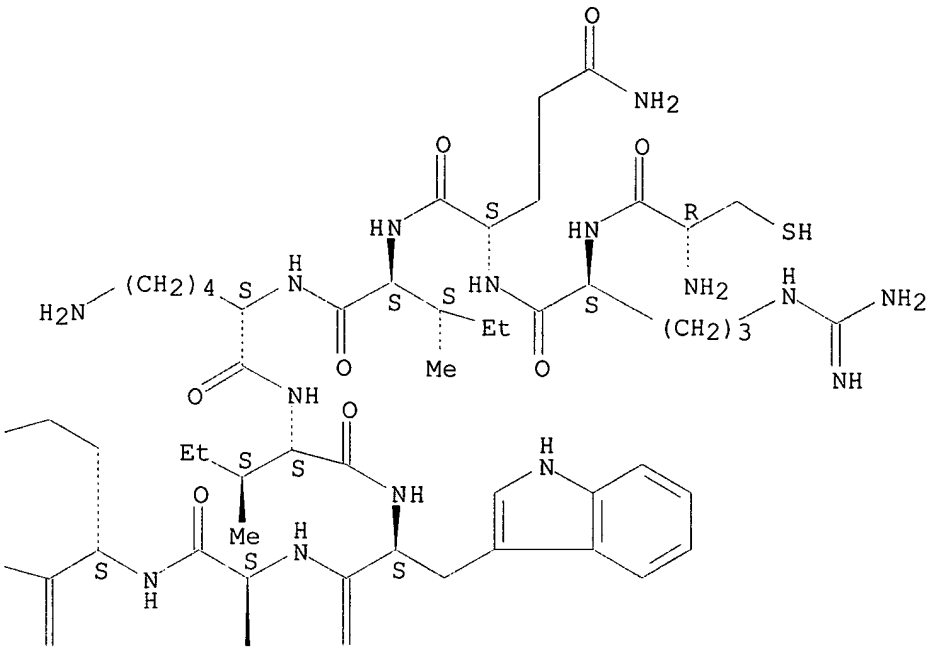
Absolute stereochemistry.

PAGE 1-A

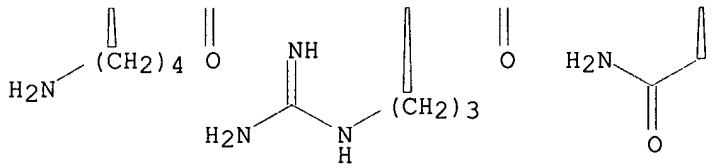


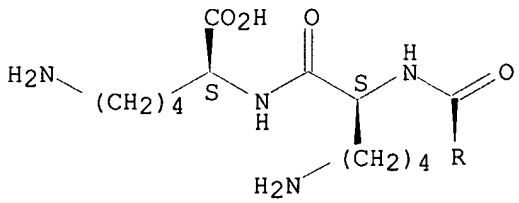
Search completed by David Schreiber x22526

PAGE 1-B

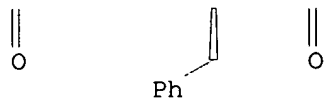


PAGE 2-A





PAGE 2-B



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Search completed by David Schreiber x22526

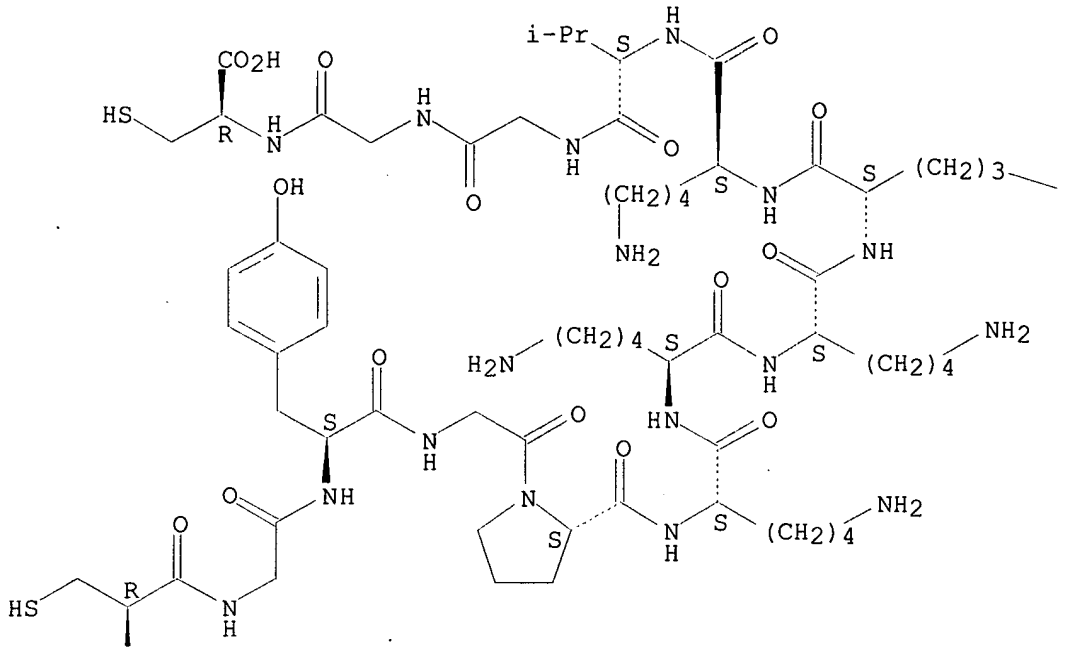
L48 ANSWER 31 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:648382 HCAPLUS
DOCUMENT NUMBER: 130:21826
TITLE: Self-assembly of **DNA**-polymer complexes using template polymerization
AUTHOR(S): Trubetskoy, Vladimir S.; Budker, Vladimir G.; Hanson, Lisa J.; Slattum, Paul M.; Wolff, Jon A.; Hagstrom, James E.
CORPORATE SOURCE: Mirus Corporation, Madison, WI, 53711, USA
SOURCE: Nucleic Acids Research (1998), 26(18), 4178-4185
CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The self-assembly of supramol. complexes of **nucleic** acids and polymers is of relevance to several biol. processes including viral and chromatin formation as well as **gene** therapy vector design. We now show that template polymerization facilitates **condensation** of **DNA** into particles that are <150 nm in diameter Inclusion of a poly(ethylene glycol)-containing monomer prevents aggregation of these particles. The **DNA** within the particles remains biol. active and can express foreign **genes** in cells. The formation or breakage of covalent bonds has until now not been employed to compact **DNA** into artificial particles.

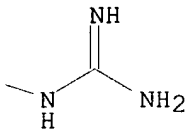
IT **216303-24-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(self-assembly of **DNA**-polymer complexes using template polymerization)
RN 216303-24-1 HCAPLUS
CN L-Cysteine, L-cysteinylglycyl-L-tyrosylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



PAGE 2-A

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 32 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:499492 HCAPLUS
DOCUMENT NUMBER: 129:260731
TITLE: Template Oligomerization of **DNA**-Bound Cations Produces Calibrated Nanometric Particles
AUTHOR(S): Blessing, Thomas; Remy, Jean-Serge; Behr, Jean-Paul
CORPORATE SOURCE: Laboratoire de Chimie Genetique associe

Search completed by David Schreiber x22526

CNRS/Universite Louis Pasteur de Strasbourg (UMR 7514)
Faculte de Pharmacie, Illkirch, 67401, Fr.
SOURCE: Journal of the American Chemical Society (1998), 120(33), 8519-8520
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A general approach to the monomol. **condensation** of **DNA** into stable nano-metric particles is reported, which may be extended to the design of any kind of calibrated nano-metric particles required for material sciences. The process takes advantage of the low cooperativity of binding small monomeric counterions to a macromol. polyion, followed by a zipper-oligomerization reaction which "freezes" the resulting **condensed** particles. The **DNA** particles have a neg. surface charge which ensures colloid stability and in vivo diffusion, yet makes them unsuitable for carrying **DNA** into cells. Thus, C-sper-C [cysteine-spermine-cysteine (I)] was synthesized and mixed with plasmid **DNA**, which was found to enhance the thiol oxidation rates in the thiol/disulfide oligomerization, which resulted in **condensation** of the **DNA** into particles of mean size 50 ± 15 nm, which were stable ≥1 wk. The **condensed** particles were stable in electrophoresis conditions, but addition of excess dithiothreitol of raising the ionic concentration to physiol. levels converted the cationic polymer back to I.

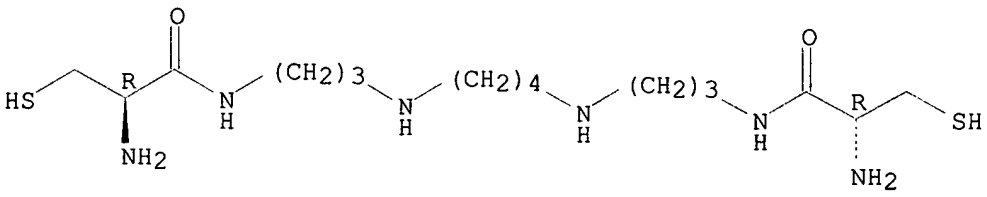
IT **213468-22-5DP, DNA** complex
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(template oligomerization of **DNA**-bound cations produces calibrated nano-metric particles)

RN 213468-22-5 HCAPLUS
CN Propanamide, N,N'-[1,4-butanediylbis(imino-3,1-propanediyl)]bis[2-amino-3-mercapto-, (2R,2'R)-, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 213468-20-3
CMF C16 H36 N6 O2 S2

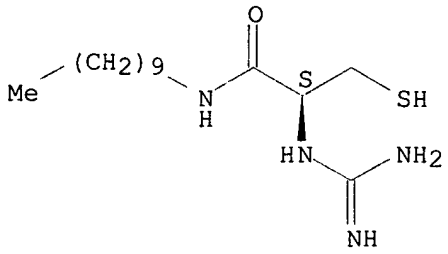
Absolute stereochemistry.



IT **213468-23-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(template oligomerization of **DNA**-bound cations produces calibrated nano-metric particles)

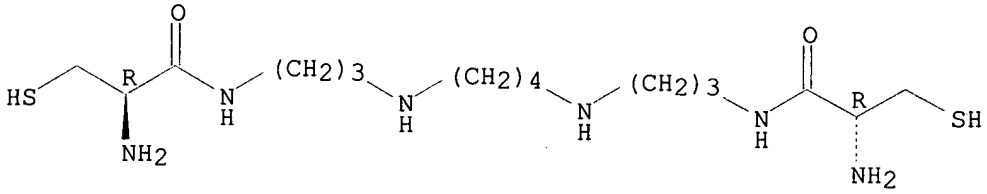
RN 213468-23-6 HCAPLUS
CN Propanamide, 2-[(aminoiminomethyl)amino]-N-decyl-3-mercapto-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **213468-20-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(template oligomerization of **DNA**-bound cations produces calibrated nano-metric particles)
RN 213468-20-3 HCAPLUS
CN Propanamide, N,N'-[1,4-butanediylbis(imino-3,1-propanediyl)]bis[2-amino-3-mercapto-, (2S,2'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 33 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:287761 HCAPLUS
DOCUMENT NUMBER: 129:45183
TITLE: Stability of Peptide-**Condensed** Plasmid **DNA** Formulations
AUTHOR(S): Adami, Roger C.; Collard, Wendy T.; Gupta, Shamita A.; Kwok, Kai Y.; Bonadio, Jeffrey; Rice, Kevin G.
CORPORATE SOURCE: Divisions of Pharmaceutics and Medicinal Chemistry College of Pharmacy and Department of Pathology, University of Michigan Medical School, Ann Arbor, MI, 48109-1065., USA
SOURCE: Journal of Pharmaceutical Sciences (1998), 87(6), 678-683
CODEN: JPMSAE; ISSN: 0022-3549
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Low mol. weight homogeneous peptides were used to form peptide/**DNA condensates**. A peptide possessing 18 lysines was found to protect plasmid **DNA** from serum endonuclease and sonicative-induced degradation whereas a shorter peptide possessing 8 lysines dissociated in 0.1 M sodium chloride and failed to protect **DNA** from enzymic degradation Peptide-**condensed DNA** showed no change in the ratio of supercoiled to circular **DNA** following 100 W sonication for up to 60 s and was able to **transfect** HepG2 cells with equivalent

efficiency as untreated **condensed** plasmid **DNA**. Alternatively, uncondensed plasmid **DNA** was rapidly fragmented by sonication and serum endonucleases and resulted in negligible **gene** expression following **condensation** with peptide. **Cationic** lipid/**DNA** complexes were only partially effective at stabilizing **DNA** in serum compared to the complete stabilization afforded by peptide/**DNA condensation**. These results indicate that the stabilization afforded by **condensation** with a peptide protects **DNA** during formulation and preserves its structure in serum. These functions are important to achieve optimal **gene** expression from a nonviral **gene delivery** system.

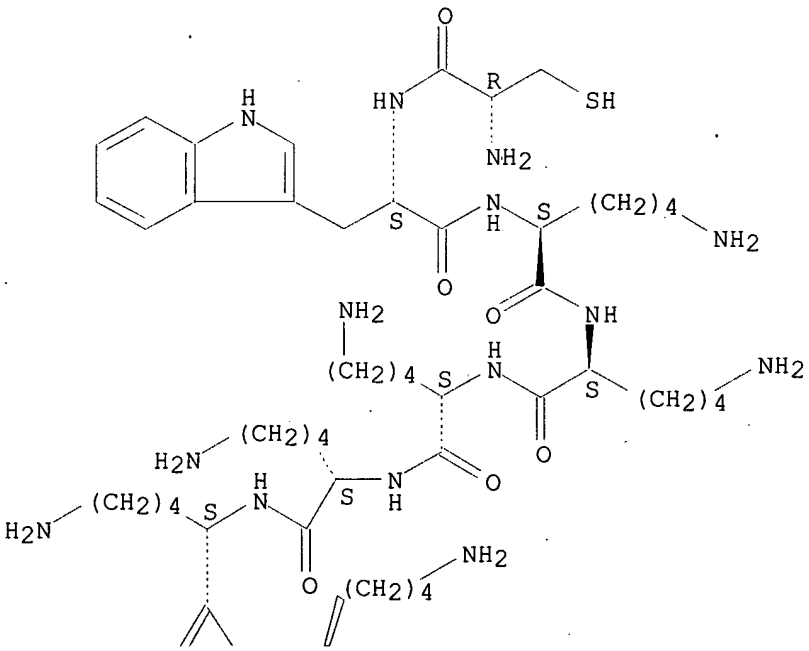
IT **185846-61-1DP**, alkylated, **condensate** with **DNA**
185846-63-3DP, alkylated, **condensate** with **DNA**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(stability of peptide-**condensed** plasmid **DNA** formulations)

RN 185846-61-1 HCAPLUS

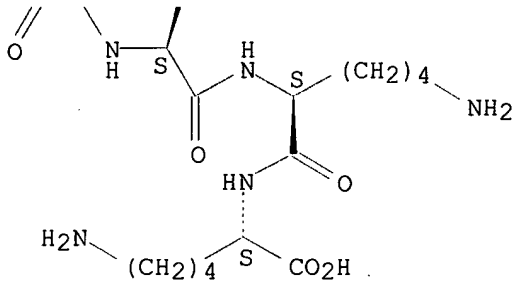
CN L-Lysine, L-cysteinyl-L-tryptophyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

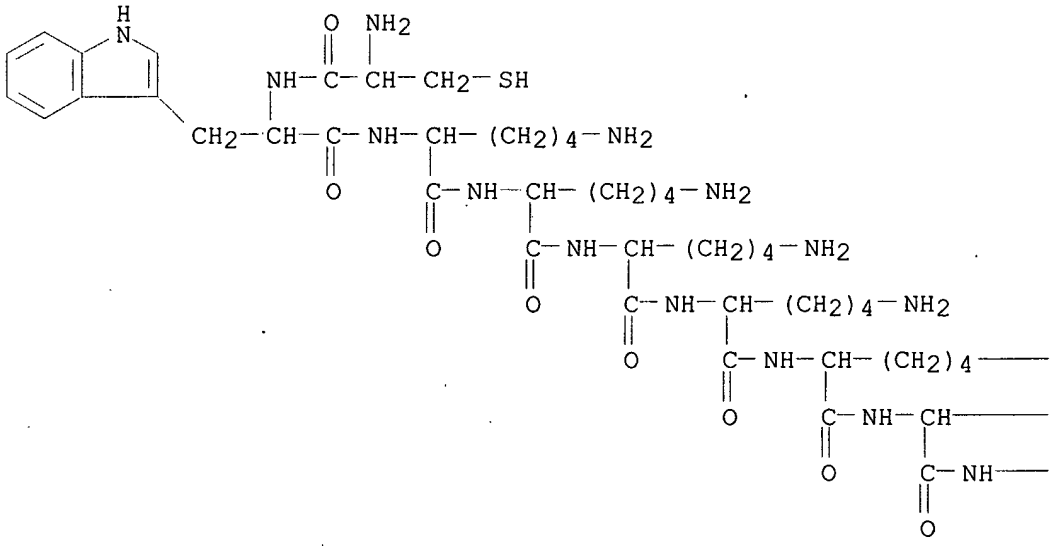


PAGE 2-A

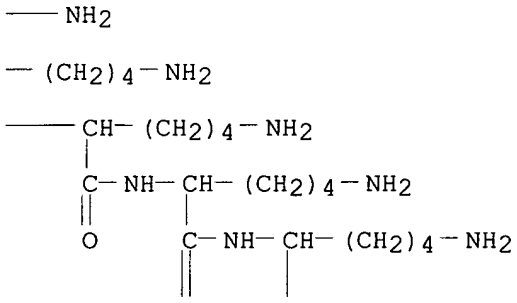


RN 185846-63-3 HCAPLUS
CN L-Lysine, L-cysteinyl-L-tryptophyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

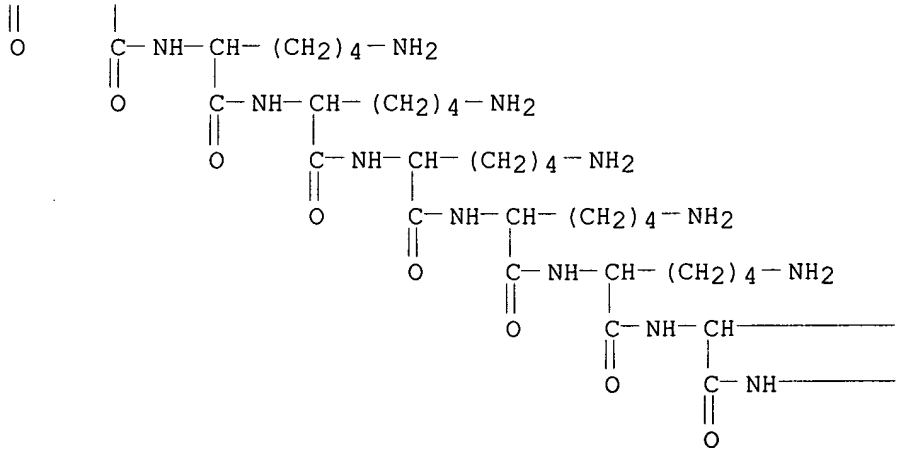
PAGE 1-A



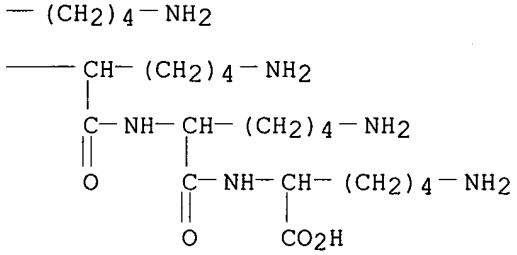
PAGE 1-B



PAGE 2-B



Search completed by David Schreiber x22526



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 34 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:202641 HCAPLUS
DOCUMENT NUMBER: 128:266977
TITLE: Complexes of nucleic acid and polylysine conjugated with non-charged residues and recognition signals for the transfection of cells
INVENTOR(S): Midoux, Patrick; Erbacher, Patrick; Roche-Degremont, Annie-Claude; Monsigny, Michel
PATENT ASSIGNEE(S): I.D.M. Immuno-Designed Molecules, Fr.
SOURCE: U.S., 53 pp., Cont.-in-part of U.S. 505,068, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5733762	A	19980331	US 1996-741678	19961031
FR 2719316	A1	19951103	FR 1994-5174	19940428
FR 2719316	B1	19960531		
US 5595897	A	19970121	US 1994-288681	19940810
CA 2187629	AA	19951109	CA 1995-2187629	19950424
ES 2181775	T3	20030301	ES 1995-918049	19950424

PRIORITY APPLN. INFO.: FR 1994-5174 A 19940428
US 1994-288681 A2 19940810
US 1995-505068 B2 19950721

AB A compound consisting essentially of polylysine with the free amino functions conjugated to non-charged residues and recognition signals is provided. Non-charged residues may consist of gluconalactone, and the recognition signals are at least one member of the group consisting of

galactoside, mannoside, fucoside, Lewisx, Lewisb, oligomannoside, oligolactosamine saccharides, and peptide atrial natriuretic peptide (ANP). The conjugated polylysine contains ≥30% unsubstituted free amino functions. HepG2 (human hepatocarcinoma) cells are efficiently transfected by the substituted polylysine containing 58 ± 12% gluconoyl residues with an efficiency .apprx.300-fold higher than with the plasmid DNA alone. Polylysine substituted by a few gluconoyl residues are not effective for obtaining good transfection; those with too many residues are slightly effective for transfection.

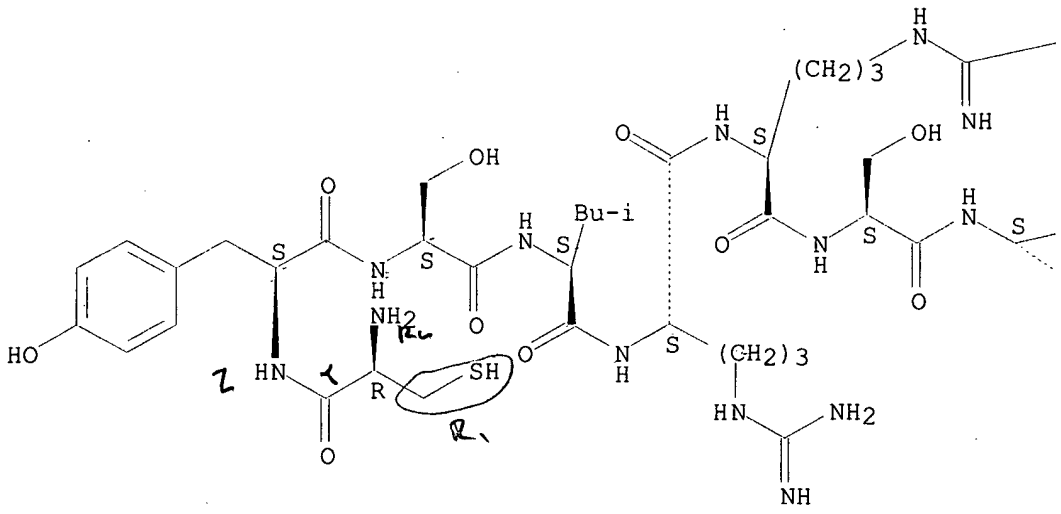
IT **205534-18-5D**, conjugates with polylysine
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(complexes of nucleic acid and polylysine conjugated with non-charged residues and recognition signals for the **transfection** of cells)

RN 205534-18-5 HCAPLUS

CN 1-20-Atrial natriuretic peptide-28 (rat reduced), N-(L-cysteinyl-L-tyrosyl)-7-L-alanine-20-L-alanine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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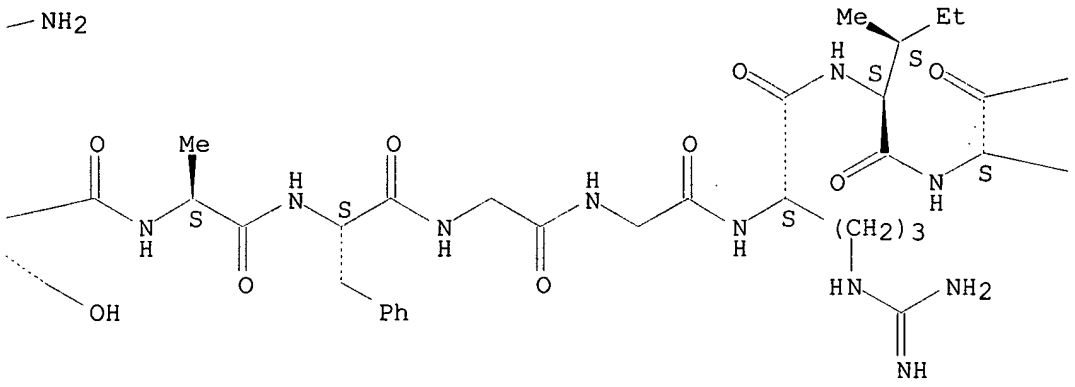
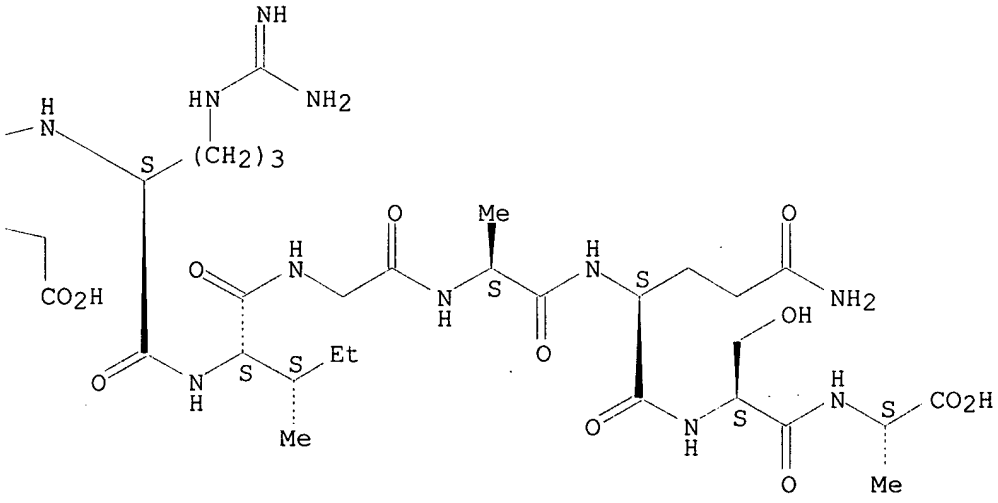


PAGE 1-C



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 35 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:730855 HCAPLUS
DOCUMENT NUMBER: 128:68798
TITLE: The use of the decyl esters of amino acid hydrochlorides as chiral dopants in the formation of amphiphilic cholesteric liquid crystals
AUTHOR(S): Radley, K.; McLay, N.; Gicquel, K.
CORPORATE SOURCE: Department of Chemical and Biological Sciences, The University of Huddersfield, Huddersfield, HD1 3DH, UK
SOURCE: Molecular Crystals and Liquid Crystals Science and Technology, Section A: Molecular Crystals and Liquid Crystals (1997), 303, 249-254

Search completed by David Schreiber x22526

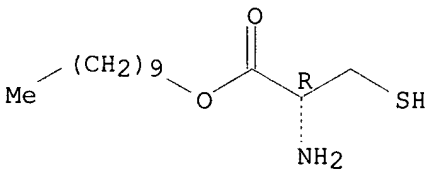
CODEN: MCLCE9; ISSN: 1058-725X
PUBLISHER: Gordon & Breach
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The decyl ester hydrochlorides of the amino acids serine, alanine, leucine, methionine and Me cysteine are accessed as chiral dopants in amphiphilic cholesteric liquid crystal formation. The sense and magnitude of the induced helical twist is dependent on the achiral host detergent, which were various alkyl-Me ammonium bromide salts. The results are interpreted in terms of the trans and cis rotamers associated with the ester linkage. 13C-NMR was used to measure the rotamer populations. Each rotamer makes an opposite but an unequal contribution to the total twist. The results for the serine ester did not fit this interpretation completely.

IT **62437-72-3D**, Me derivative
RL: MOA (Modifier or additive use); USES (Uses)
(use of decyl esters of amino acid hydrochlorides as chiral dopants in formation of amphiphilic cholesteric liquid crystals from **surfactants** in aqueous decanol)

RN 62437-72-3 HCAPLUS
CN L-Cysteine, decyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 36 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:573309 HCAPLUS
DOCUMENT NUMBER: 127:257137
TITLE: **Gene** transfer into hepatoma cell lines via the serpin enzyme complex receptor
AUTHOR(S): Ziady, Assem-Galal; Perales, Jose C.; Ferkol, Thomas; Gerken, Thomas; Beegen, Helga; Perlmutter, David H.; Davis, Pamela B.
CORPORATE SOURCE: Departments of Physiology and Biophysics, Case Western Reserve University School of Medicine, Cleveland, OH, 44106, USA
SOURCE: American Journal of Physiology (1997), 273(2, Pt. 1), G545-G552
CODEN: AJPHAP; ISSN: 0002-9513
PUBLISHER: American Physiological Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The serpin enzyme complex receptor (SECR) expressed on hepatocytes binds to a conserved sequence in α1-antitrypsin (α1-AT) and other serpins. A mol. conjugate consisting of a synthetic peptide (C1315) based

on the SECR binding motif of human α1-AT covalently coupled to poly-L-lysine was used to introduce reporter **genes** into hepatoma cell lines in culture. This conjugate **condensed DNA** into spheroidal particles 18-25 nm in diameter When transfected with the SECR-directed complex containing pGL3, Hep G2 cells that express the receptor, but not Hep G2 cells that do not, expressed a peak luciferase activity of 538,731 ± 144,346 integrated light units/mg protein 4 days after transfection. Free peptide inhibited uptake and expression in a dose-dependent manner. Complexes of **DNA condensed** with polylysine or LC-sulfo-N-succinimidyl-3-(2-pyridyldithio)propionate-substituted polylysine were ineffective. Transfection with a plasmid encoding human factor IX produced expression in Hep G2 (high) and HuH7 cells that express SECR but not Hep G2 (low) cells that lack the receptor. Fluorescein-labeled C1315 peptide labeled 9-31% of Hep G2 (high), 10-14% of HuH7, and 0.6-3.4% of Hep G2 (low) cells, and when the lac Z **gene** was transfected, only these cells expressed β-galactosidase. SECR-mediated **gene** transfer gives efficient, specific uptake and high-level expression of three reporter **genes**, and the system merits further study for **gene** therapy.

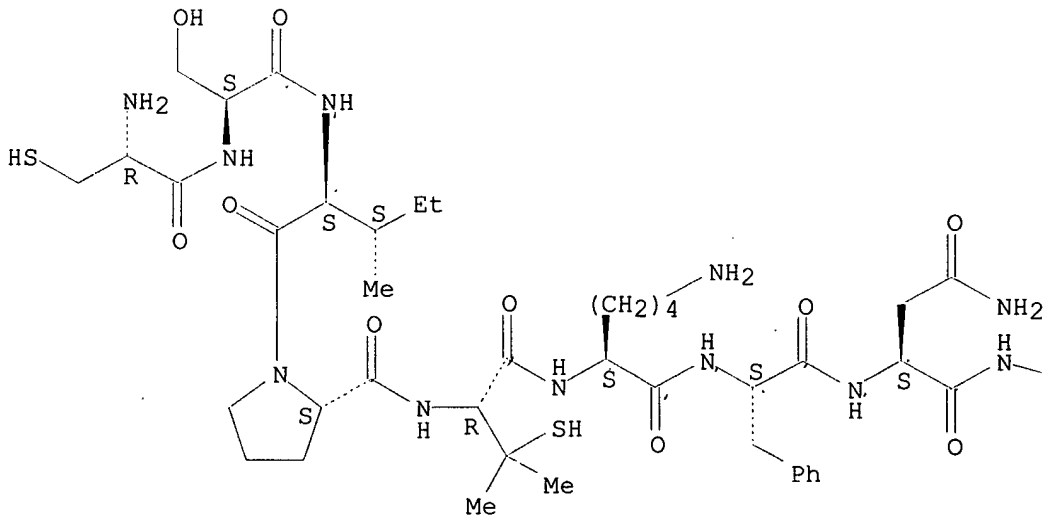
IT **196202-57-0P 196202-58-1P**
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(**gene** transfer into hepatoma cell lines via the serpin enzyme complex receptor)

RN 196202-57-0 HCAPLUS

CN L-Isoleucine, L-cysteinyl-L-seryl-L-isoleucyl-L-prolyl-3-mercapto-L-valyl-L-lysyl-L-phenylalanyl-L-asparaginyl-L-lysyl-L-prolyl-L-phenylalanyl-L-valyl-L-tyrosyl-L-leucyl- (9CI) (CA INDEX NAME)

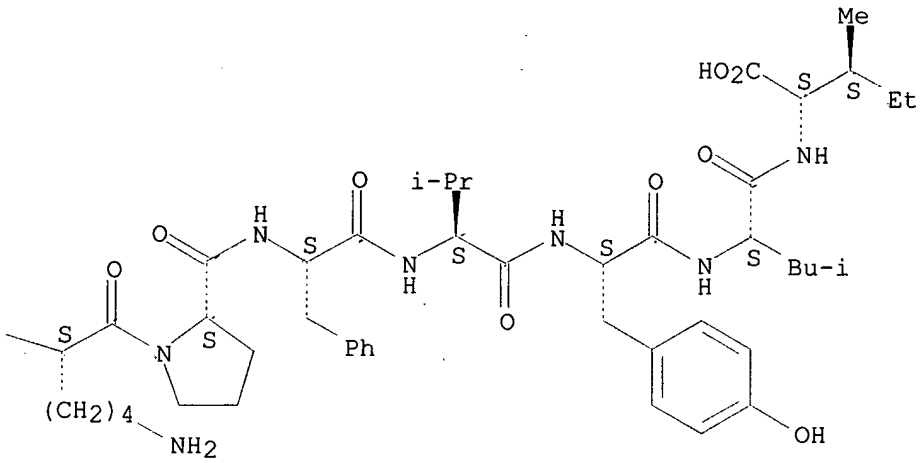
Absolute stereochemistry.

PAGE 1-A



Search completed by David Schreiber x22526

PAGE 1-B

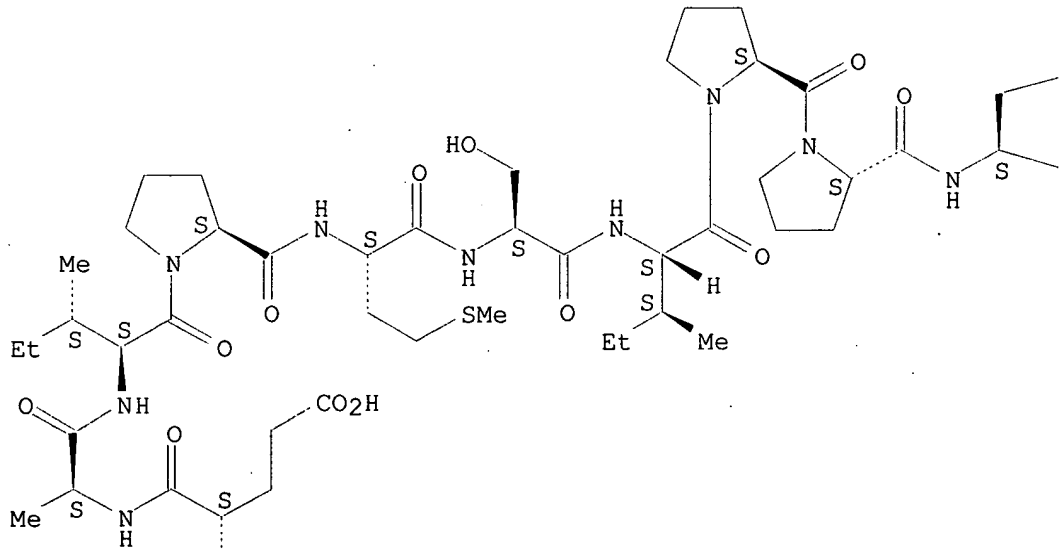


Today

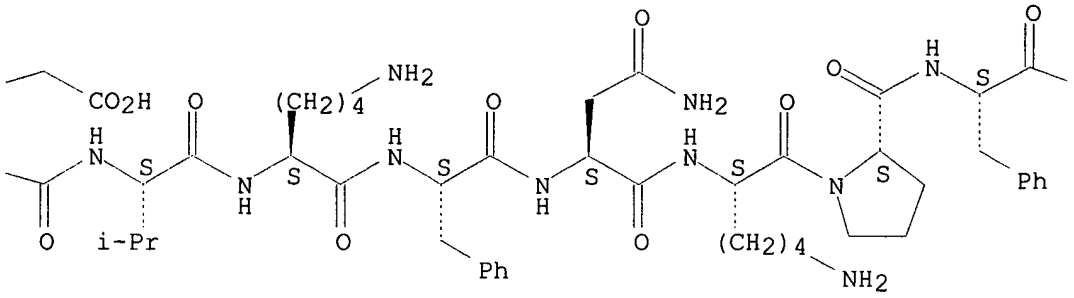
RN 196202-58-1 HCAPLUS
CN L-Aspartic acid, L-cysteinyl-L-phenylalanyl-L-leucyl-L-α-glutamyl-L-alanyl-L-isoleucyl-L-prolyl-L-methionyl-L-seryl-L-isoleucyl-L-prolyl-L-prolyl-L-α-glutamyl-L-valyl-L-lysyl-L-phenylalanyl-L-asparaginyl-L-lysyl-L-prolyl-L-phenylalanyl-L-valyl-L-phenylalanyl-L-leucyl-L-isoleucyl-L-isoleucyl-L-histidyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

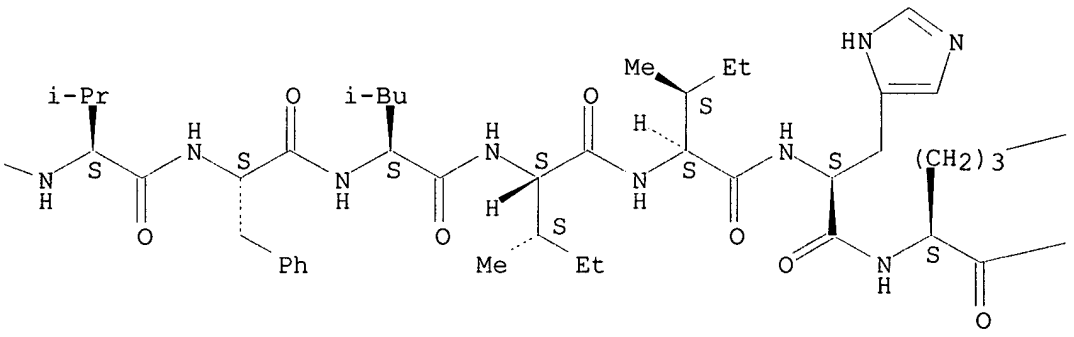
PAGE 1-A



PAGE 1-B



PAGE 1-C



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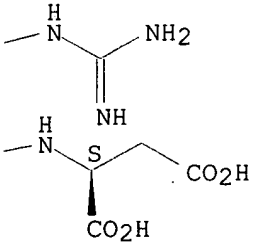

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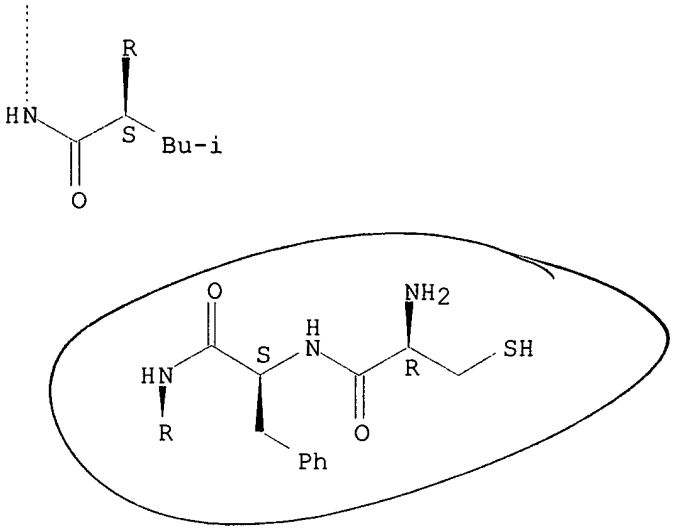


L48 ANSWER 37 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:506897 HCAPLUS
DOCUMENT NUMBER: 127:166773
TITLE: Conjugates of lipids and membrane-disturbing peptides as transfection-competent molecules
INVENTOR(S): Legendre, Jean-Yves; Supersaxo, Andreas; Trzeciak, Arnold
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 784984	A2	19970723	EP 1997-100208	19970109
EP 784984	A3	19990224		
EP 784984	B1	20030702		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 244021	E	20030715	AT 1997-100208	19970109
US 6030602	A	20000229	US 1997-782997	19970114
CA 2195169	AA	19970718	CA 1997-2195169	19970115
CN 1163896	A	19971105	CN 1997-102251	19970116
BR 9700703	A	19980901	BR 1997-703	19970116
JP 09202799	A2	19970805	JP 1997-6195	19970117

PRIORITY APPLN. INFO.: EP 1996-100603 A 19960117

AB The invention relates to conjugates of lipids and basic, membrane disturbing peptides, particularly, compds. of the formulas (R-CONH)n-R3 and (R-S-S)n-R3 (wherein R is the hydrocarbyl moiety of a straight-chain or branched-chain, saturated or unsatd. aliphatic carboxylic acid, or a phospholipid moiety having a free valence bond; R3 is a basic membrane disturbing peptide having a free valence bond at one or two carbon atom(s); and n is 1 or 2). These can be used as a vector for transfecting a cell with a polynucleotide or any other anionic macromol.

IT **186133-86-8DP**, blocked, resin-bound **186133-86-8P**

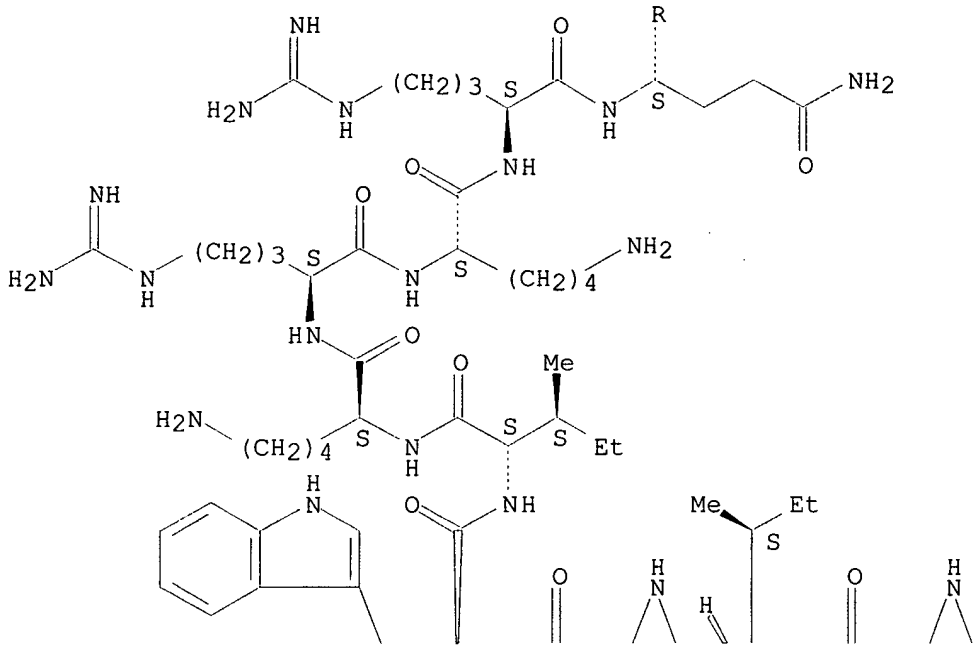
RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(conjugates of lipids and membrane-disturbing peptides as **transfection**-competent mols.)

RN 186133-86-8 HCAPLUS

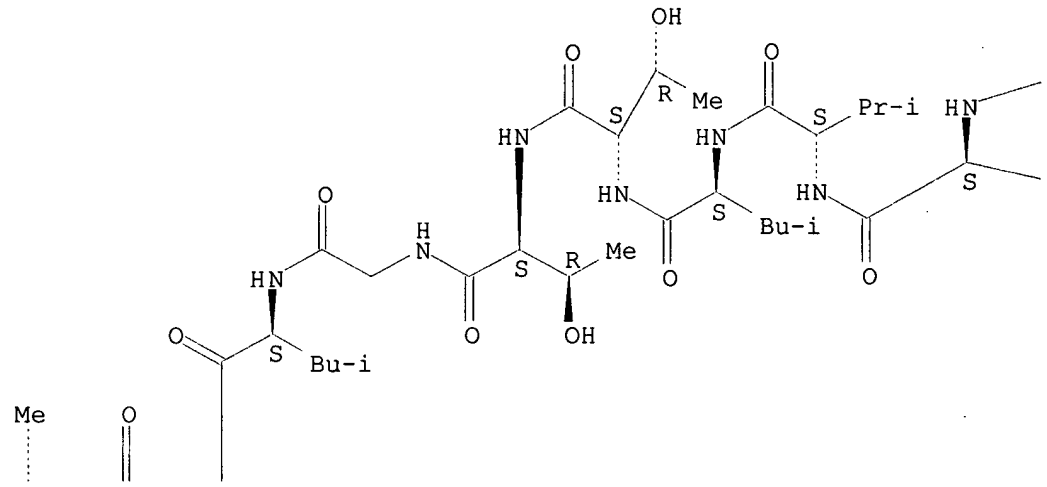
CN L-Glutamamide, L-cysteinyl-L-isoleucylglycyl-L-alanyl-L-valyl-L-leucyl-L-lysyl-L-valyl-L-leucyl-L-threonyl-L-threonylglycyl-L-leucyl-L-prolyl-L-alanyl-L-leucyl-L-isoleucyl-L-seryl-L-tryptophyl-L-isoleucyl-L-lysyl-L-arginyl-L-lysyl-L-arginyl-L-glutaminyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

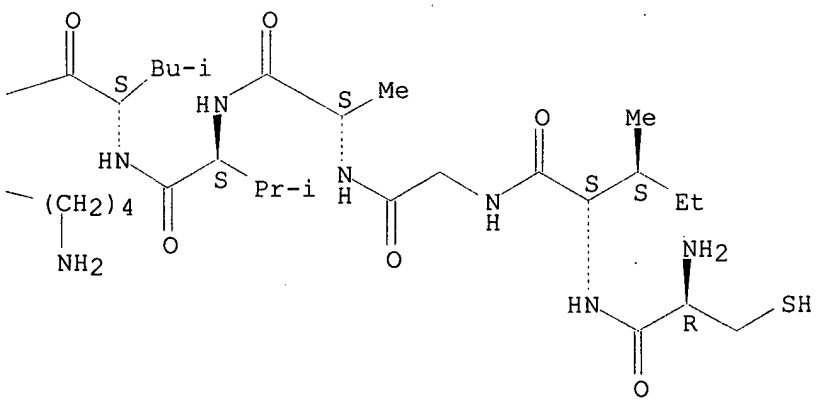
PAGE 1-A



PAGE 1-B



PAGE 1-C



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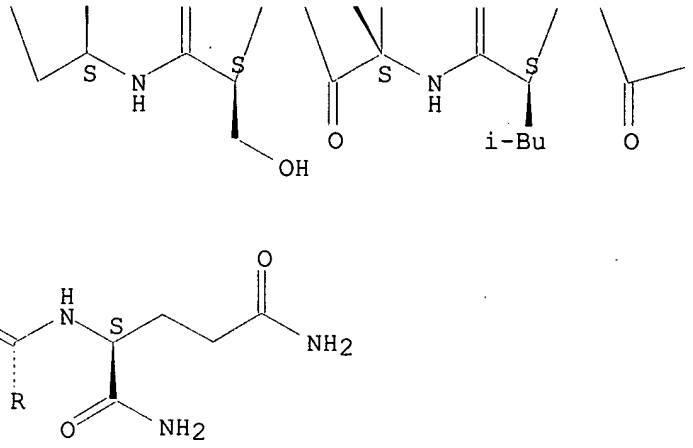
PAGE 2-A



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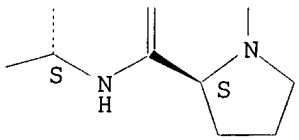
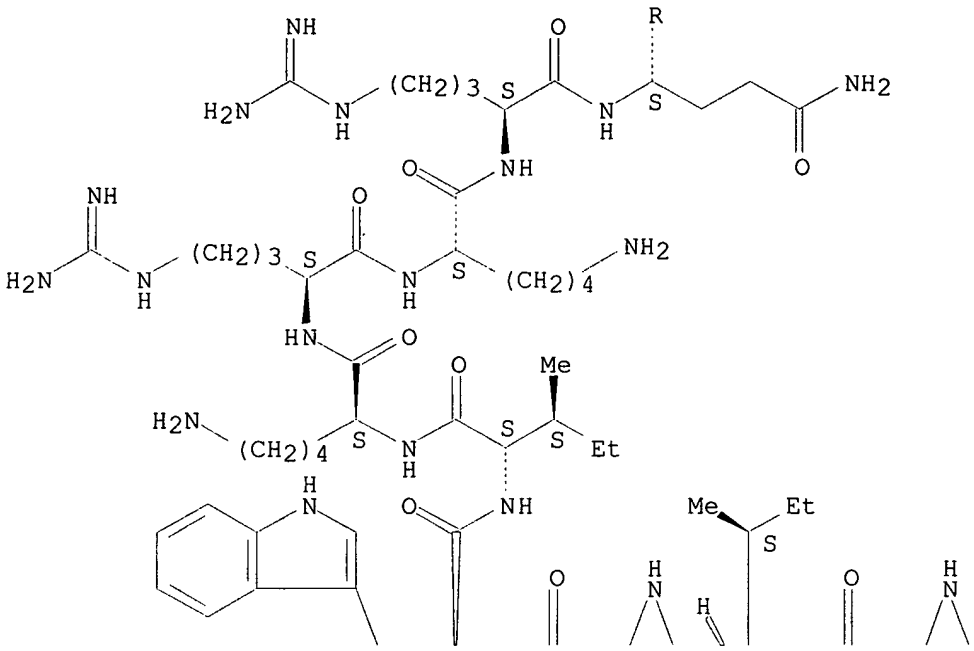


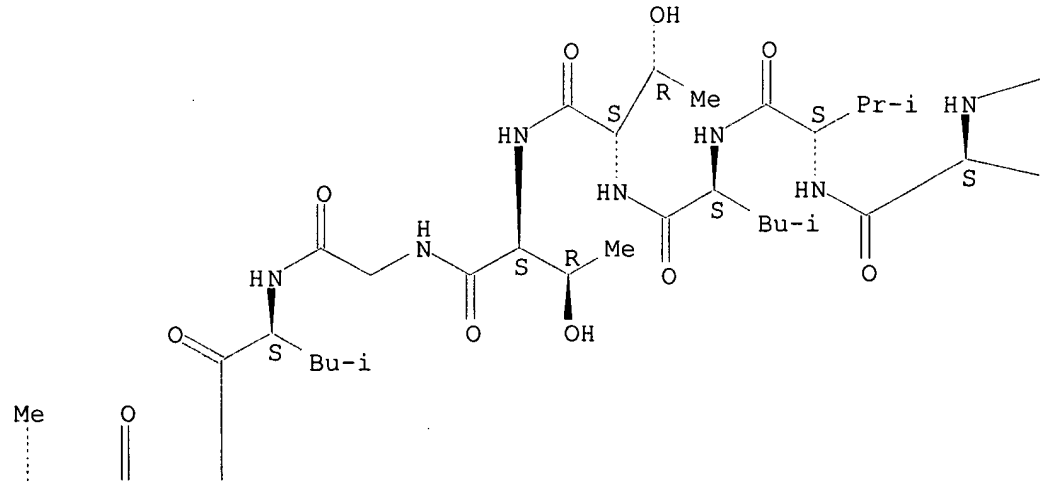
RN 186133-86-8 HCAPLUS
CN L-Glutamamide, L-cysteinyl-L-isoleucylglycyl-L-alanyl-L-valyl-L-leucyl-L-lysyl-L-valyl-L-leucyl-L-threonyl-L-threonylglycyl-L-leucyl-L-prolyl-L-alanyl-L-leucyl-L-isoleucyl-L-seryl-L-tryptophyl-L-isoleucyl-L-lysyl-L-arginyl-L-lysyl-L-arginyl-L-glutaminyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

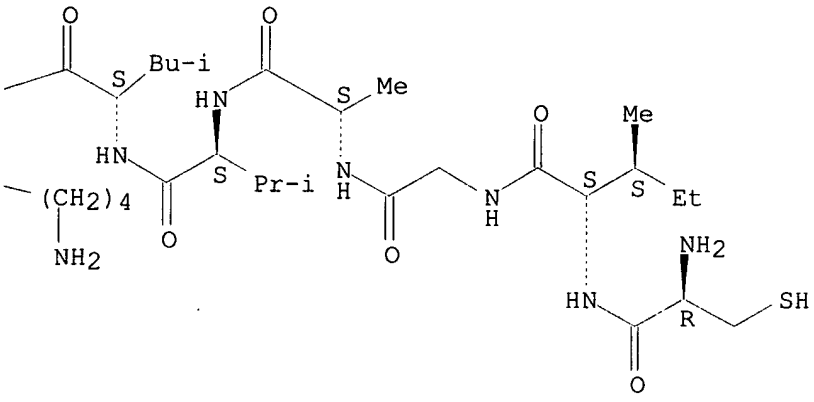


PAGE 1-B

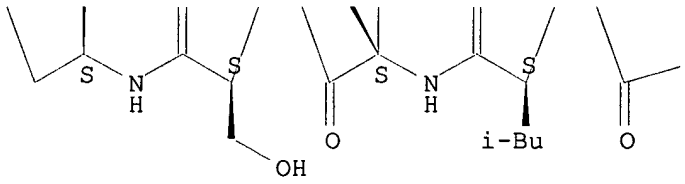


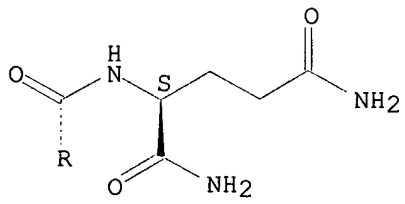
Search completed by David Schreiber x22526

PAGE 1-C

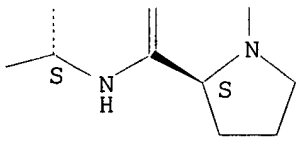


PAGE 2-A





PAGE 2-B



L48 ANSWER 38 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:130452 HCAPLUS
DOCUMENT NUMBER: 126:248506
TITLE: Adhesive peptides selected by phage display:

Search completed by David Schreiber x22526

	Characterization, applications and similarities with fibrinogen
AUTHOR(S):	Gebhardt, K.; Lauvrak, V.; Babaie, E.; Eijsink, V.; Lindqvist, B. H.
CORPORATE SOURCE:	Biotechnology Centre Oslo, Univ. Oslo, Oslo, Norway
SOURCE:	Peptide Research (1996), 9(6), 269-278 CODEN: PEREEO; ISSN: 1040-5704
PUBLISHER:	Eaton
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB Phage clones with affinity for polystyrene/polyurethane magnetic particles were isolated from a 10-mer peptide display library. Sequence anal. revealed that 40 out of 80 clones contained the consensus WXXWXXXW. Some of the selected phages showed high surface activity and adsorbed to plastic surfaces even in the presence of blocking agents or **surfactants**. Covalent attachment of a synthetic peptide (KG), carrying one of the selected sequences, to alkaline phosphatase (AP) or bovine serum albumin (BSA) enhanced binding of AP to a wide range of materials and improved the ability of BSA to prevent binding of antibodies and phages to polystyrene. Interestingly, the WXXWXXXW motif occurs in the β- and γ-chains of the natural "adhesive" protein fibrinogen, and a synthetic peptide carrying the γ-chain 369-376 sequence turned out to have essentially the same binding properties as the KG peptide. Furthermore, adsorption to different types of polystyrene was similar for AP carrying either the KG or γ-chain peptide, intact fibrinogen and plasmin-generated fragment D1. The latter fragment contains two copies of the WXXWXXXW motif but lacks the α-chain protuberances previously implicated in fibrinogen adsorption. Thus, our study may have revealed a hitherto unknown structural determinant for fibrinogen's adsorptivity, located in the 13-kDa C-terminal region of the γ-chain.

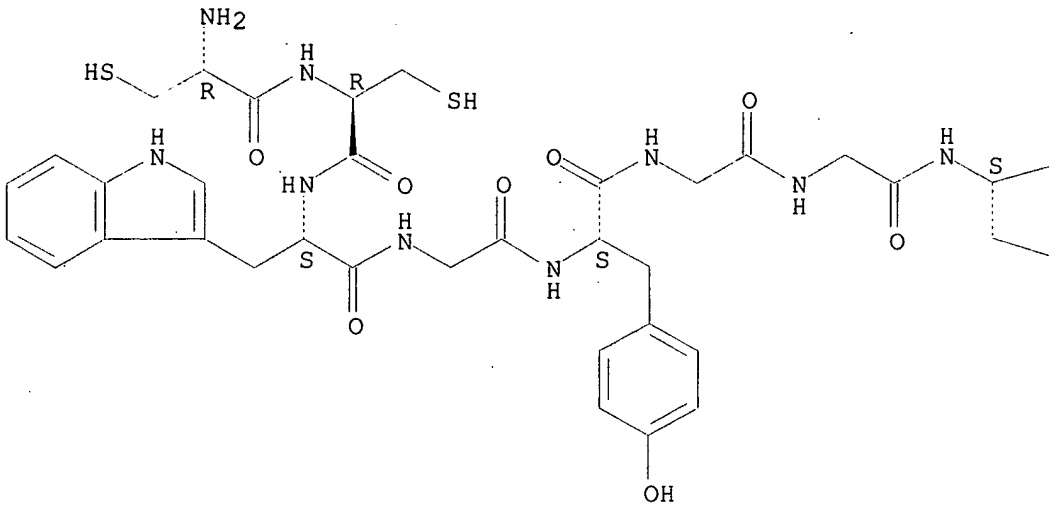
IT **188613-36-7**
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); BIOL (Biological study); PROC (Process)
(adhesive peptides selected by phage display in relation to fibrinogen)

RN 188613-36-7 HCAPLUS

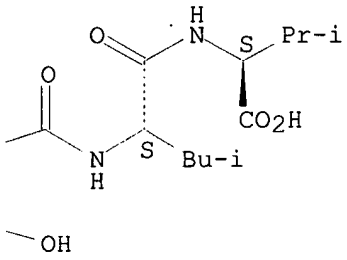
CN L-Valine, L-cysteinyl-L-cysteinyl-L-tryptophylglycyl-L-tyrosylglycylglycyl-L-seryl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L48 ANSWER 39 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:127037 HCAPLUS
DOCUMENT NUMBER: 126:113857
TITLE: Dioleoylmelittin as a Novel Serum-Insensitive Reagent for Efficient **Transfection** of Mammalian Cells
AUTHOR(S): Legendre, J. Y.; Trzeciak, A.; Bohrmann, B.; Deuschle, U.; Kitas, E.; Supersaxo, A.
CORPORATE SOURCE: Preclinical Research and Development, F. Hoffmann-La Roche AG, Basel, CH-4070, Switz.
SOURCE: Bioconjugate Chemistry (1997), 8(1), 57-63
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Amphipathic peptides can be useful effectors to enhance **gene delivery**. However, peptide/DNA complexes usually require addnl. effectors, such as fusogenic lipids, to mediate efficient **transfection**. Due to weak and/or multiple interactions between the various components of the system, the **transfecting** complexes

Search completed by David Schreiber x22526

are often heterogeneous and unstable in biol. fluids. Accordingly, a hybrid mol. resulting from the covalent coupling of an amphipathic, membrane-disturbing peptide to a lipid moiety might create a stable and efficient peptide-based gene transfer system. The present work describes such a novel hybrid mol., dioleoylmelittin, resulting from the conjugation of dioleoylphosphatidylethanolamine-N-[3-(2-pyridyldithio)propionate] with [Cys1]melittin. Dioleoylmelittin had a lower hemolytic and membrane-disturbing activity than melittin. Size and zeta potential measurements, DNA gel electrophoresis, and electron microscopy showed that dioleoylmelittin, unlike melittin, was able to complex plasmid DNA to form spherical particles with a net pos. charge and a diameter between 50 and 250 nm. These particles, prepared at an optimal 10/1 dioleoylmelittin/DNA ratio (weight/weight), mediated efficient transient **transfection** of reporter genes in cultured mammalian cells including primary cells. The luciferase activity induced by the dioleoylmelittin/DNA complex was 5-500-fold higher than that induced by a **cationic** lipid/DNA complex, depending on the **cationic** lipid and the cell-line. Surprisingly, the presence of 10-50% fetal calf serum during dioleoylmelittin-mediated **transfection** enhanced 1.5-3-fold gene expression. Dioleoylmelittin represents a new class of efficient peptide-based **transfection** reagents, especially suited for serum-sensitive cells.

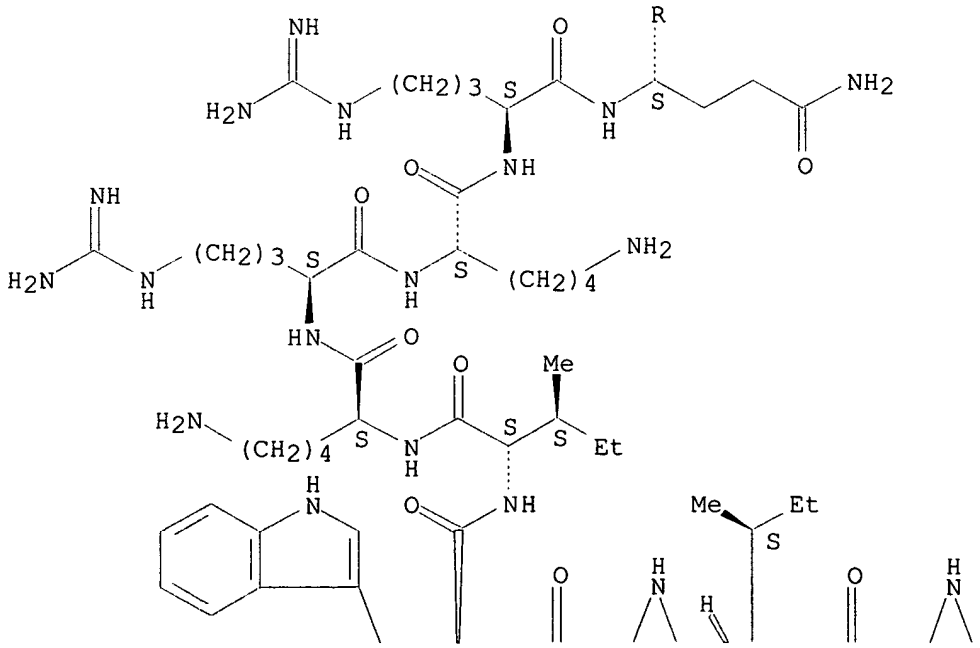
IT **186133-86-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(dioleoylmelittin preparation from; dioleoylmelittin as serum-insensitive reagent for efficient **transfection** of mammalian cells)

RN 186133-86-8 HCAPLUS

CN L-Glutamamide, L-cysteinyl-L-isoleucylglycyl-L-alanyl-L-valyl-L-leucyl-L-lysyl-L-valyl-L-leucyl-L-threonyl-L-threonylglycyl-L-leucyl-L-prolyl-L-alanyl-L-leucyl-L-isoleucyl-L-seryl-L-tryptophyl-L-isoleucyl-L-lysyl-L-arginyl-L-lysyl-L-arginyl-L-glutaminyl- (9CI) (CA INDEX NAME)

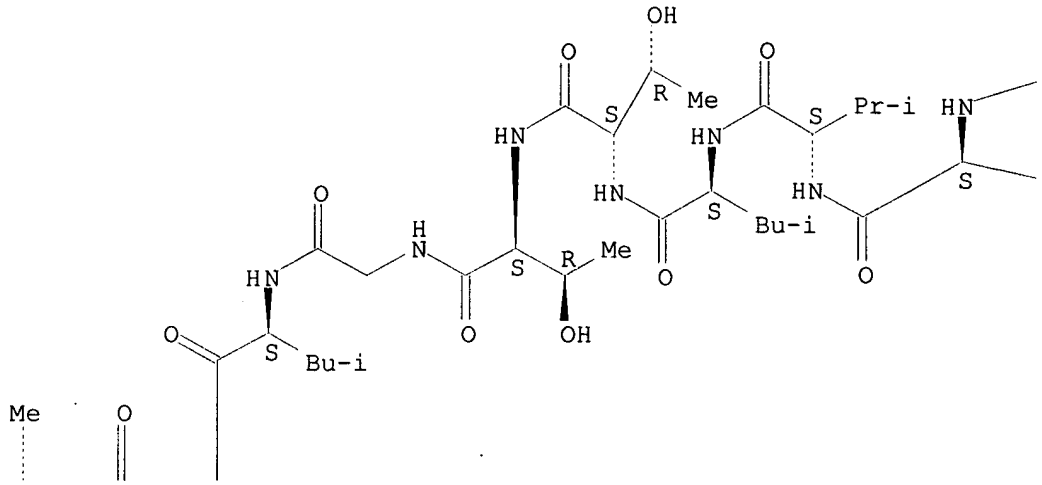
Absolute stereochemistry.

PAGE 1-A

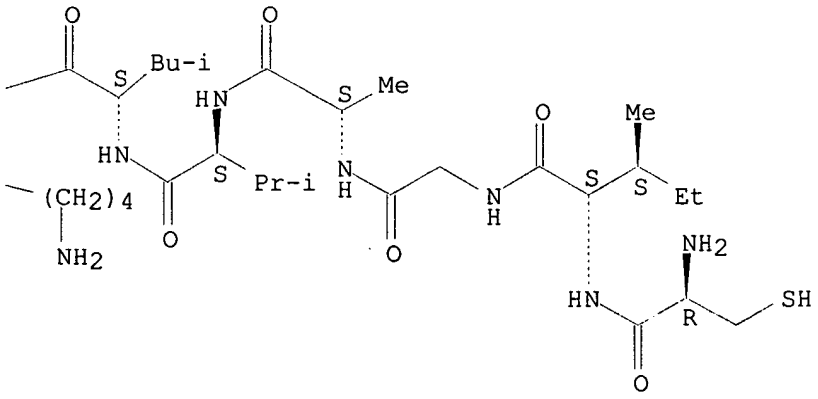


Search completed by David Schreiber x22526

PAGE 1-B

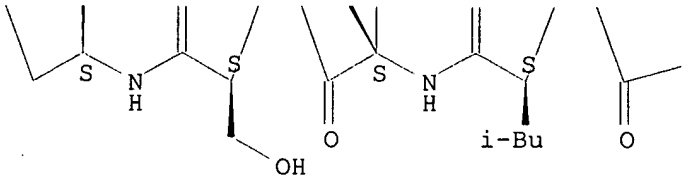


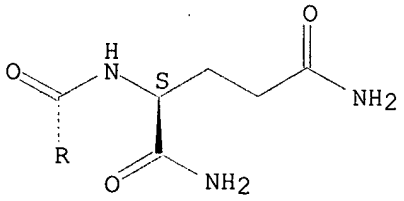
PAGE 1-C



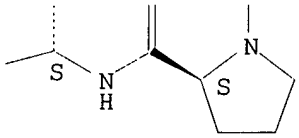
Search completed by David Schreiber x22526

PAGE 2-A





PAGE 2-B



L48 ANSWER 40 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:112999 HCAPLUS
DOCUMENT NUMBER: 126:108821
TITLE: Peptide-Mediated **Gene Delivery**: Influence of Peptide Structure on **Gene** Expression
AUTHOR(S): Wadhwa, Manpreet S.; Collard, Wendy T.; Adami, Roger C.; McKenzie, Donald L.; Rice, Kevin G.
CORPORATE SOURCE: Divisions of Medicinal Chemistry and Pharmaceutics College of Pharmacy, University of Michigan, Ann Arbor, MI, 48109, USA
SOURCE: Bioconjugate Chemistry (1997), 8(1), 81-88 CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

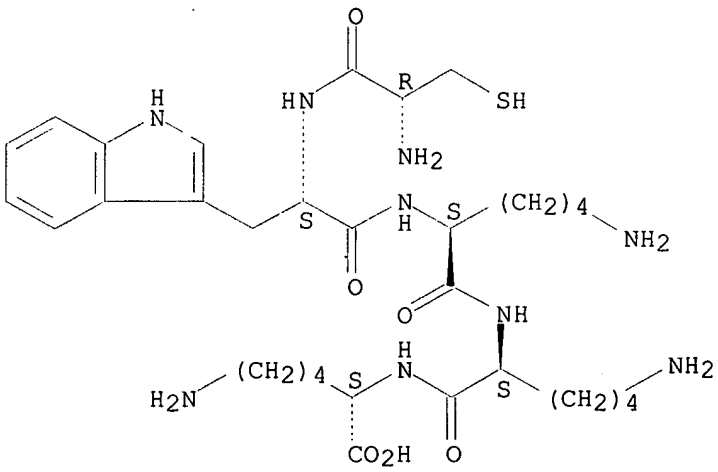
AB **Cationic** peptides possessing a single cysteine, tryptophan, and lysine repeat were synthesized to define the minimal peptide length needed to mediate transient **gene** expression in mammalian cells. The N-terminal cysteine in each peptide was either alkylated or oxidatively dimerized to produce peptides possessing lysine chains of 3, 6, 8, 13, 16, 18, 26, and 36 residues. Each synthetic peptide was studied for its ability to **condense** plasmid **DNA** and compared to polylysine19 and **cationic** lipids to establish relative in vitro **gene** transfer efficiency in HepG2 and COS 7 cells. Peptides with lysine repeats of 13 or more bound **DNA** tightly and produced **condensates** that decreased in mean diameter from 231 to 53 nm as lysine chain length increased. In contrast, peptides possessing 8 or

fewer lysine residues were similar to polylysine19, which bound **DNA** weakly and produced large (0.7-3 mm) **DNA condensates**. The luciferase expression was elevated 1000-fold after HepG2 cells were **transfected** with **DNA condensates** prepared with alkylated Cys-Trp-Lys18 (AlkCWK18) vs. polylysine19. The **gene** transfer efficiencies of AlkCWK18 and **cationic** lipids were equivalent in HepG2 cells but different by 10-fold in COS 7 cells. A 40-fold reduction in particle size and a 1000-fold amplification in **transfection** efficiency for AlkCWK18 **DNA condensates** relative to polylysine19 **DNA condensates** suggest a contribution from tryptophan that leads to enhanced **gene** transfer properties for AlkCWK18. Tryptophan-containing **cationic** peptides result in the formation of small **DNA condensates** that mediate efficient nonspecific **gene** transfer in mammalian cells. Due to their low toxicity, these peptides may find utility as carriers for nonspecific **gene delivery** or may be developed further as low mol. weight **DNA condensing** agents used in targeted **gene delivery** systems.

IT **185846-60-0DP**, S-alkyl derivs. **185846-61-1DP**, S-alkyl derivs. **185846-62-2DP**, S-alkyl derivs. **185846-63-3DP**, S-alkyl derivs.
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide structure effect on **gene** expression and **delivery**)

RN 185846-60-0 HCAPLUS
CN L-Lysine, L-cysteinyl-L-tryptophyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

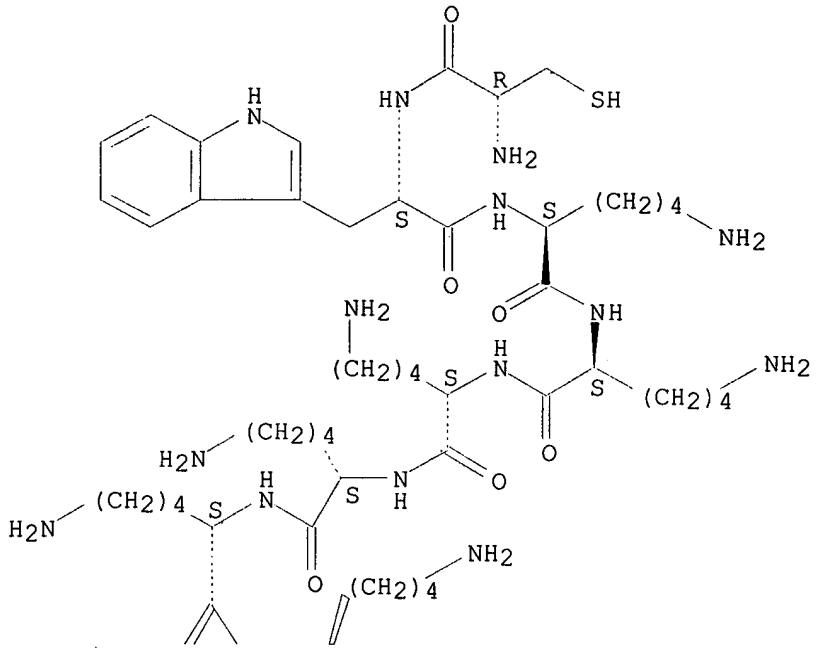
Absolute stereochemistry.



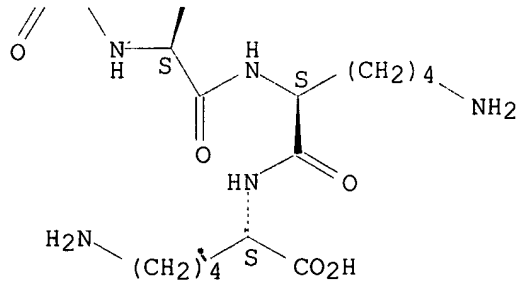
RN 185846-61-1 HCAPLUS
CN L-Lysine, L-cysteinyl-L-tryptophyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

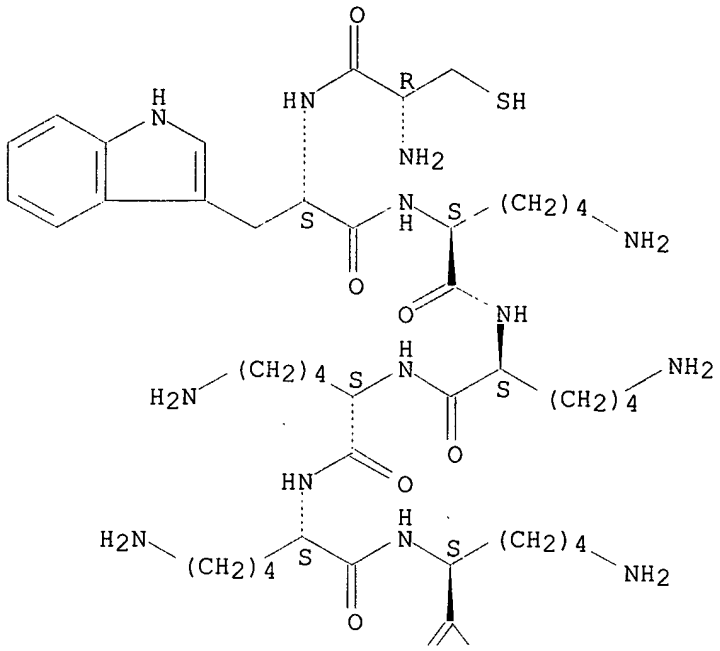


RN 185846-62-2 HCAPLUS
CN L-Lysine, L-cysteinyl-L-tryptophyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

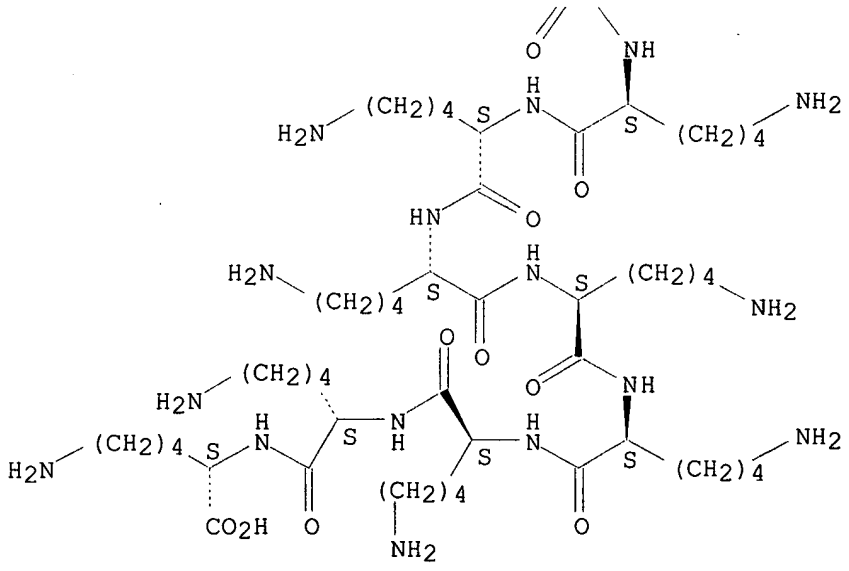
Absolute stereochemistry.

Search completed by David Schreiber x22526

PAGE 1-A



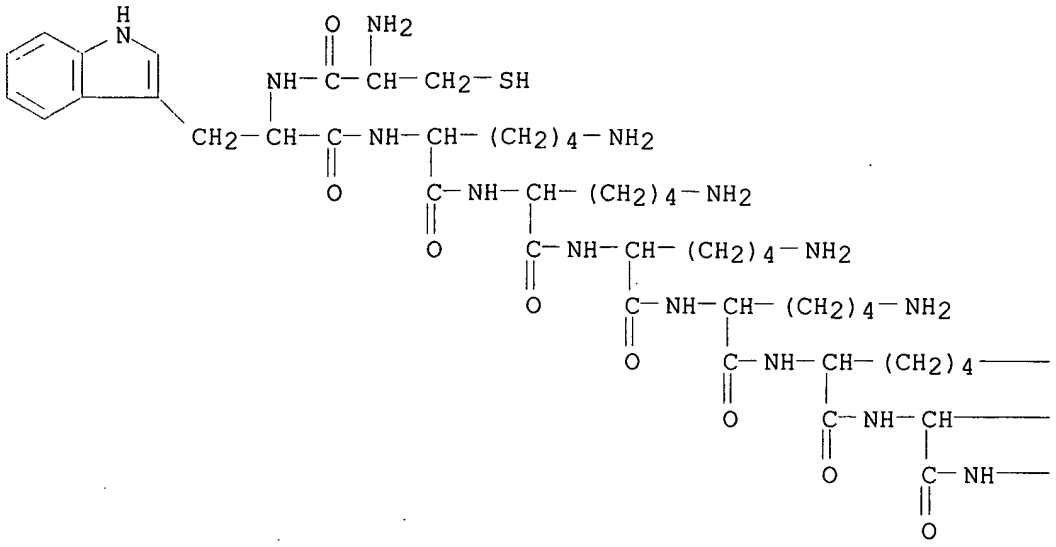
PAGE 2-A



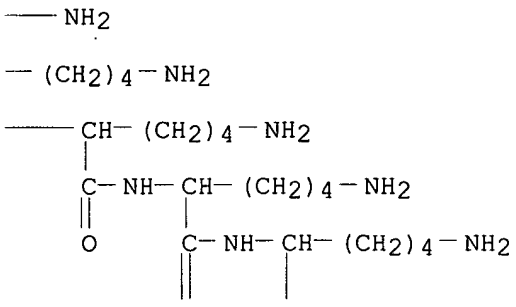
RN 185846-63-3 HCAPLUS
CN L-Lysine, L-cysteinyl-L-tryptophyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

Search completed by David Schreiber x22526

PAGE 1-A

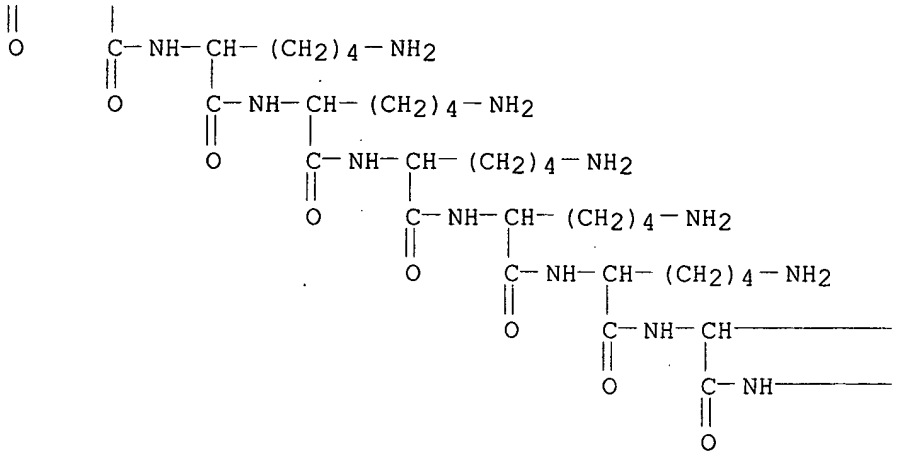


PAGE 1-B

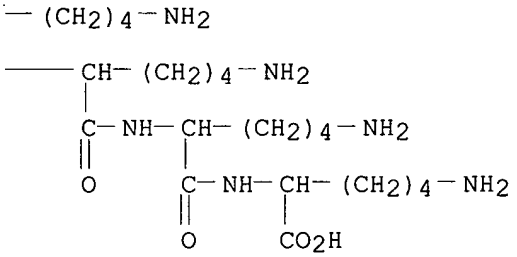


Search completed by David Schreiber x22526

PAGE 2-B



PAGE 2-C



L48 ANSWER 41 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:541401 HCAPLUS
DOCUMENT NUMBER: 122:308081
TITLE: Self-assembling polynucleotide delivery system comprising dendrimer polycations
INVENTOR(S): Szoka, Francis C., Jr.; Haensler, Jean
PATENT ASSIGNEE(S): Regents of the University of California, USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

Search completed by David Schreiber x22526

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9502397	A1	19950126	WO 1994-US7916	19940714
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2163364	AA	19950126	CA 1994-2163364	19940714
AU 9512400	A1	19950213	AU 1995-12400	19940714
AU 681735	B2	19970904		
EP 708637	A1	19960501	EP 1994-922555	19940714
EP 708637	B1	20040128		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09500136	T2	19970107	JP 1994-504719	19940714
AT 258434	E	20040215	AT 1994-922555	19940714

PRIORITY APPLN. INFO.: US 1993-92200 A 19930714
WO 1994-US7916 W 19940714

AB A self-assembling polynucleotide delivery system comprises a dendrimer polycation aiding in the delivery of the polynucleotide to a desired subcellular location, and optionally other agents such as DNA masking agents, cell recognition agents, charge-neutralizing agents, membrane-permeabilization agents, and subcellular-localization agents. Thus, polyamidoamine cascade polymers (StarburstTM Dendrimers SD54 and SD68) are derived from an ammonia core and -CH2CHwCONHCH2CH2N units resulting from successive addns. of Me acrylate and ethylene diamine. Unmodified PAMAM dendrimers displayed excellent characteristics when tested for plasmid delivery and **transfection** on a wide variety of animal cells; **transfection** efficiency depended on the size and amount of the dendrimer in the DNA-dendrimer complex. The best **transfection** activity (1000-fold higher than with polylysine-115) was observed with the complex composed of plasmid DNA and SD68 (6th generation dendrimer) at a ratio of 6 terminal amines of SD68 per nucleotide. SD68 also displayed low cytotoxicity in comparison to polylysine (LD50 >300 μg/mL on CV-1 cells in the absence of DNA). The GALA peptide, a membrane destabilizer, significantly increased the **transfection** activity of the complex when it was covalently attached to the dendrimer. **Transfection** was further enhanced by attachment of nuclear-localization peptides to DNA using a bifunctional mol. consisting of a sulfhydryl reactive maleimide attached to a bis-acridine-spermidine. Dendrimers also mediated nuclear accumulation of oligonucleotides in a size- and dose-dependent manner. Adding either the membrane destabilizer peptide GALA-bisacridine or a targeting ligand [(galactose-6)3Lys2-bis-acridine] to the dendrimer increases **transfection** by ≥2 orders of magnitude.

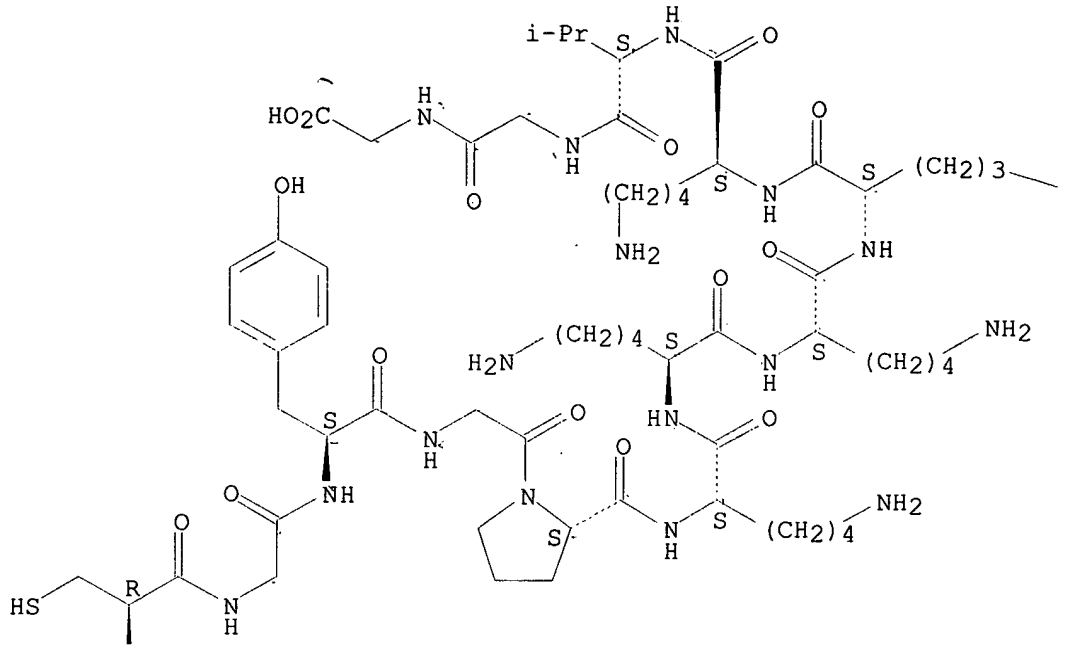
IT **104914-40-1DP**, reaction products with bis-acridine linker-intercalator **162926-11-6DP**, reaction products with bis-acridine linker-intercalator
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(self-assembling polynucleotide delivery system comprising dendrimer polycations)

RN 104914-40-1 HCAPLUS

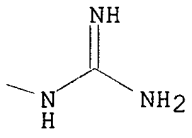
CN Glycine, L-cysteinylglycyl-L-tyrosylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



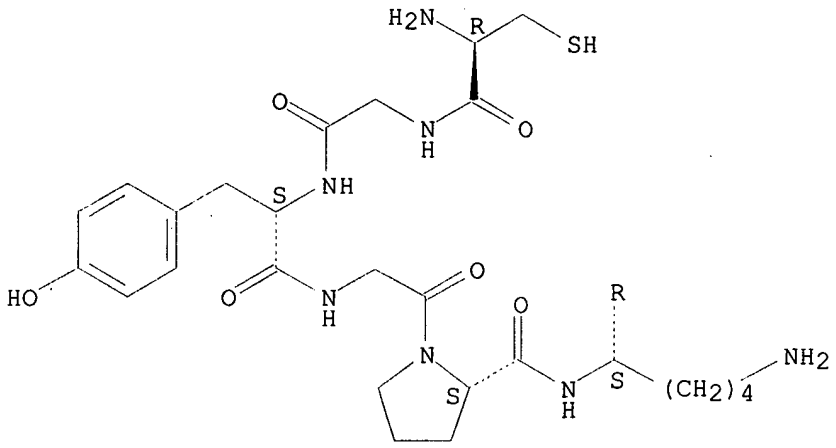
PAGE 2-A

RN 162926-11-6 HCAPLUS
CN Glycine, L-cysteinylglycyl-L-tyrosylglycyl-L-prolyl-L-lysyl-L-α-aspartyl-L-lysyl-L-arginyl-L-lysyl-L-valylglycyl- (9CI) (CA INDEX NAME)

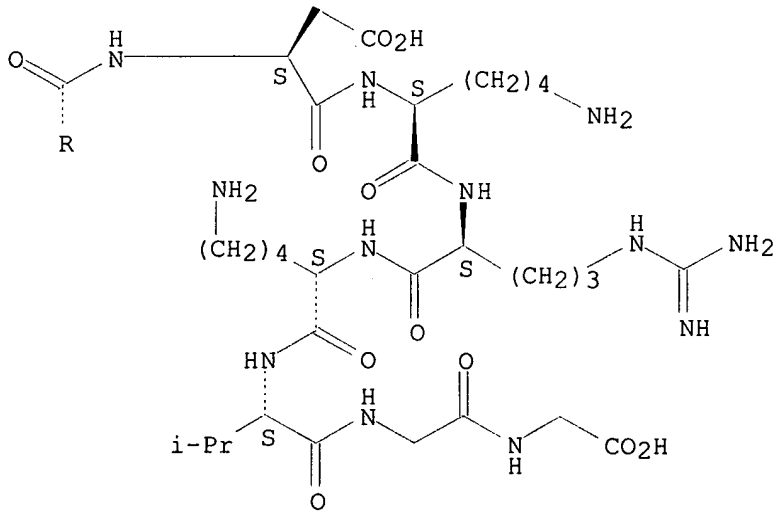
Absolute stereochemistry.

Search completed by David Schreiber x22526

PAGE 1-A



PAGE 2-A



L48 ANSWER 42 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1994:648028 HCAPLUS
DOCUMENT NUMBER:	121:248028
TITLE:	Targetting of therapeutic oligonucleotides using lysosomal proteinase-sensitive conjugates of peptides and oligonucleotides
INVENTOR(S):	Meyer, Rich B. Jr.; Gall, Alexander A.; Reed, Michael W.
PATENT ASSIGNEE(S):	Microprobe Corporation, USA
SOURCE:	PCT Int. Appl., 77 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English

Search completed by David Schreiber x22526

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9413325	A2	19940623	WO 1993-US12246	19931215
WO 9413325	A3	19941013		
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5574142	A	19961112	US 1992-991199	19921215
AU 9462953	A1	19940704	AU 1994-62953	19931215

PRIORITY APPLN. INFO.: US 1992-991199 19921215
WO 1993-US12246 19931215

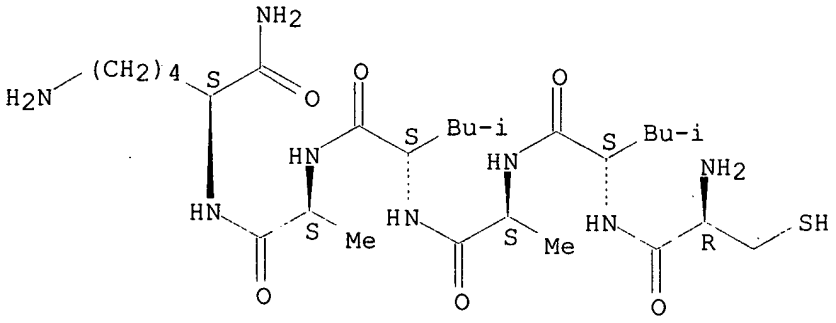
OTHER SOURCE(S): MARPAT 121:248028

AB Therapeutic oligonucleotides, e.g. antisense oligonucleotides, are targetted by conjugating them with a targetting peptide via a peptide linker that is labile to lysosomal, i.e. intracellular, proteinases. Inside the cell, the peptide is cleaved, releasing the oligonucleotides. The conjugate may also include moieties such as lipophilic groups, **surfactants**, polyamines, and other targetting ligands to improve solubility, targetting, and membrane-binding. Antisense oligonucleotides to Paramecium calmodulin mRNA with a 5'-hexylamine group were synthesized by standard chemical and conjugated with a number of peptides via iodoacetamide derivative of the oligonucleotide. The conjugates were labile to trypsin. Methods for conjugating these conjugates to suitable carriers are outlined.

IT **158054-35-4 158054-36-5 158054-37-6**
RL: USES (Uses)
(conjugates of peptides and oligonucleotides containing lysosomal proteinase-labile peptide and, targetted **delivery** of antisense **oligonucleotides** in relation to)

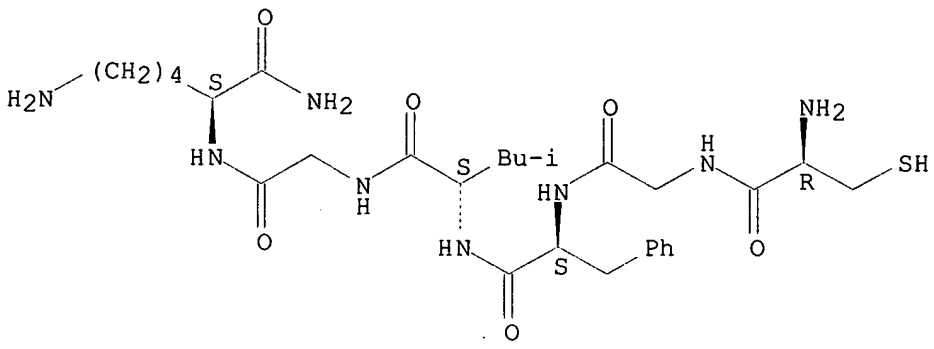
RN 158054-35-4 HCAPLUS
CN L-Lysinamide, L-cysteinyl-L-leucyl-L-alanyl-L-leucyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



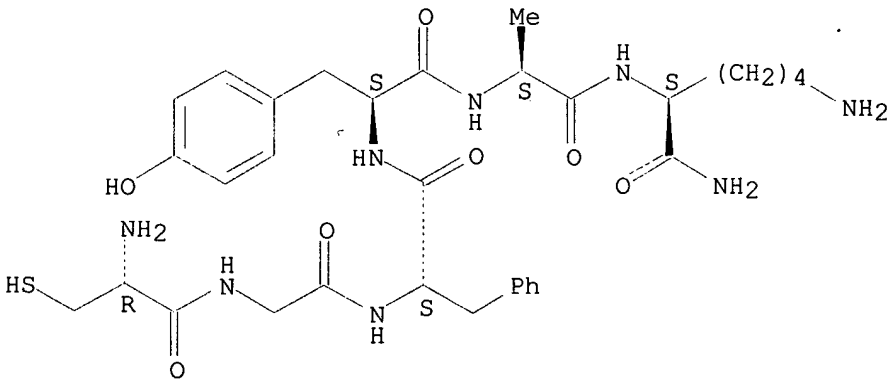
RN 158054-36-5 HCAPLUS
CN L-Lysinamide, L-cysteinylglycyl-L-phenylalanyl-L-leucylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158054-37-6 HCAPLUS
CN L-Lysinamide, L-cysteinylglycyl-L-phenylalanyl-L-tyrosyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L48 ANSWER 43 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:263042 HCAPLUS
DOCUMENT NUMBER: 120:263042
TITLE: DNA transporter system and its use for genetic transformation and gene therapy
INVENTOR(S): Smith, Louis C.; Woo, Savio L. C.
PATENT ASSIGNEE(S): Baylor College of Medicine, USA
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9318759	A1	19930930	WO 1993-US2725	19930319
W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GR, HU, JP, LU, NL, NO, PL, RO, RU, SE, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, NL				
AU 9339668	A1	19931021	AU 1993-39668	19930319

Search completed by David Schreiber x22526

AU 671450	B2	19960829				
EP 632722	A1	19950111	EP 1993-909155	19930319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE						
JP 07505283	T2	19950615	JP 1993-516812	19930319		
US 6033884	A	20000307	US 1993-167641	19931214		
US 5994109	A	19991130	US 1995-460890	19950603		
US 6150168	A	20001121	US 1995-460971	19950605		
US 6177554	B1	20010123	US 1995-462040	19950605		
PRIORITY APPLN. INFO.:			US 1992-855389	A	19920320	
			WO 1993-US2725	A	19930319	
			US 1993-167641	A3	19931214	

AB A DNA transporter system capable of non-covalently binding to DNA and facilitating the insertion of the DNA into a cell is described. The DNA transporter system includes a binding complex which non-covalently binds the DNA. The binding complex includes a mol. that is capable of non-covalently binding to the DNA and being covalently linked to a surface ligand and to a nuclear ligand. The surface ligand is capable of binding to a cell surface receptor and the nuclear ligand is capable of recognizing and transporting the transporter system through the nuclear membrane. A plurality of these binding complexes are attached to the DNA to facilitate the transport of the DNA into the cell. Addnl., a third binding complex which includes a virus can also be non-covalently linked to the DNA. The virus facilitates the movement of the DNA through the cytoplasm and into the nucleus. Also described are a variety of structures which can be used as part of the transporter system as well as methods of using the transporter system to introduce DNA into cells. A modified oligonucleotide designed to target SV40 vectors to specific cells and then to the nucleus of the targeted cell was prepared The oligonucleotide, which was linked to an intercalating dye, comprised thymine and 5-Me cytosine. Attached via linkers were ligands for cell surface receptors and nuclear localization peptides.

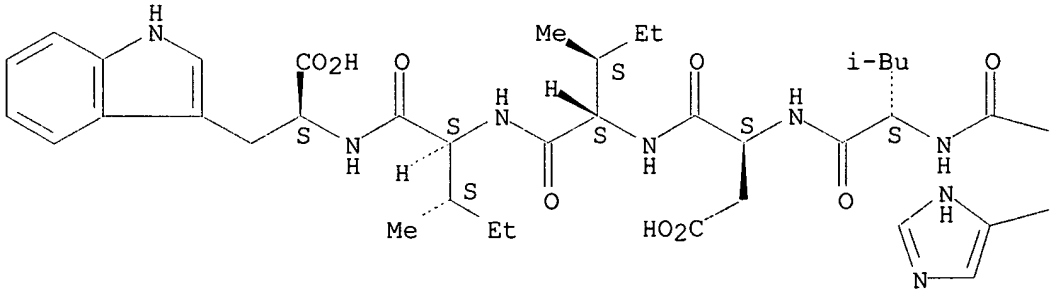
IT **114640-06-1D**, Endothelin 1 (pig reduced), conjugates with polycations, complexes with DNA
RL: USES (Uses)
(for genetic transformation or gene therapy)

RN 114640-06-1 HCAPLUS

CN Endothelin 1 (swine reduced) (9CI) (CA INDEX NAME)

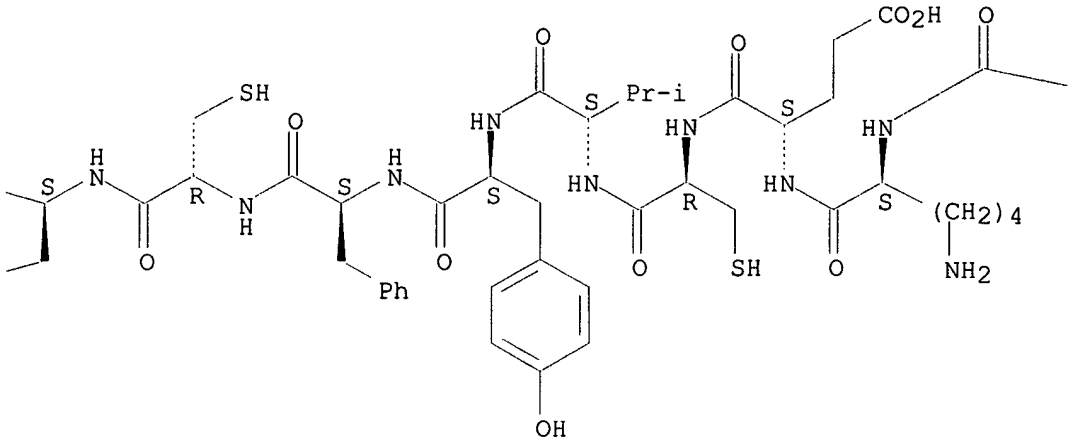
Absolute stereochemistry.

PAGE 1-A

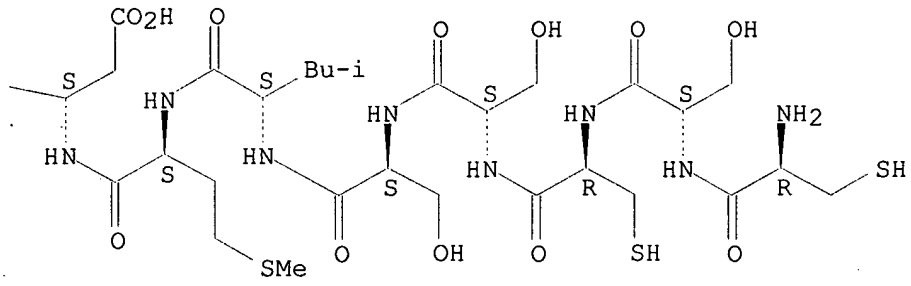


Search completed by David Schreiber x22526

PAGE 1-B



PAGE 1-C



L48 ANSWER 44 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:70186 HCAPLUS
DOCUMENT NUMBER: 120:70186
TITLE: COS-7 cells stably transfected to express the human ETB receptor provide a useful screen for endothelin receptor antagonists
AUTHOR(S): Stavros, F. D.; Hasel, K. W.; Okun, I.; Baldwin, J.; Freriks, K.
CORPORATE SOURCE: ImmunoPharm. Inc., San Diego, CA, USA
SOURCE: Journal of Cardiovascular Pharmacology (1993), 22(Suppl. 8), S34-S37
CODEN: JCPCDT; ISSN: 0160-2446
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Endothelin acts via specific membrane-bound receptors through signal transduction pathways that include increases in intracellular free calcium and inositol triphosphate generation. Two endothelin receptors have been cloned. The ETA receptor is ET-1 selective, and the ETB receptor is isopeptide nonselective. Both receptor subtypes are widely distributed throughout the body, although ETA receptors predominate in vascular smooth muscle, whereas ETB receptors predominate in the brain. The presence of mixed receptor subtypes makes functional screening of subtype-specific analogs difficult. A eukaryotic expression vector was constructed by

inserting the cloud coding region of the human ETB receptor downstream from the Rous sarcoma promoter. COS-7 cells were transferred with this construct, and cell lines were isolated with stably integrated copies of the relevant gene. One line, 1C7, was shown to specifically bind 125I-ET-1. Scatchard anal. indicated a Kd value of 8.8 pM and a BMAX value of 1.02 pM/mg. ET-1 stimulated phosphoinositide hydrolysis in a dose-dependent manner, as did ET-3, sarafotoxin 6c, and [1,3,13,15Ala]ET-1, whereas BQ123, a selective ETA receptor antagonist, did not inhibit the action of ET-1. The transfected receptor stimulates phosphoinositide (PI) hydrolysis via a pertussis-sensitive pathway. Pretreatment of the membrane from 1C7 cells with dithio-bis-nitrobenzoic acid (DTNB) a neg. charged, nonpenetrating agent capable of oxidizing sulfhydryl groups, and N-ethyl-maleimide (NEM), a penetrating agent that causes irreversible alkylation of sulfhydryl groups, significantly reduces BMAX but has no effect on Kd. In whole cells, DTNB pretreatment abolishes the ability of ET-1 to stimulate PI hydrolysis.

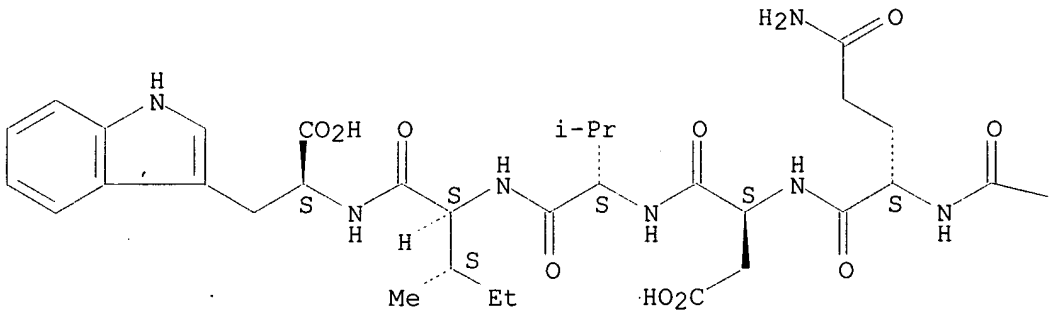
IT **116495-45-5**, Sarafotoxin S6c
RL: BIOL (Biological study)
(endothelin ETB receptor binding of and phosphoinositide hydrolysis response to, after receptor **transfection** in COS-7 cell)

RN 116495-45-5 HCAPLUS

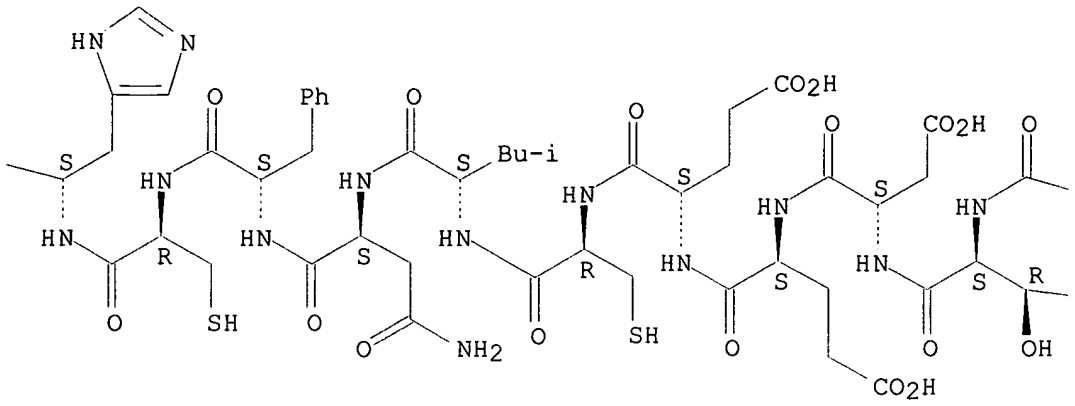
CN Sarafotoxin S 6c (reduced) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

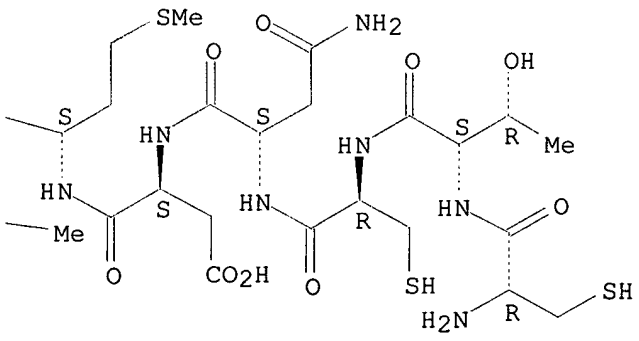
PAGE 1-A



PAGE 1-B



PAGE 1-C



L48 ANSWER 45 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:4023 HCAPLUS
DOCUMENT NUMBER: 120:4023
TITLE: Peptides and method for altering the activity of allosteric proteins
INVENTOR(S): Fox, Charles Fredrick; Williams, Robert E.; Rao, Kanury V. S.
PATENT ASSIGNEE(S): Univ. California, USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

Search completed by David Schreiber x22526

WO 9314781	A1	19930805	WO 1993-US581	19930122

W: CA, JP
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: US 1992-826927 19920124

AB A method for rapidly producing effector peptides that alter a functional activity of an allosteric protein (e.g. receptors, enzymes, **transport** proteins, **nucleic** acid-binding proteins, and extracellular matrix proteins) uses a target region (a region involved in intramol. or intermol. contact or involved in allosteric transition) in the amino acid sequence encoding the protein. Peptides of 10-20 amino acids are synthesized based on the sequence of the target region of the protein and screened for ability to alter a functional activity of the protein. The effector peptides are used to alter (increase or decrease) the functional activity of the allosteric protein. Peptides having sequences derived from 646-1000 of human epidermal growth factor receptor (EGFR), a region encompassing the protein tyrosine kinase and substrate-binding domains, were synthesized and tested for inhibition and/or stimulation of substrate phosphorylation by EGFR. Peptide YLVIQGD inhibited EGF-dependent EGFR-catalyze substrate phosphorylation by >50%.

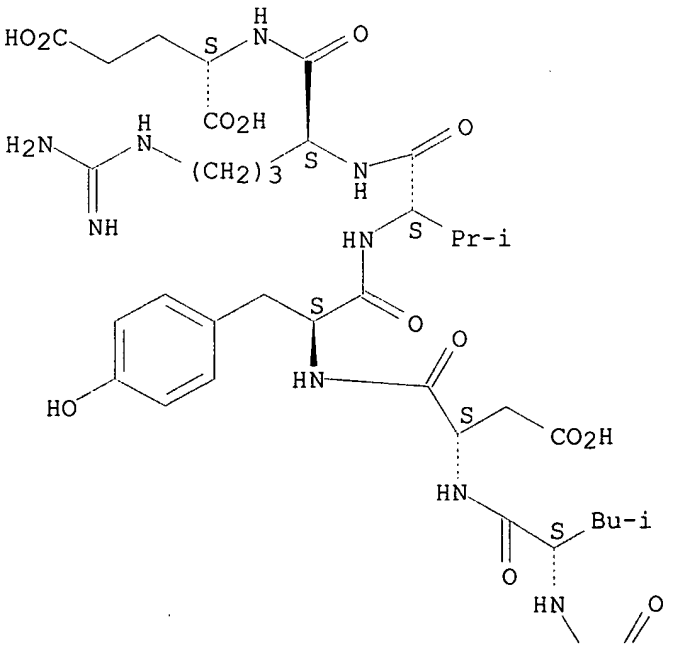
IT **151493-05-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(peptide of human EGF receptor inhibiting protein tyrosine kinase activity of human EGF receptor)

RN 151493-05-9 HCAPLUS

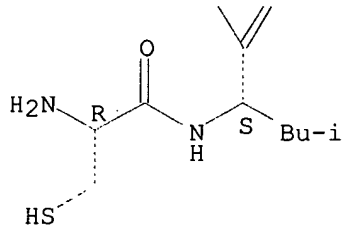
CN L-Glutamic acid, N-[N2-[N-[N-[N-[N-(N-L-cysteinyl-L-leucyl)-L-leucyl]-L-α-aspartyl]-L-tyrosyl]-L-valyl]-L-arginyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



Search completed by David Schreiber x22526



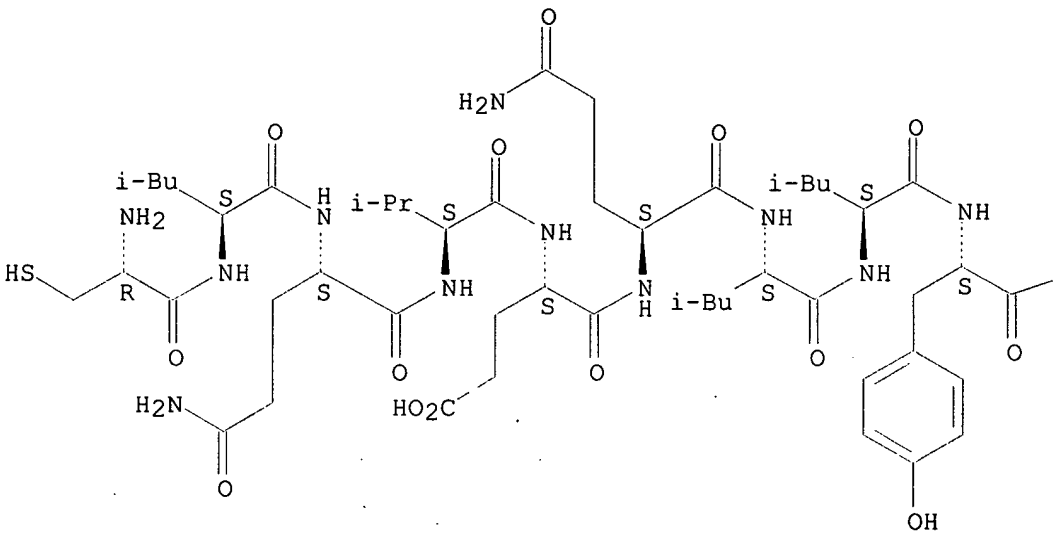
L48 ANSWER 46 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:422830 HCAPLUS
DOCUMENT NUMBER: 117:22830
TITLE: Evidence for peptide transport across microsomal membranes
AUTHOR(S): Koppelman, Bruce; Zimmerman, Deborah L.; Walter, Peter; Brodsky, Frances M.
CORPORATE SOURCE: Sch. Pharm., Univ. California, San Francisco, CA, 94143-0446, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1992), 89(9), 3908-12
CODEN: PNASA6; ISSN: 0027-8424
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Antigenic peptides bound to class I mols. of the major histocompatibility complex (MHC) are recognized by T-cell receptors during development of an antiviral immune response. T cells respond to peptides derived from cytoplasmic viral proteins as well as viral membrane proteins, indicating that the pathway exists for the transport of proteins or peptides from the cytosol into the compartment(s) where the MHC class I mols. assemble. An in vitro assay for the transport of peptides into microsomal vesicles was developed. This assay provides evidence for the transport of chemical synthesized peptides (13-21 amino acids) containing N-linked glycosylation acceptor sequences, which serve as glycosylation substrates. The transport results in depletion of the pool of available dilichol high-mannose oligosaccharides in the lumen of the microsomal vesicles. Transport of peptides derived from antigenic human immunodeficiency virus gag and influenza B nucleoprotein sequences was observed, but transport of a third randomly selected peptide was not detected, suggesting specificity of the transport process. ATP dependence of this peptide transport could not be demonstrated by using apyrase and an ATPase inhibitor. This result was unexpected in light of the recent identification of MHC-linked genes with homol. to ATP-binding cassette transporters, which have been proposed to mediate peptide transport.

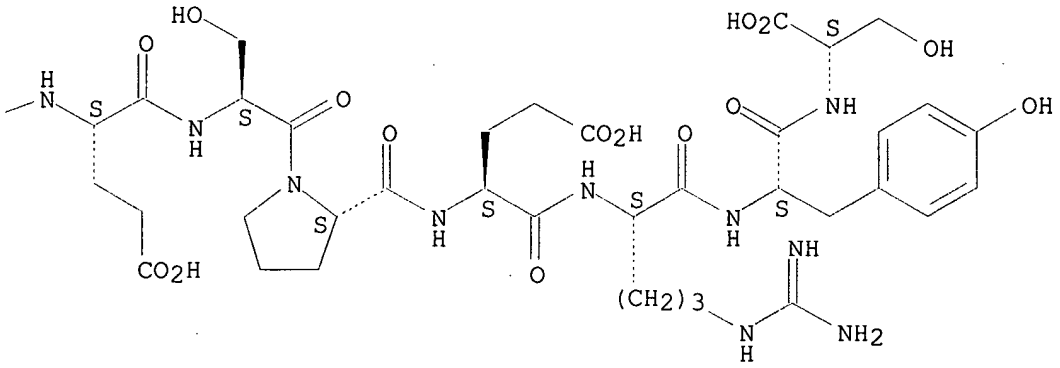
IT **142048-29-1**
RL: ANST (Analytical study)
(for peptide transport in endoplasmic reticulum, glycosylation assay in relation to)
RN 142048-29-1 HCAPLUS
CN L-Serine, L-cysteinyl-L-leucyl-L-glutaminyl-L-valyl-L-α-glutamyl-L-glutaminyl-L-leucyl-L-leucyl-L-tyrosyl-L-α-glutamyl-L-seryl-L-prolyl-L-α-glutamyl-L-arginyl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L48 ANSWER 47 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:505792 HCAPLUS
DOCUMENT NUMBER: 115:105792
TITLE: The use of synthetic peptides in the formation of biophysically and biologically active pulmonary **surfactants**
AUTHOR(S): Revak, Susan D.; Merritt, T. Allen; Hallman, Mikko; Heldt, Gregory; La Polla, Robert J.; Hoey, Kenway; Houghten, Richard A.; Cochrane, Charles G.
CORPORATE SOURCE: Dep. Immunol., Res. Inst. Scripps Clin., La Jolla, CA, 92037, USA

Search completed by David Schreiber x22526

SOURCE: Pediatric Research (1991), 29(5), 460-5
CODEN: PEREBL; ISSN: 0031-3998
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Synthetic pulmonary **surfactants** consisting of mixts. of phospholipids with synthetic peptides based on the amino acid sequence of human **surfactant** apoprotein SP-B were prepared These **surfactants** were analyzed for their ability to lower surface tension on a pulsating bubble surfactometer and for their capacity to improve lung compliance and increase alveolar expansion in a fetal rabbit model of **surfactant** deficiency. The data demonstrate that several peptides, ranging from 17 to 45 residues in length, matching the carboxy-terminal sequence of the SP-B protein, when appropriately recombined with the phospholipids dipalmitoylphosphatidylcholine and phosphatidylglycerol (3:1), are capable of producing a synthetic **surfactant** with biophys. and biol. activity approaching that of human **surfactant** derived from amniotic fluid.

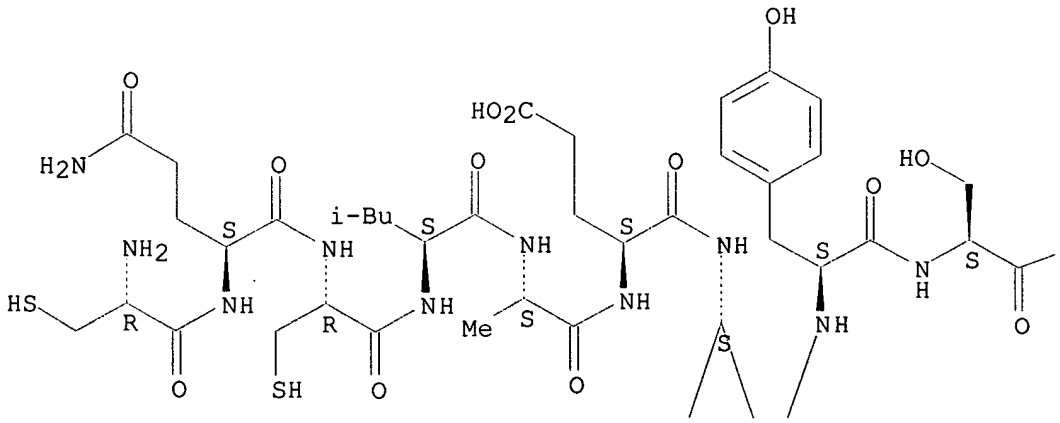
IT **127022-17-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and pulmonary **surfactant** formulation with)

RN 127022-17-7 HCAPLUS

CN L-Arginine, L-cysteinyl-L-glutaminyl-L-cysteinyl-L-leucyl-L-alanyl-L-α-glutamyl-L-arginyl-L-tyrosyl-L-seryl-L-valyl-L-isoleucyl-L-leucyl-L-leucyl-L-α-aspartyl-L-threonyl-L-leucyl-L-leucylglycyl-L-arginyl-L-methionyl-L-leucyl-L-prolyl-L-glutaminyl-L-leucyl-L-valyl-L-cysteinyl-L-arginyl-L-leucyl-L-valyl-L-leucyl- (9CI) (CA INDEX NAME)

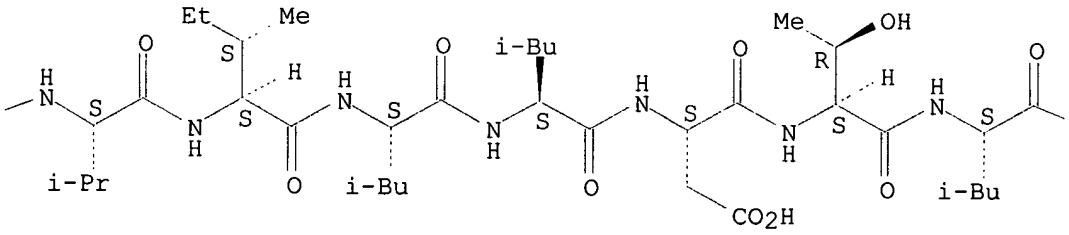
Absolute stereochemistry.

PAGE 1-A

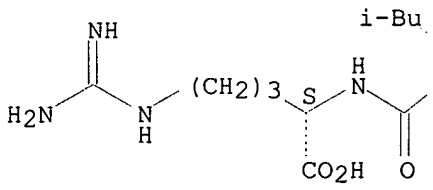


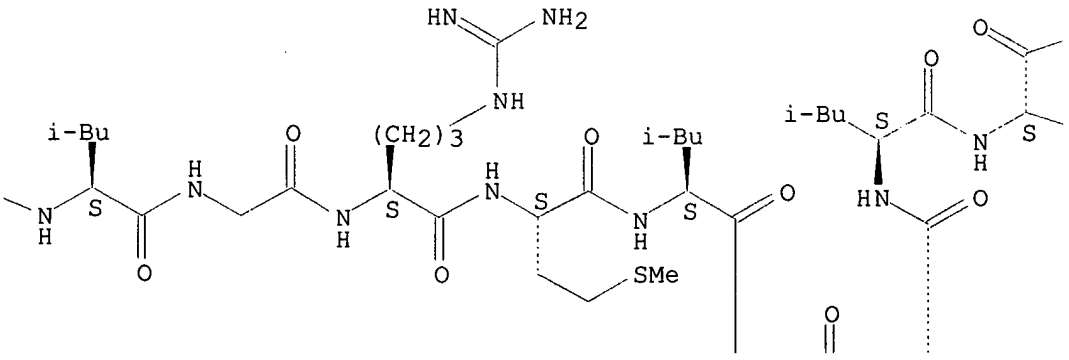
Search completed by David Schreiber x22526

PAGE 1-B



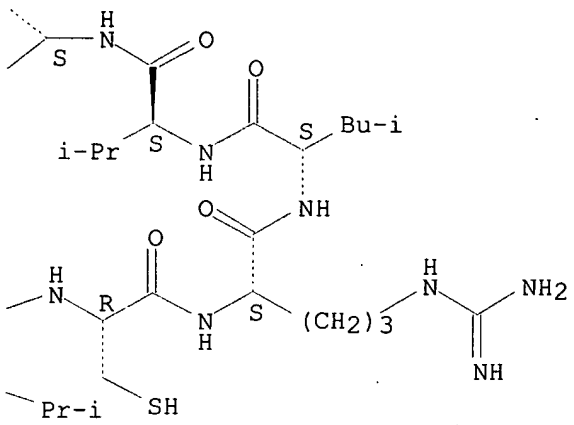
PAGE 1-C



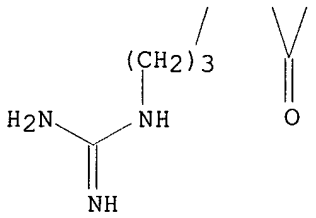


Search completed by David Schreiber x22526

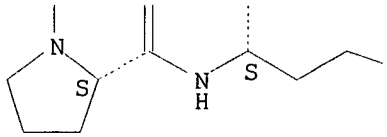
PAGE 1-D



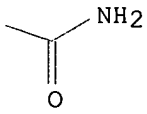
PAGE 2-A



PAGE 2-C



PAGE 2-D



L48 ANSWER 48 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:409303 HCAPLUS
DOCUMENT NUMBER: 115:9303
TITLE: Development of methodology for the synthesis of

Search completed by David Schreiber x22526

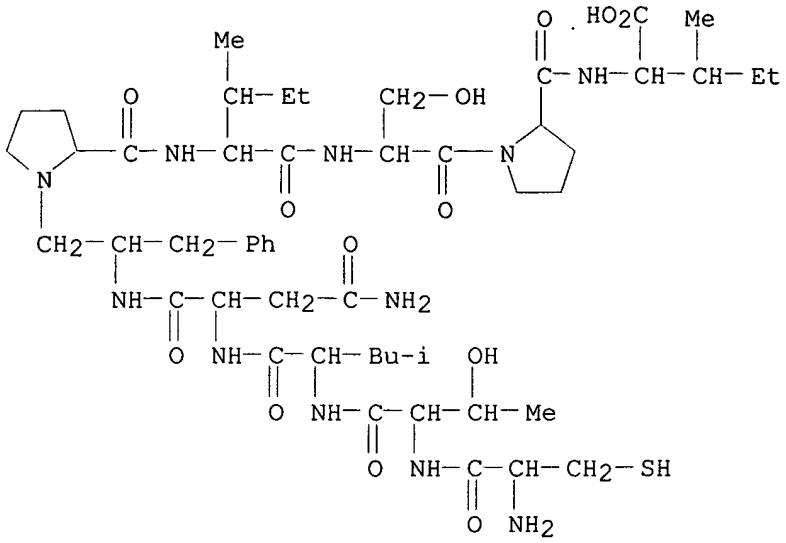
	stereochemically pure Pheψ[CH2N]Pro linkages in HIV protease inhibitors
AUTHOR(S):	Cushman, Mark; Oh, Young Im; Copeland, Terry D.; Oroszlan, Stephen; Snyder, Stuart W.
CORPORATE SOURCE:	Sch. Pharm. Pharmacal Sci., Purdue Univ., West Lafayette, IN, 47907, USA
SOURCE:	Journal of Organic Chemistry (1991), 56(13), 4161-7 CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 115:9303

AB One of the strategies currently being pursued for the design of potential HIV protease inhibitors involves the replacement of the cleaved amide bond in a min. peptide substrate with an aminomethylene unit. A commonly used method for the synthesis of these compds. involves a reductive alkylation of an amine with an aldehyde in the presence of sodium cyanoborohydride under acidic conditions. Accordingly, Boc-phenylalaninal (Boc = Me3CO2C) was treated with H-Pro-Ile-Ser(CH2Ph)-O-resin in the presence of acetic acid and sodium cyanoborohydride. The resulting product was found to consist of a mixture of diastereomers, which may result from the fact that the proline residue, which contains a secondary amine, reacts with the aldehyde to form an **enamine** with loss of chirality at the modified Phe residue. Subsequent reduction of the iminium ion would then result in production of the observed two diastereomers. In order to circumvent this problem, the central amide bond of Boc-Phe-Pro-OCH2Ph was reduced selectively with B2H6 to give Boc-Pheψ[CH2N]Pro-OR (I, R = CH2Ph), which underwent hydrogenolysis to give I (R = H). The latter was coupled with H-Ile-Ser(CH2Ph)-O-resin to give Boc-Pheψ[CH2N]Pro-Ile-Ser(CH2Ph)-O-resin (II). Subsequent addition of amino acid residues to II and cleavage from the resin gave a series of stereochem. defined potential HIV protease inhibitors as single diastereomers. The most potent of these substances was H-Thr-Leu-Asn-Pheψ[CH2N]-Pro-Ile-Ser (III) which displayed an IC50 of 1.1 μg/mL (1.4 μM) when tested for inhibition of HIV-1 protease. However, the epimer of III having the opposite configuration at the reduced Phe residue was inactive. A min. length of seven amino acid residues appears to be necessary for effective recognition of the inhibitor by the enzyme. Further increase in chain length did not result in greater inhibitory potency.

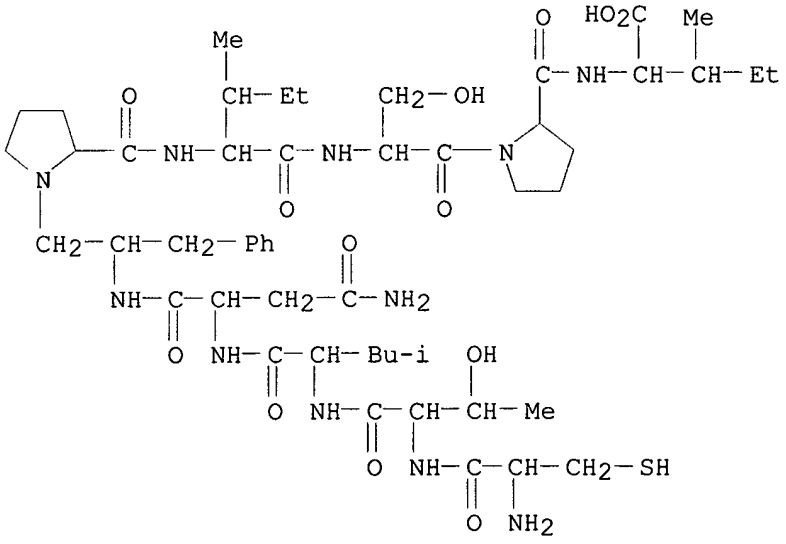
IT **133673-10-6P 133773-54-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and inhibition by, of HIV protease)

RN 133673-10-6 HCAPLUS

CN L-Isoleucine, N-[1-[N-[N-[1-[2-[[N2-[N-(N-L-cysteinyl-L-threonyl)-L-leucyl]-L-asparaginyl]amino]-3-phenylpropyl]-L-prolyl]-L-isoleucyl]-L-seryl]-L-prolyl]-, (S)- (9CI) (CA INDEX NAME)



RN 133773-54-3 HCAPLUS
CN L-Isoleucine, N-[1-[N-[N-[1-[2-[[N2-[N-(N-L-cysteinyl-L-threonyl)-L-leucyl]-L-asparaginyl]amino]-3-phenylpropyl]-L-prolyl]-L-isoleucyl]-L-seryl]-L-prolyl]-, (R)- (9CI) (CA INDEX NAME)



L48 ANSWER 49 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:214432 HCAPLUS
DOCUMENT NUMBER: 114:214432
TITLE: Therapeutic aerosol spray formulations comprising drug complexes with extenders
INVENTOR(S): Felt, George Robert; Warchol, Mark Peter
PATENT ASSIGNEE(S): Rorer International (Overseas), Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2

Search completed by David Schreiber x22526

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9009781	A1	19900907	WO 1990-US928	19900221
W: AU, BR, CA, FI, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
CA 2050905	AA	19900824	CA 1990-2050905	19900221
AU 9051949	A1	19900926	AU 1990-51949	19900221
EP 460064	A1	19911211	EP 1990-904101	19900221
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 05508616	T2	19931202	JP 1990-504385	19900221
NO 9103298	A	19911022	NO 1991-3298	19910822

PRIORITY APPLN. INFO.: US 1989-314605 19890223
WO 1990-US928 19900221

OTHER SOURCE(S): MARPAT 114:214432

AB A self-propelled aerosol formulation for oral and/or intranasal administration comprises 0.01-5.0% drug-extender complex, 0.1-3.0% solvent and/or **surfactant**, and 92-99.89% propellant. The drug is preferably calcitonin or its analog, and the extender is an amino acid or sugar. A solution of 99.25 g DL-methionine and 0.75 g salmon calcitonin in 5.5 L water was lyophilized and the powder was micronized. The product (0.25 g) was formulated with 0.095 oleic acid and 16.023 g Dymel 12-Dymel 114 mixture (90:10).

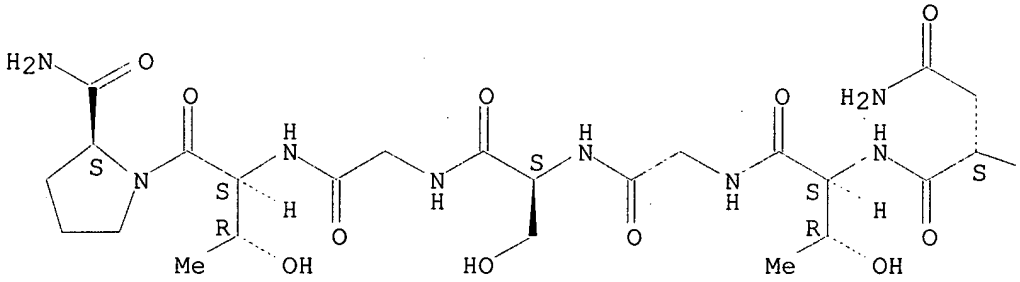
IT **87212-75-7D**, complexes with extenders **87297-70-9D**, complexes with extenders **88099-30-3D**, complexes with extenders **91156-38-6D**, complexes with extenders **107701-00-8D**, complexes with extenders **108470-28-6D**, complexes with extenders **116453-19-1D**, complexes with extenders **133708-41-5D**, complexes with extenders **133708-42-6D**, complexes with extenders **133708-43-7D**, complexes with extenders **133708-44-8D**, complexes with extenders **133708-45-9D**, complexes with extenders **133708-46-0D**, complexes with extenders **133708-47-1D**, complexes with extenders **133708-49-3D**, complexes with extenders **133732-42-0D**, complexes with extenders **133732-44-2D**, complexes with extenders **133812-01-8D**, complexes with extenders **133812-41-6D**, complexes with extenders
RL: PROC (Process)
(aerosol formulation of)

RN 87212-75-7 HCAPLUS

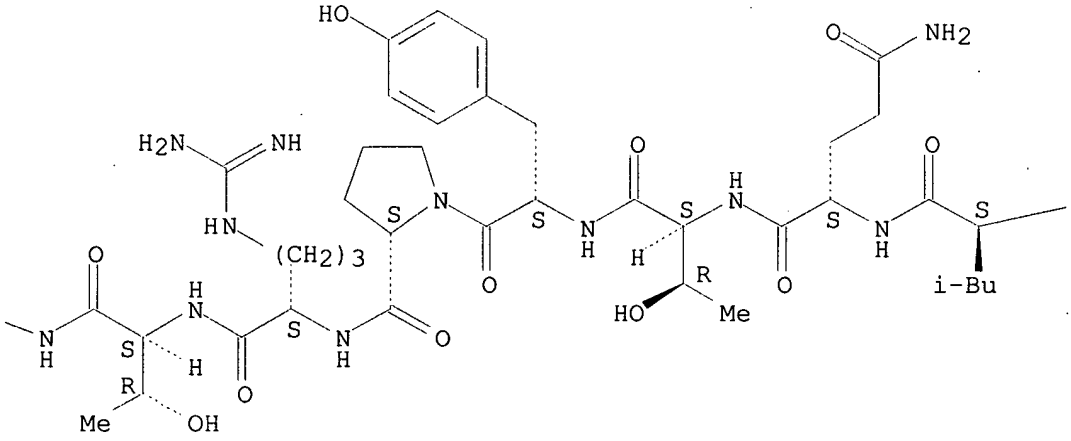
CN Calcitonin (salmon reduced), 16-de-L-leucine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

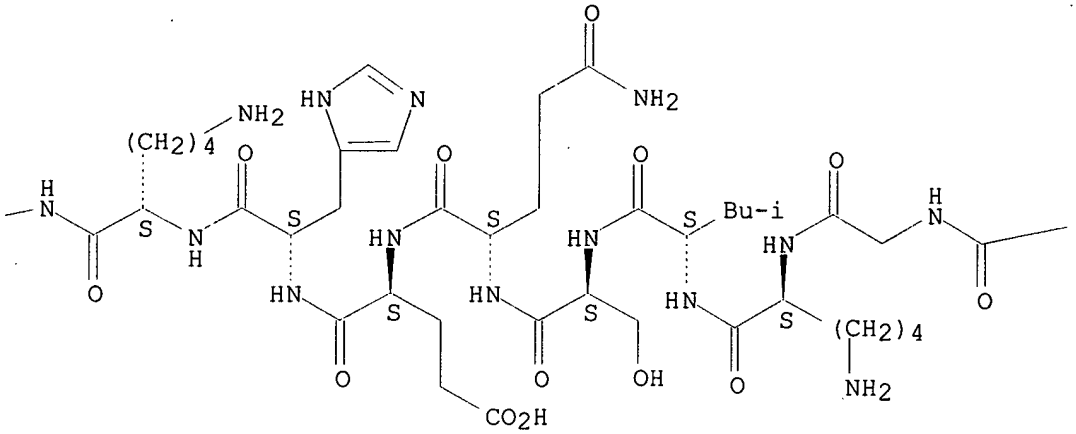


PAGE 1-B



Search completed by David Schreiber x22526

PAGE 1-C



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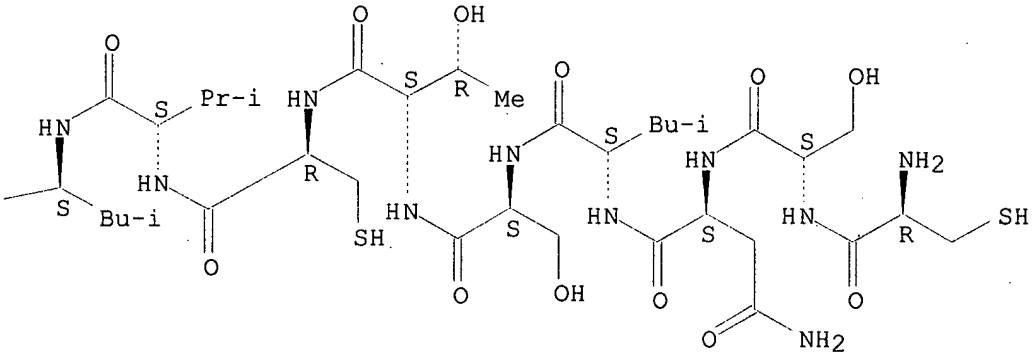
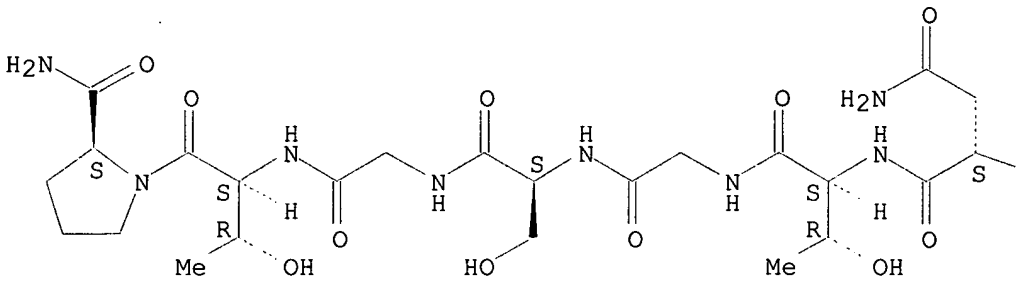


RN 87297-70-9 HCAPLUS
CN Calcitonin (salmon reduced), 3-de-L-asparagine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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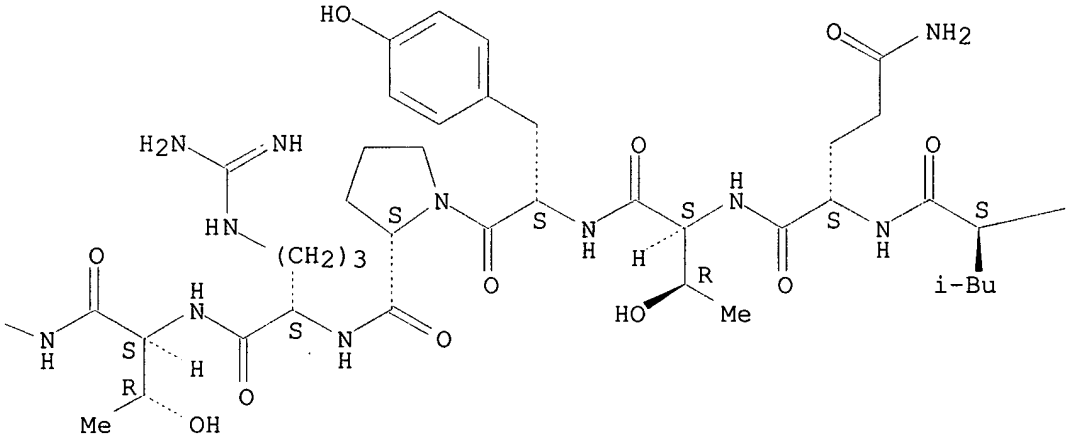

Search completed by David Schreiber x22526

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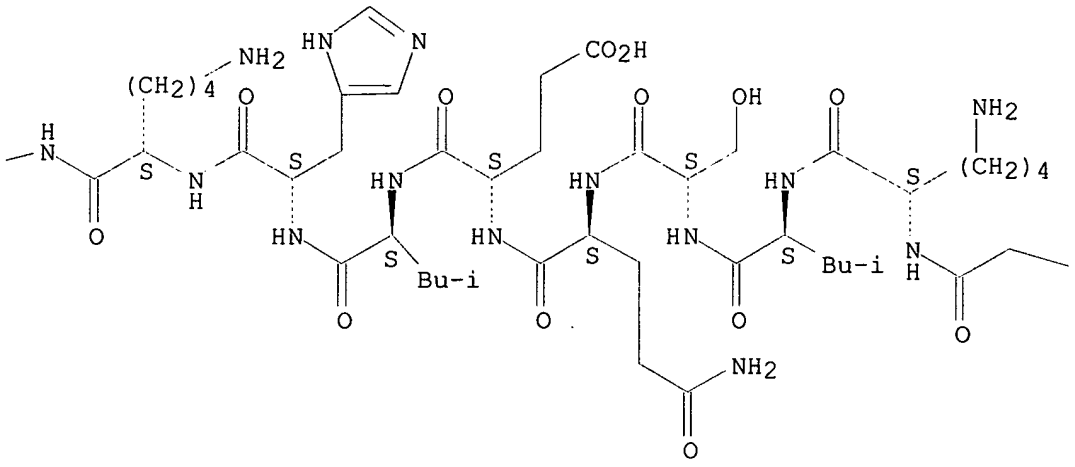

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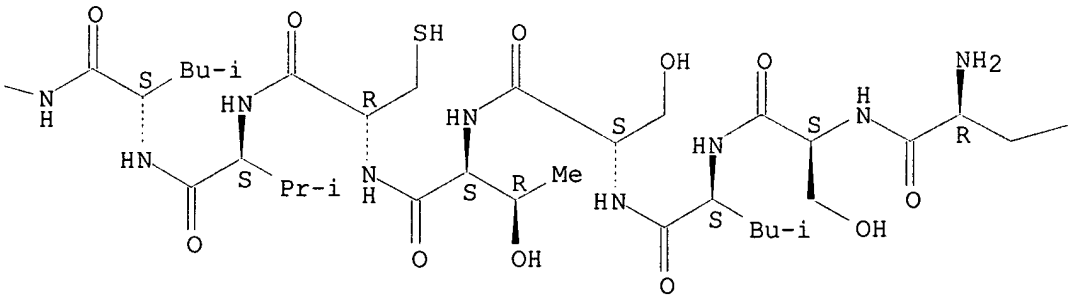

Search completed by David Schreiber x22526

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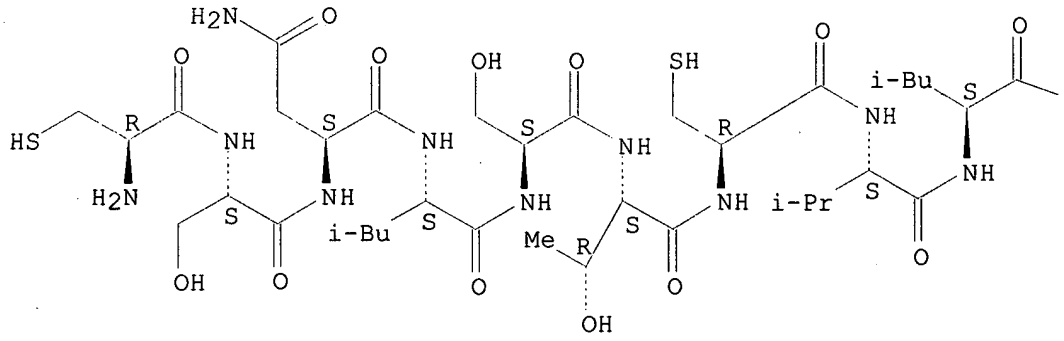
—SH

RN 88099-30-3 HCAPLUS
CN Calcitonin (salmon reduced), 22-L-leucine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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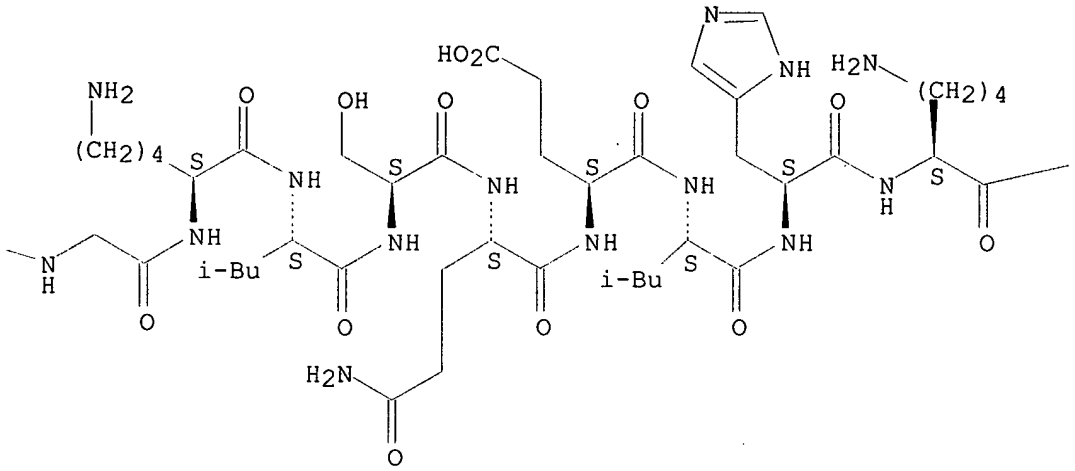

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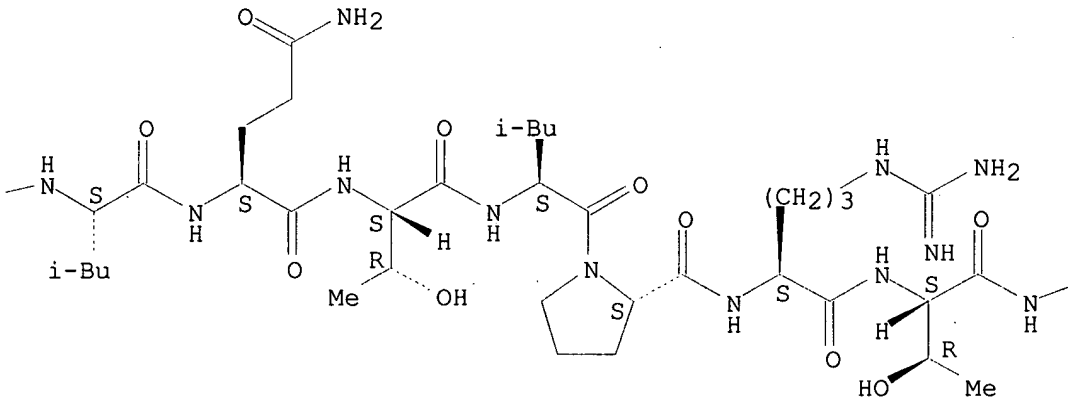

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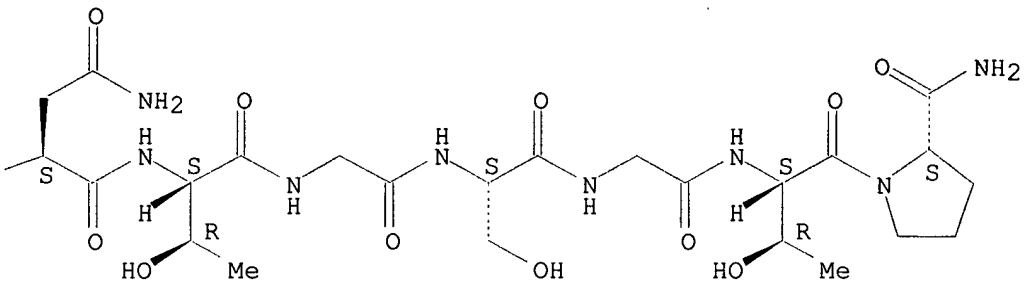
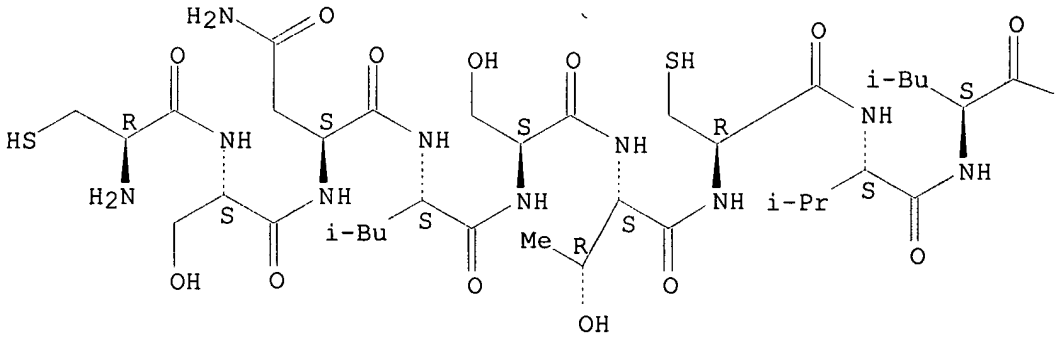


RN 91156-38-6 HCAPLUS
CN Calcitonin (salmon reduced), 21-L-tyrosine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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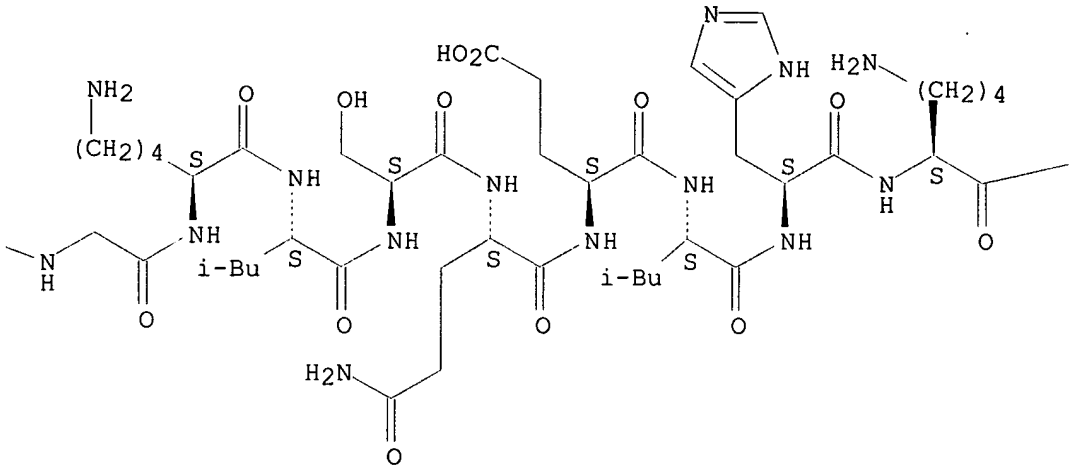

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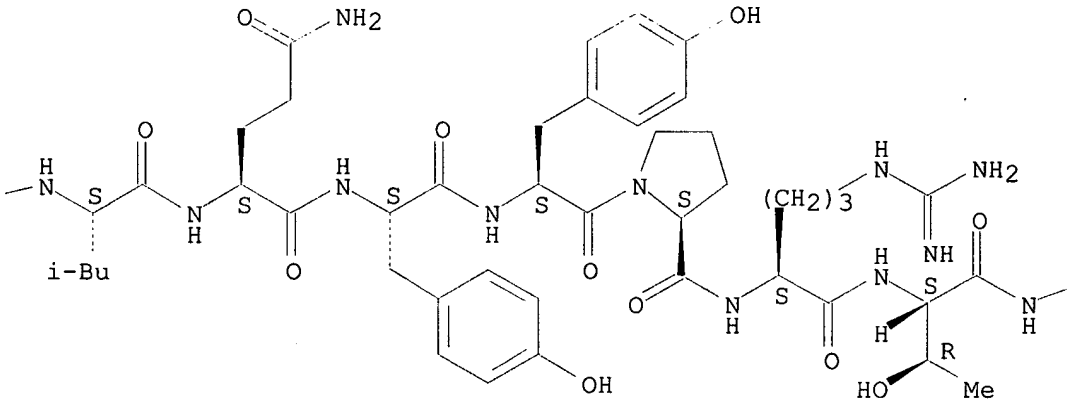

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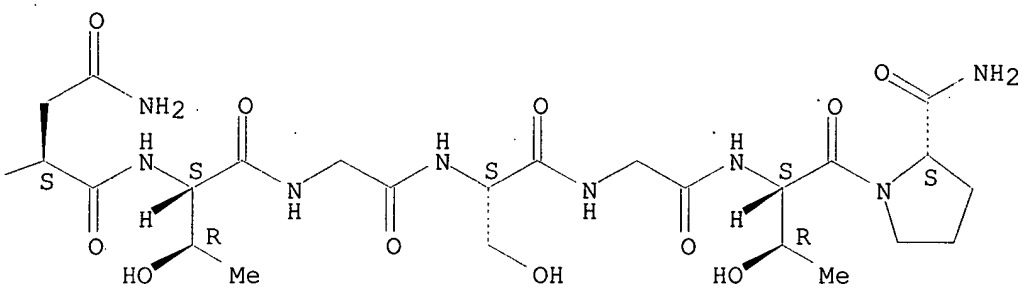
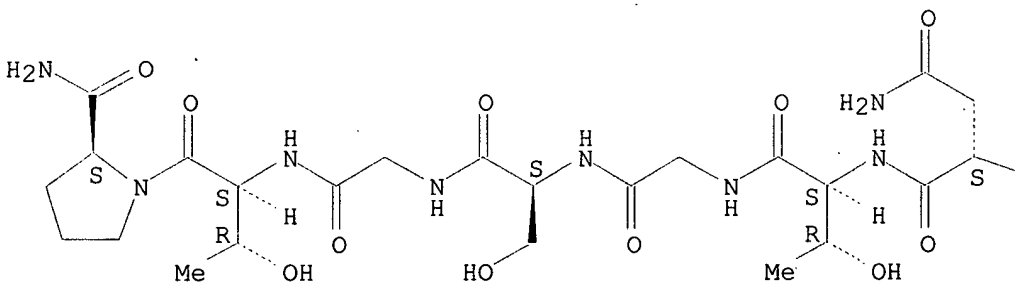


RN 107701-00-8 HCAPLUS
CN Calcitonin (salmon reduced), 8-L-methionine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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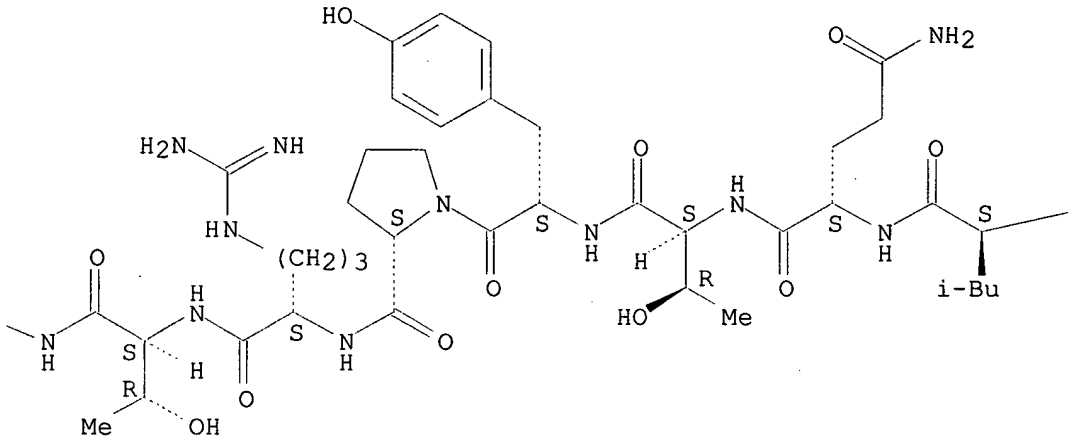

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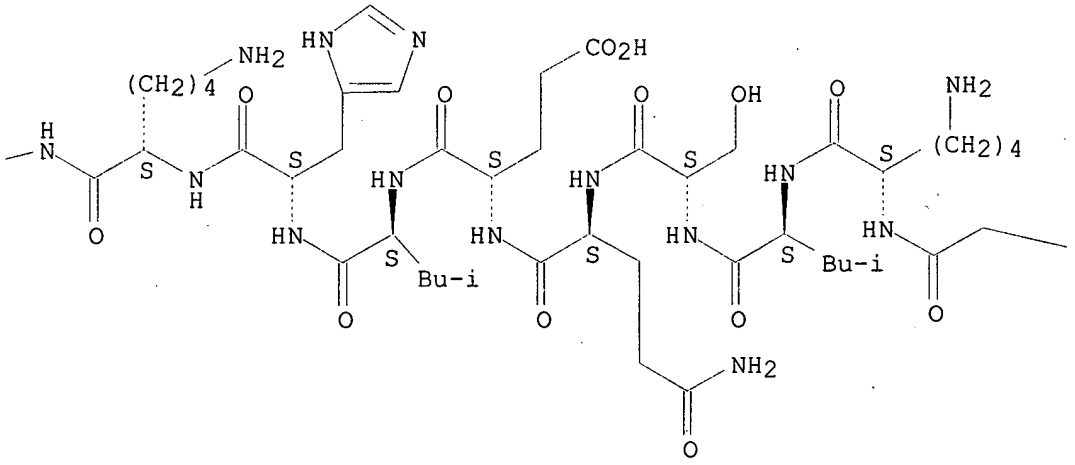

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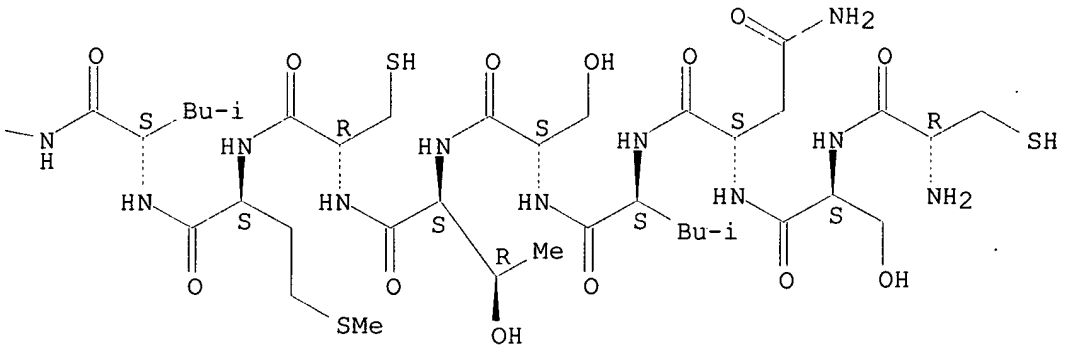
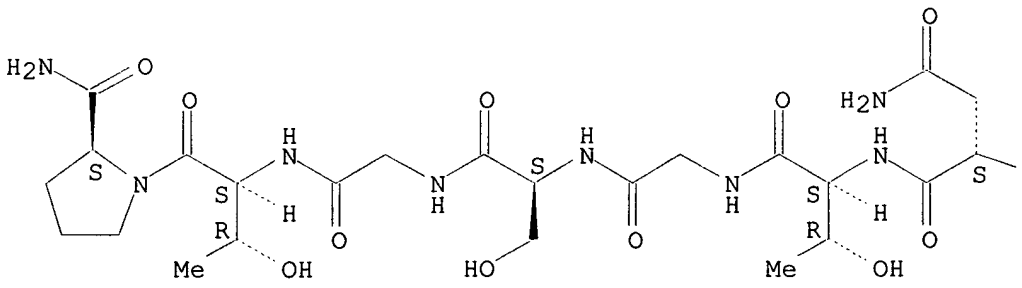


RN 108470-28-6 HCAPLUS
CN Calcitonin (salmon reduced), 2-de-L-serine-3-de-L-asparagine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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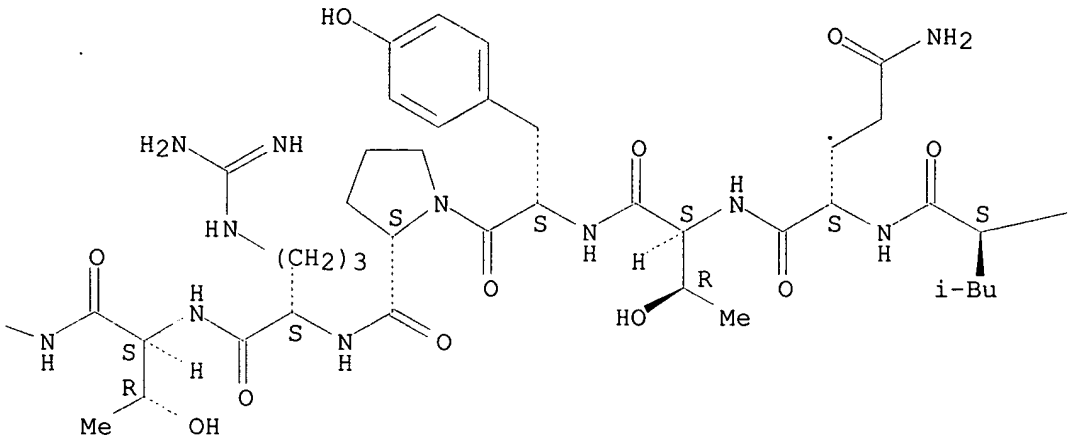

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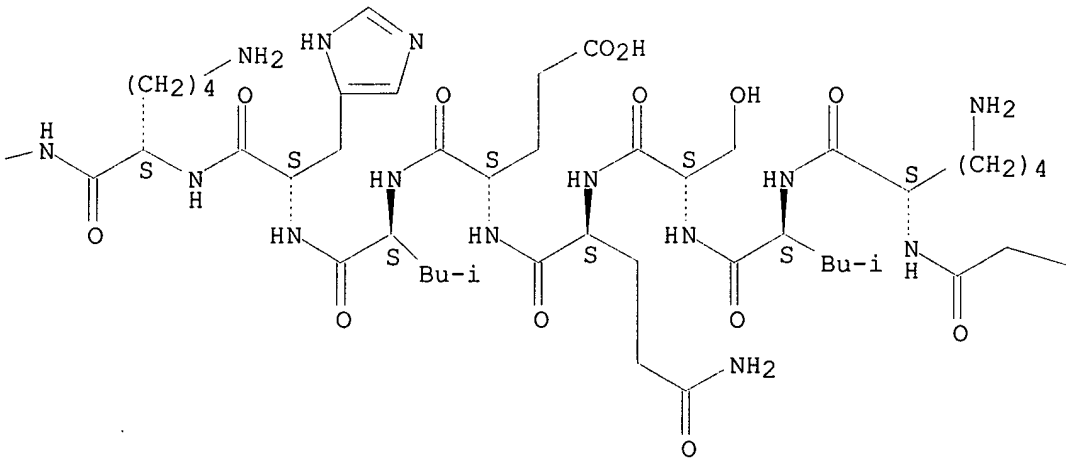

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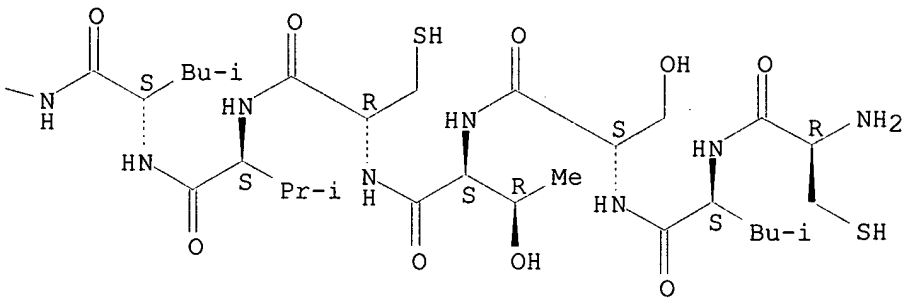
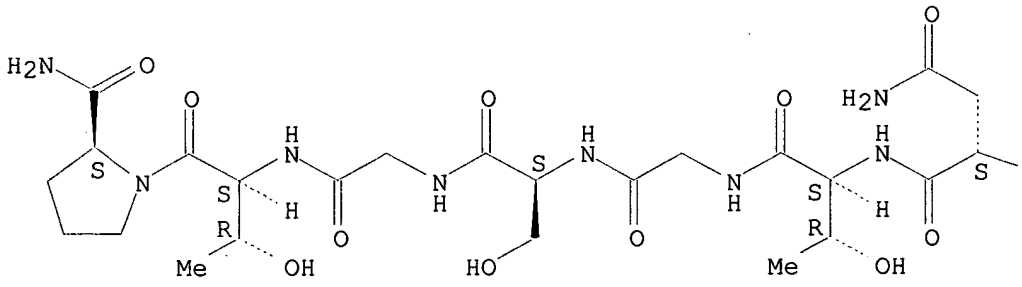


RN 116453-19-1 HCAPLUS
CN Calcitonin (salmon reduced), 8-glycine-19-de-L-leucine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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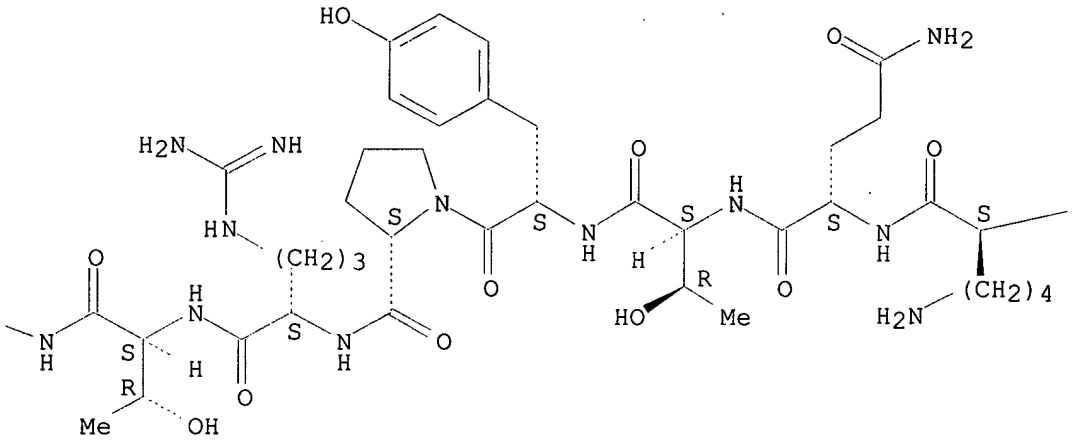

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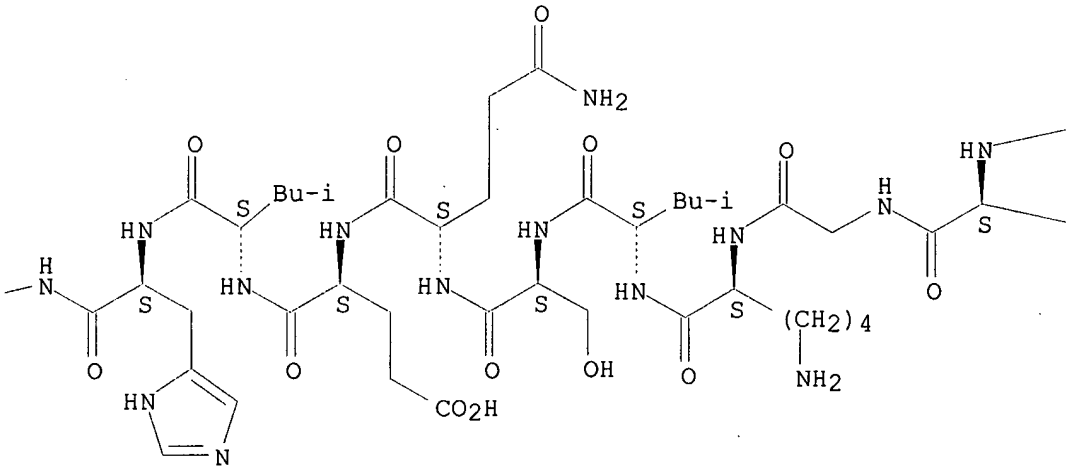

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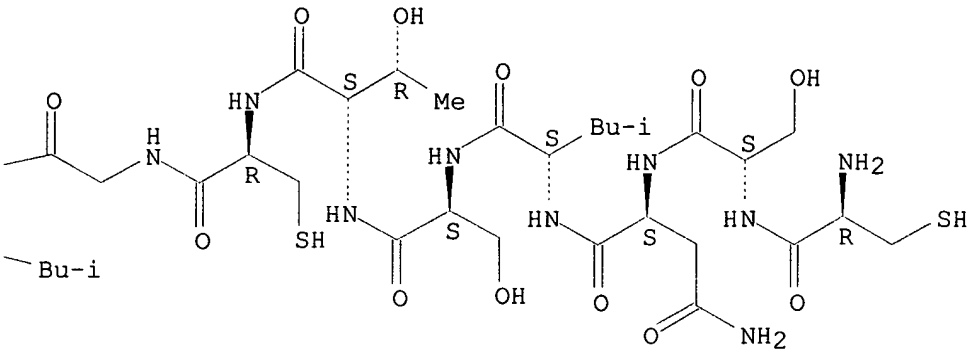
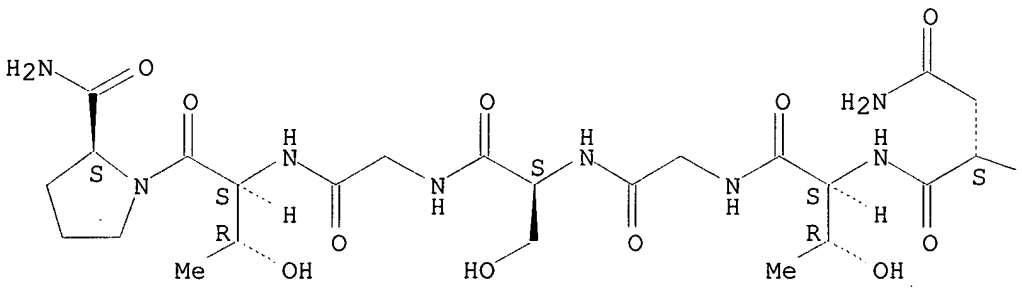


RN 133708-41-5 HCAPLUS
CN Calcitonin (salmon reduced), 16-L-alanine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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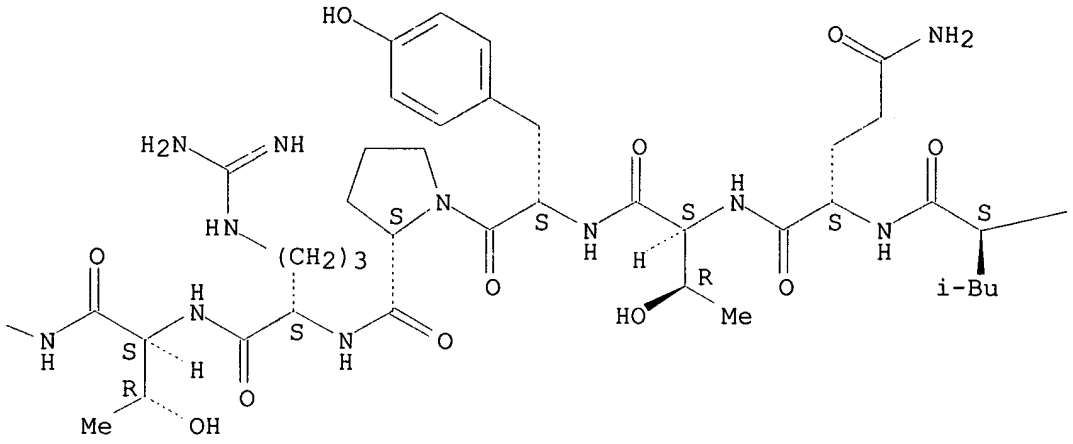

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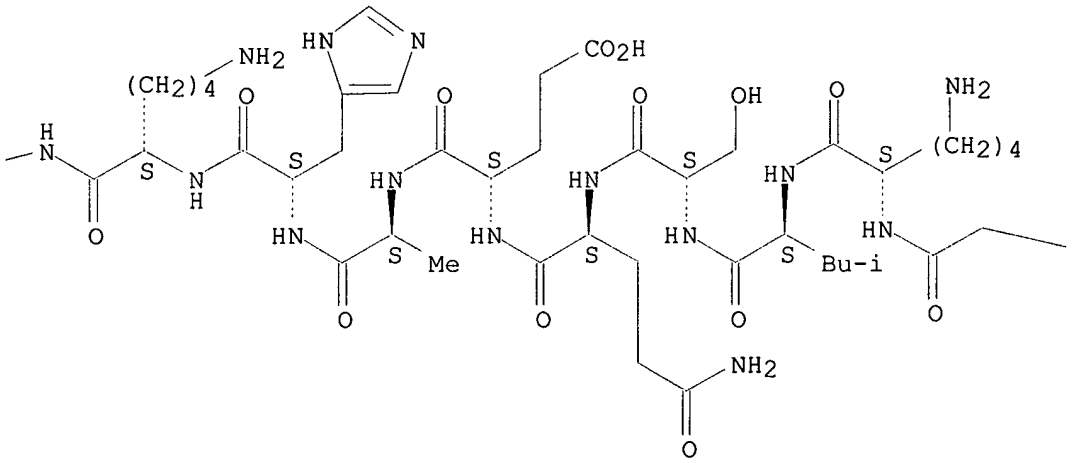

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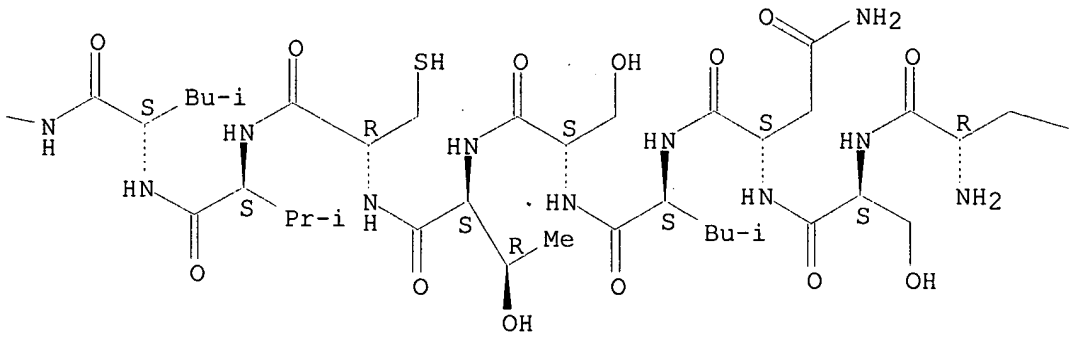

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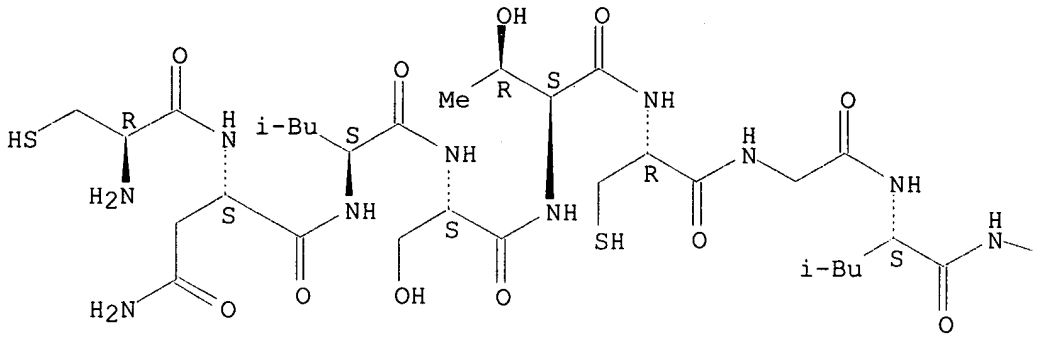
RN 133708-42-6 HCAPLUS
CN Calcitonin (salmon reduced), 2-de-L-serine-8-glycine-22-de-L-tyrosine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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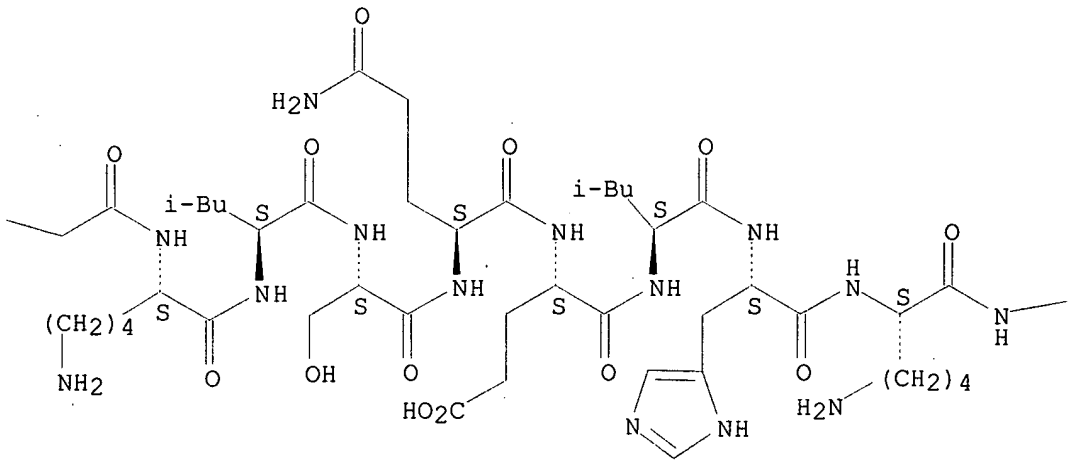

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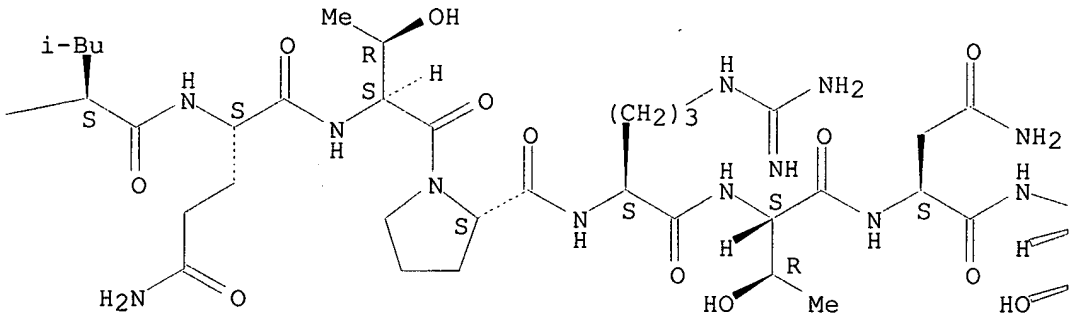

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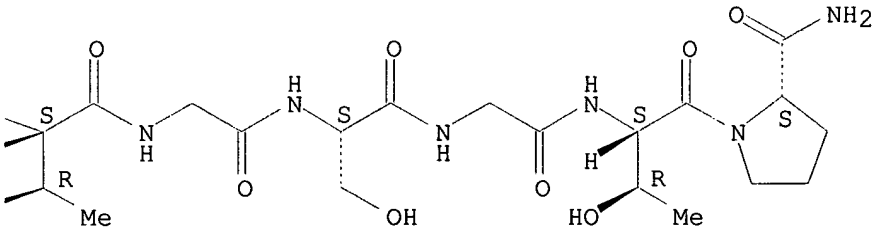
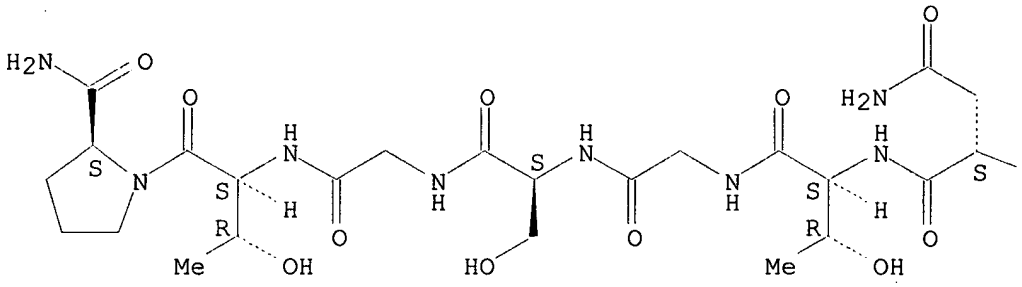


RN 133708-43-7 HCAPLUS
CN Calcitonin (salmon reduced), 13-de-L-serine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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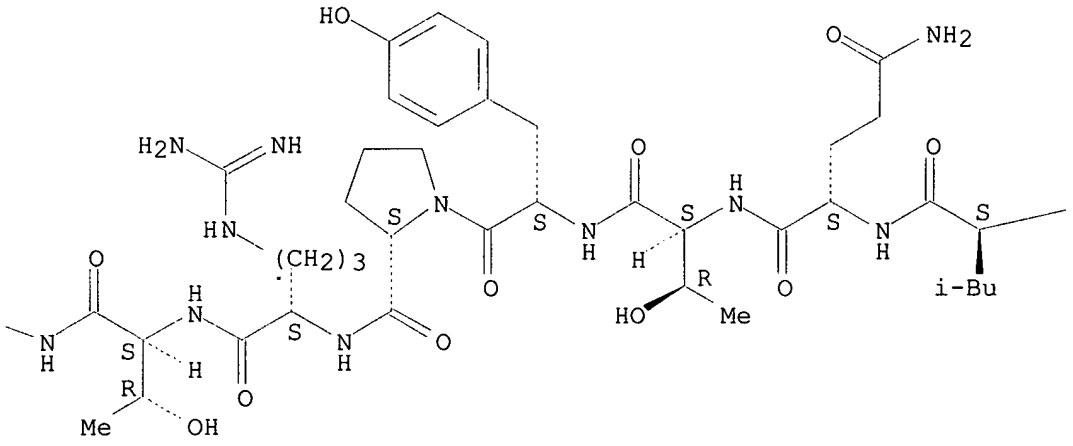

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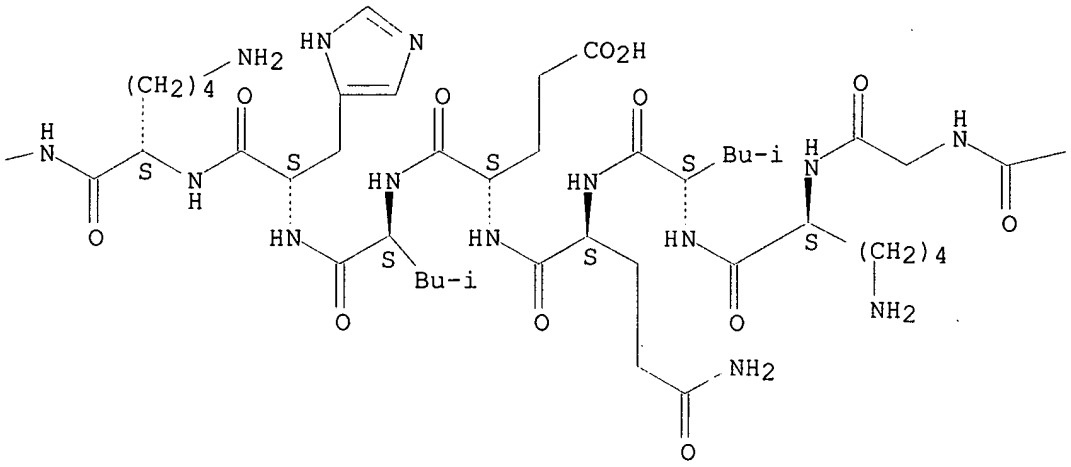

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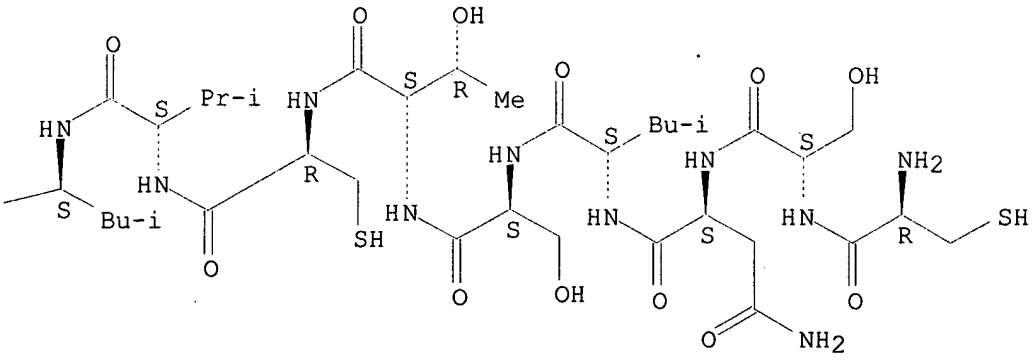
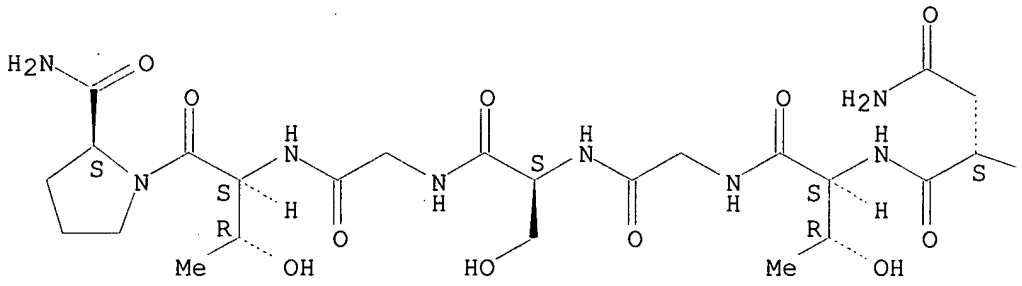


RN 133708-44-8 HCAPLUS
CN Calcitonin (salmon reduced), 21-de-L-threonine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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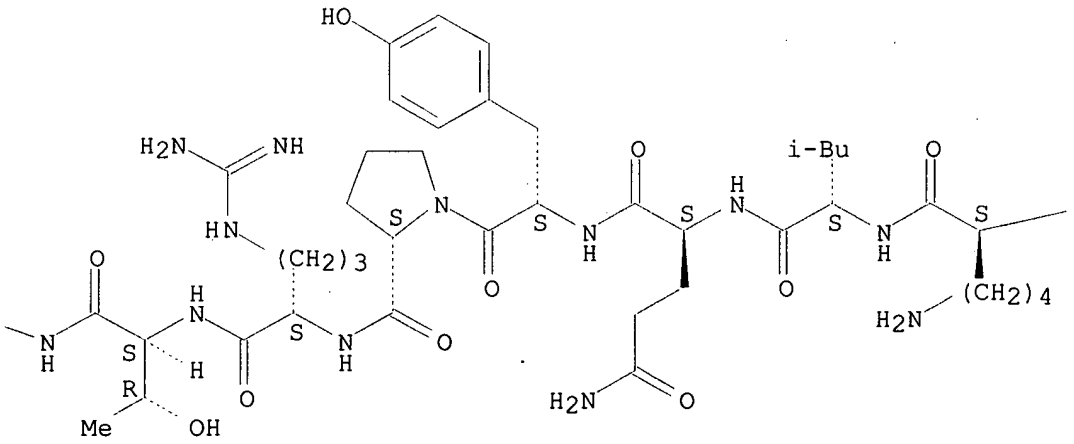

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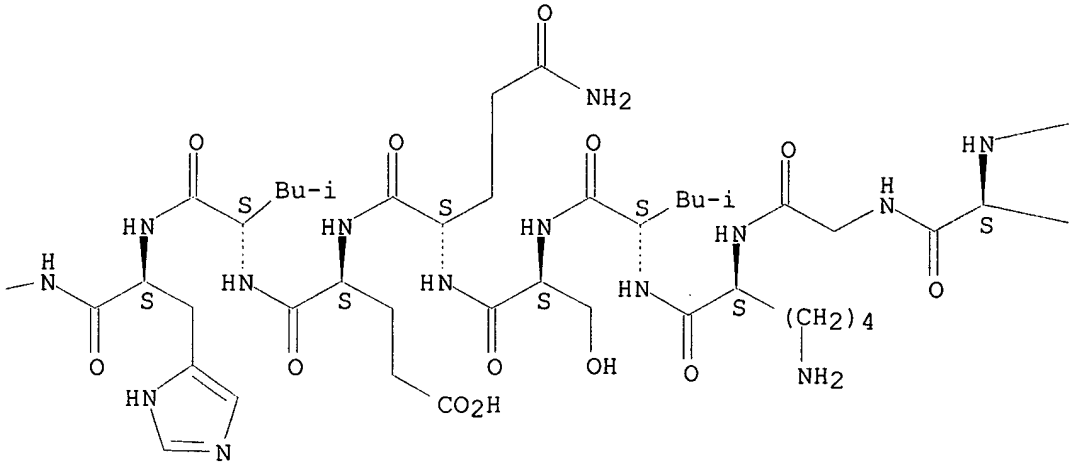

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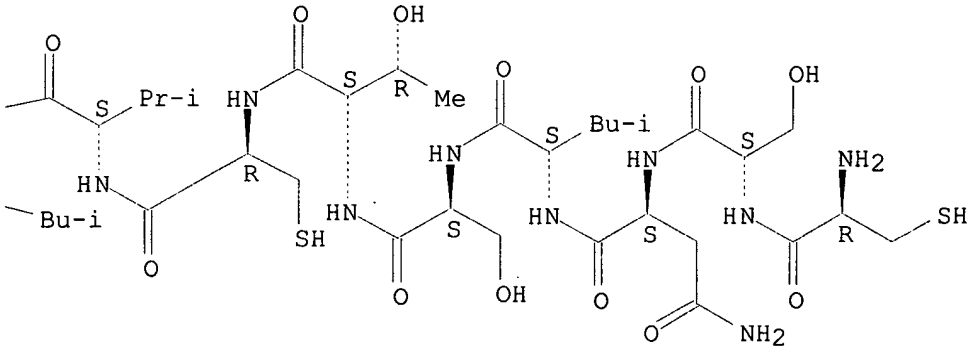
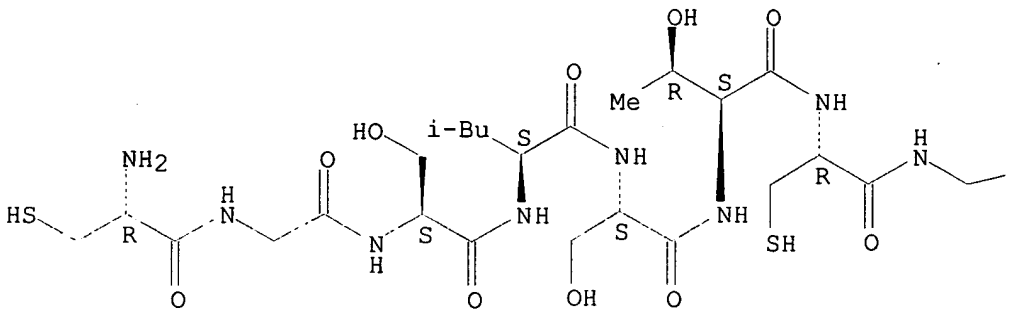


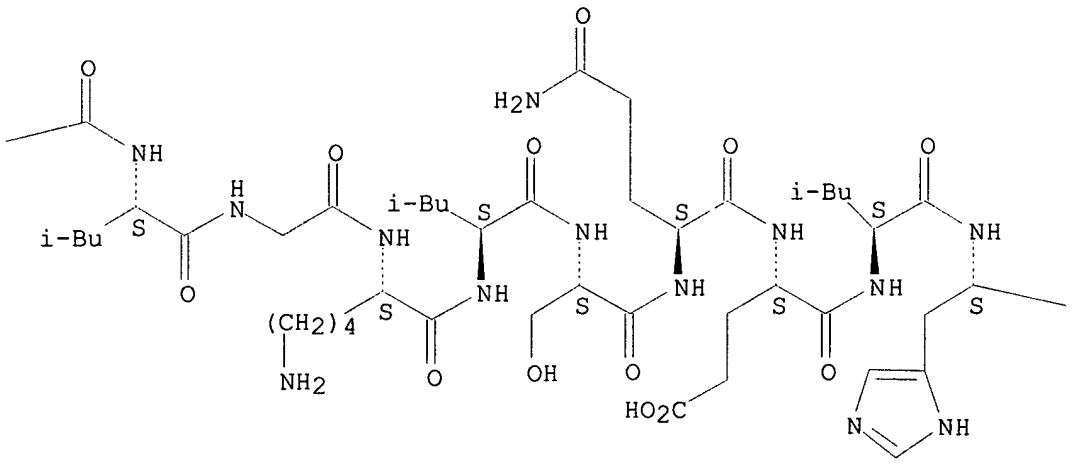
RN 133708-45-9 HCAPLUS
CN Calcitonin (salmon reduced), 2-glycine-3-L-serine-8-glycine-22-de-L-tyrosine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

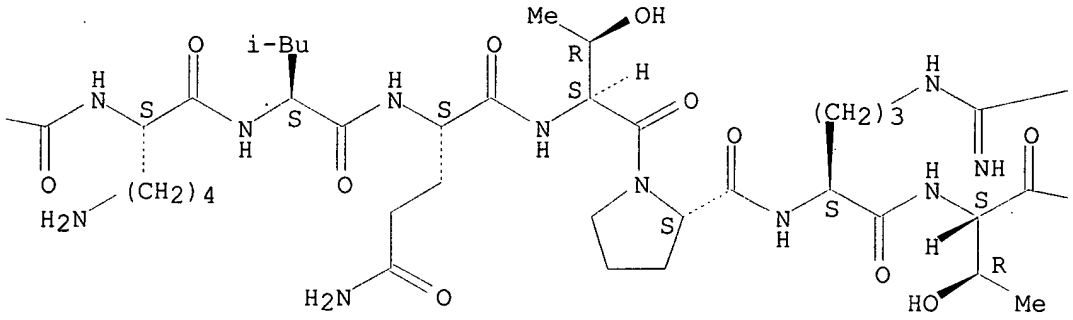


PAGE 1-B



Search completed by David Schreiber x22526

PAGE 1-C



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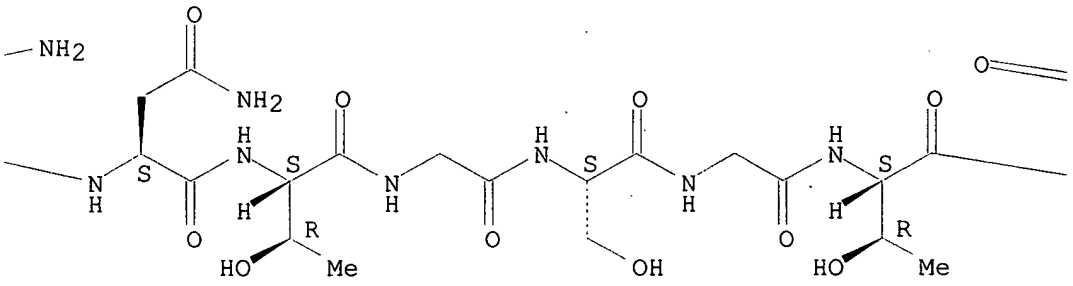

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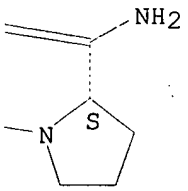
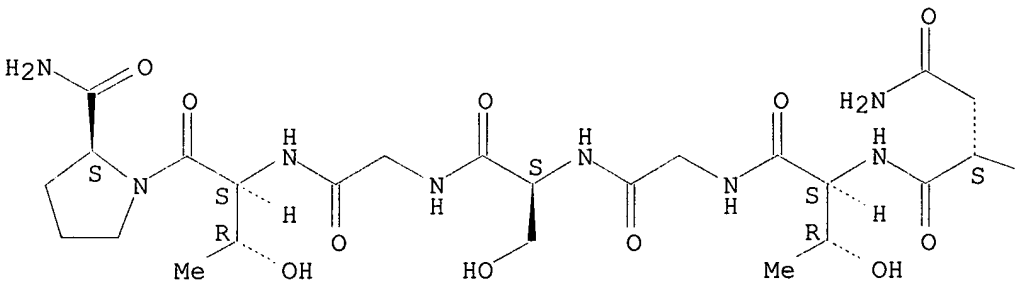


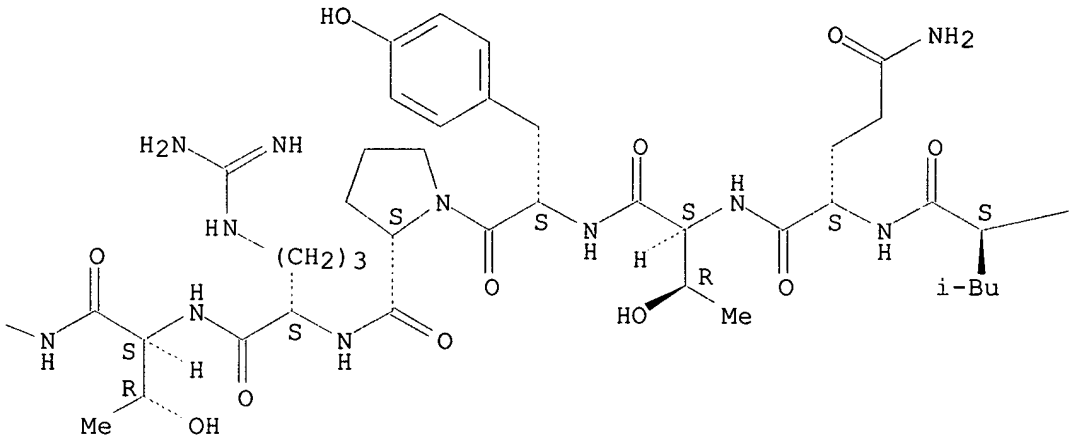
RN 133708-46-0 HCAPLUS
CN Calcitonin (salmon reduced), 4-de-L-leucine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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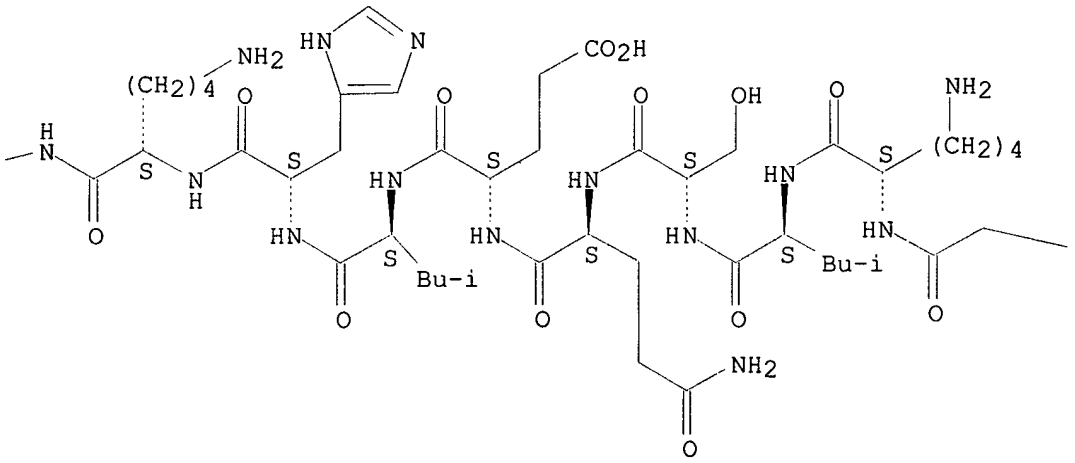
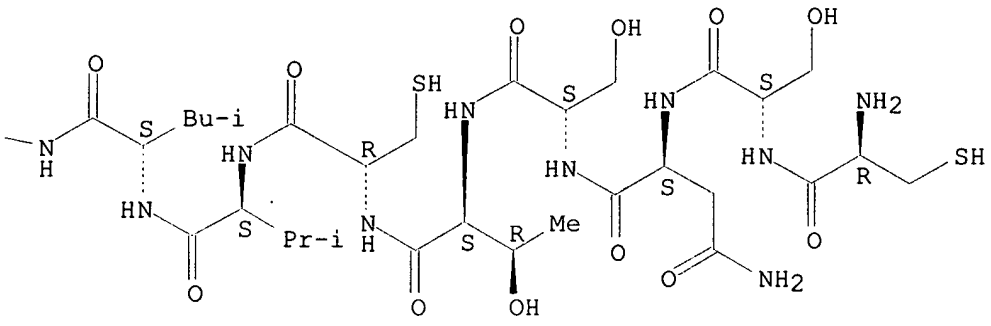


Search completed by David Schreiber x22526

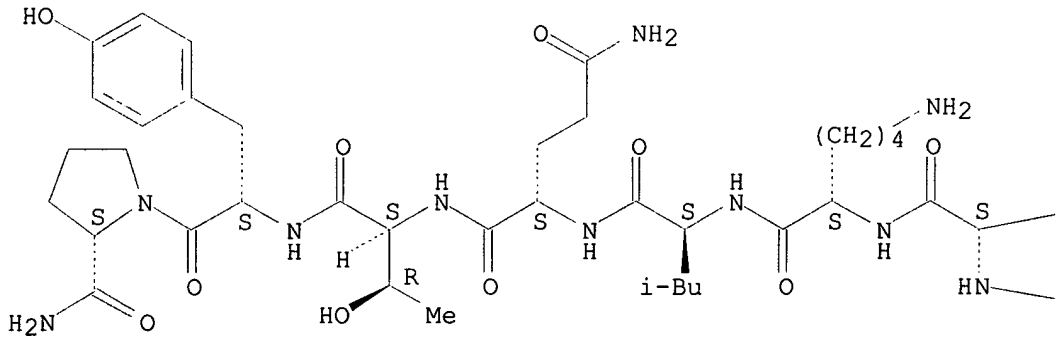
PAGE 1-D



RN 133708-47-1 HCAPLUS
CN Calcitonin (salmon reduced), 23-L-prolinamide-24-de-L-arginine-25-de-L-threonine-26-de-L-asparagine-27-de-L-threonine-28-deglycine-29-de-L-serine-30-deglycine-31-de-L-threonine-32-de-L-prolinamide- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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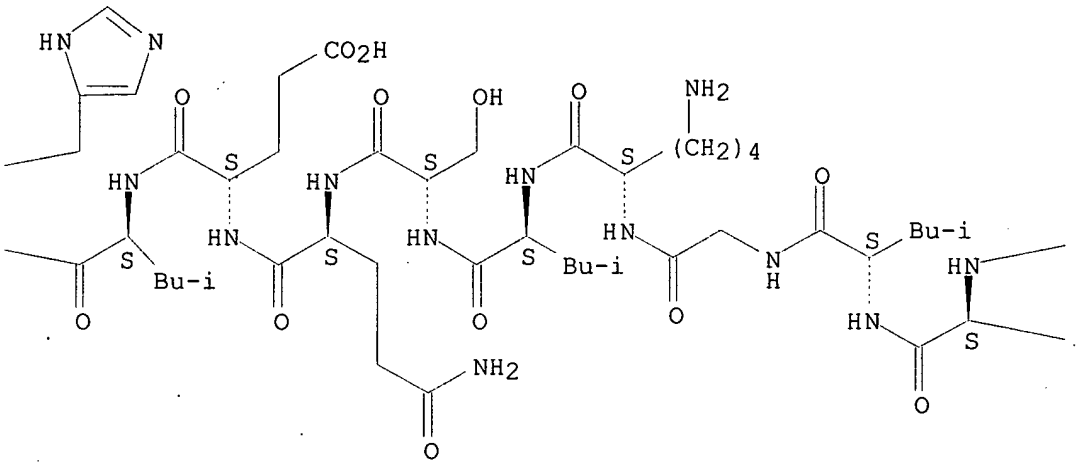

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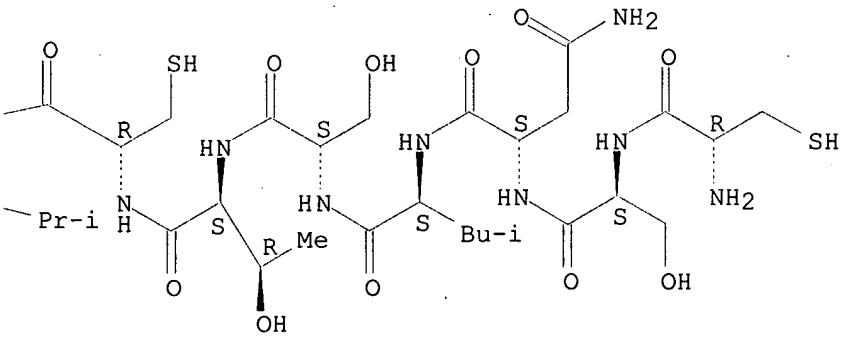
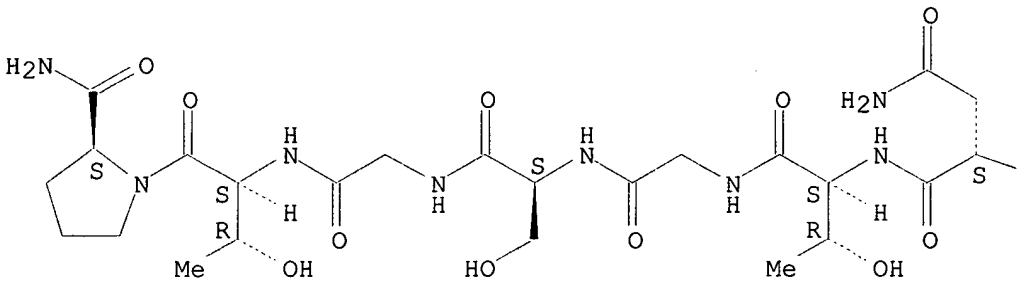


RN 133708-49-3 HCAPLUS
CN Calcitonin (salmon reduced), 19-de-L-leucine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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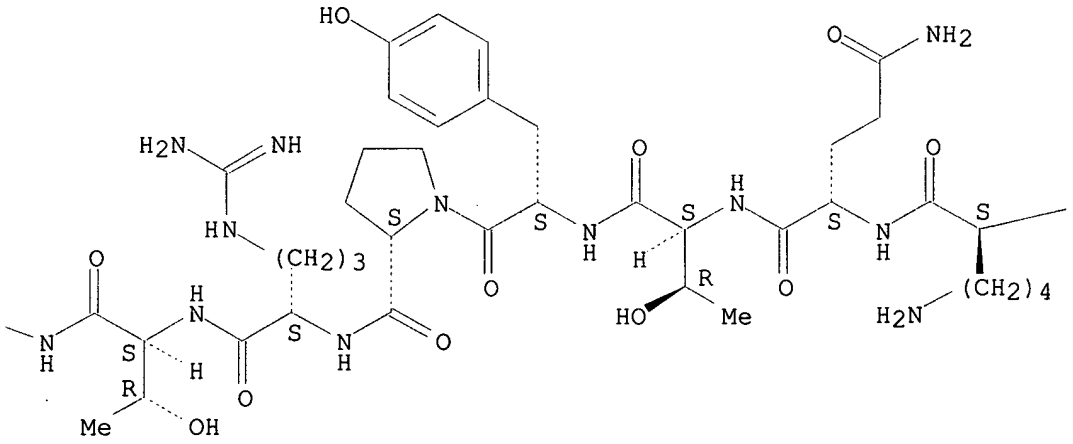

Search completed by David Schreiber x22526

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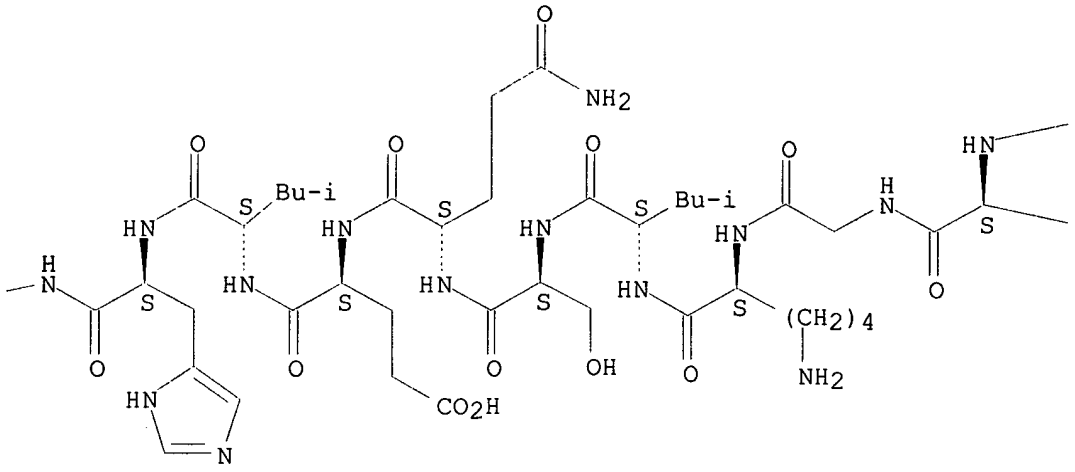

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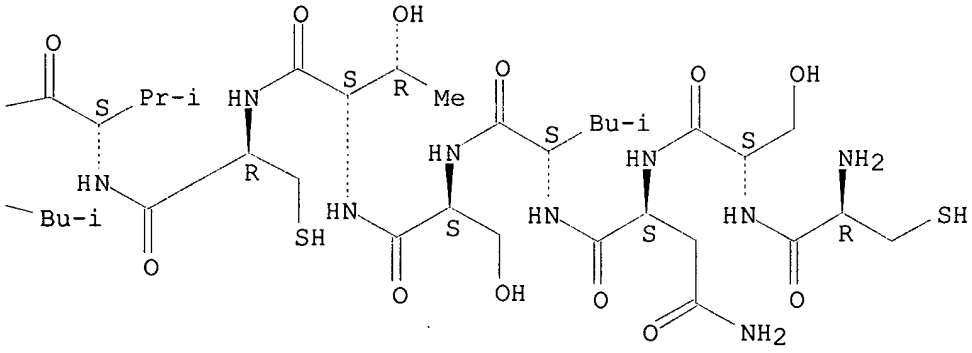
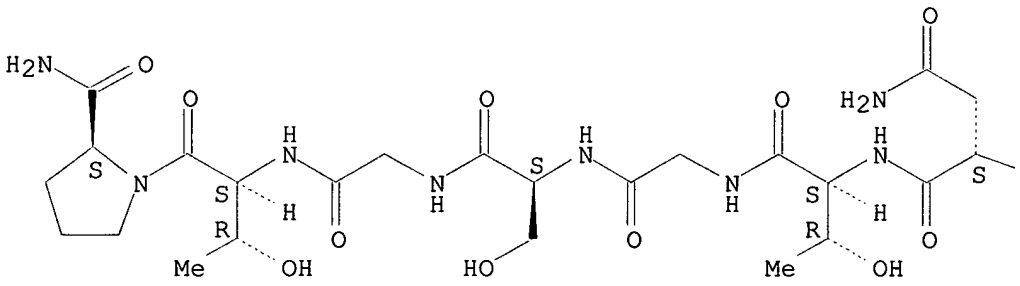


RN 133732-42-0 HCAPLUS
CN Calcitonin (salmon reduced), 6-L-serine-19-de-L-leucine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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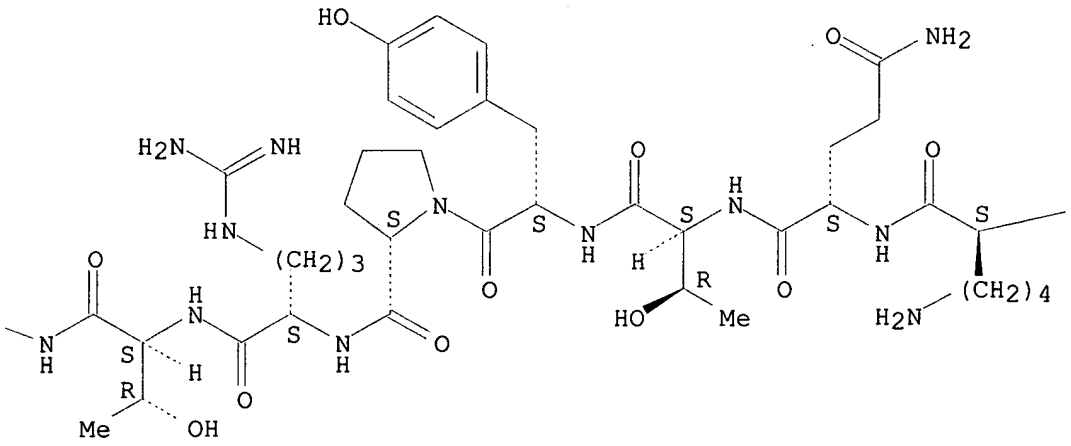
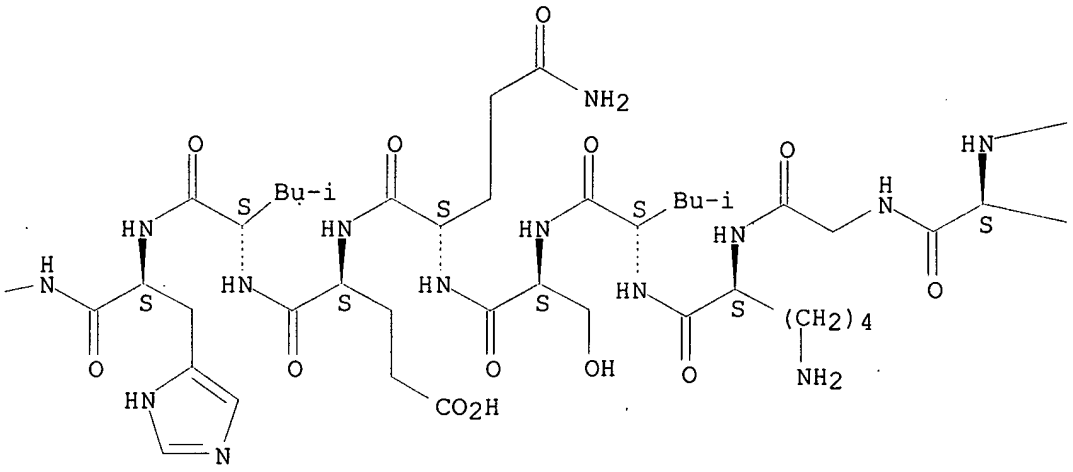


Search completed by David Schreiber x22526

PAGE 1-C



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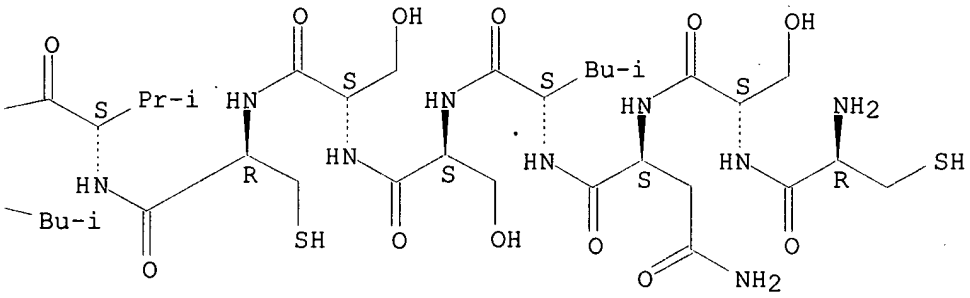
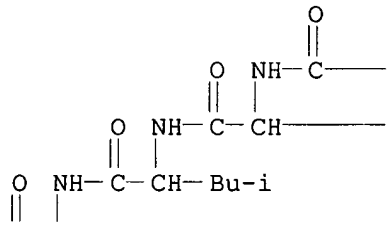


RN 133732-44-2 HCAPLUS
CN Calcitonin (salmon reduced), 8-glycine-22-D-tyrosine- (9CI) (CA INDEX NAME)

Gibbs 09/555,574

PAGE 1-A



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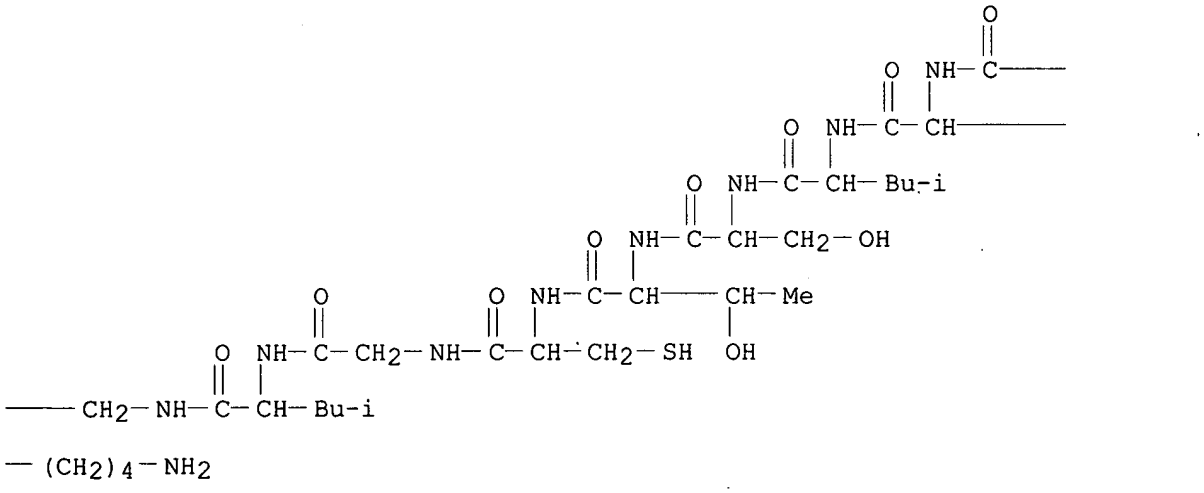

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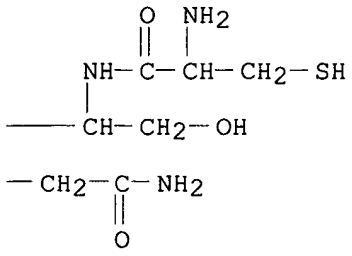
PAGE 1-C



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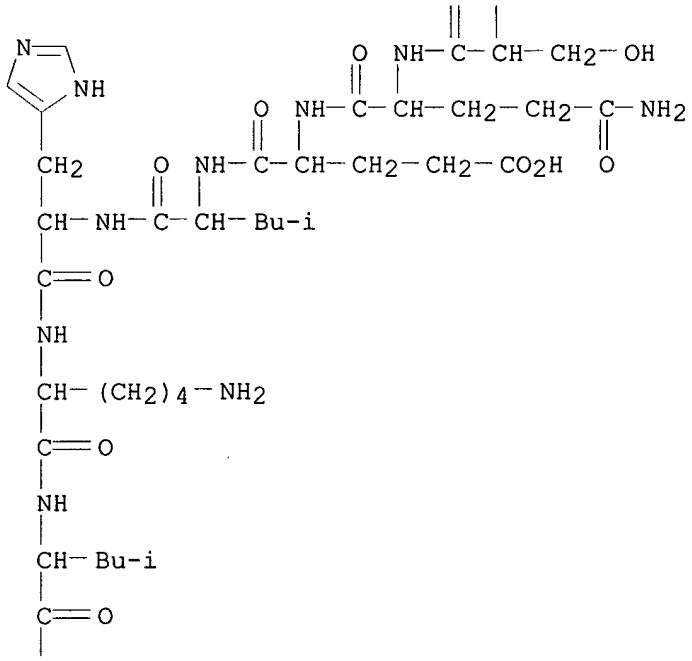

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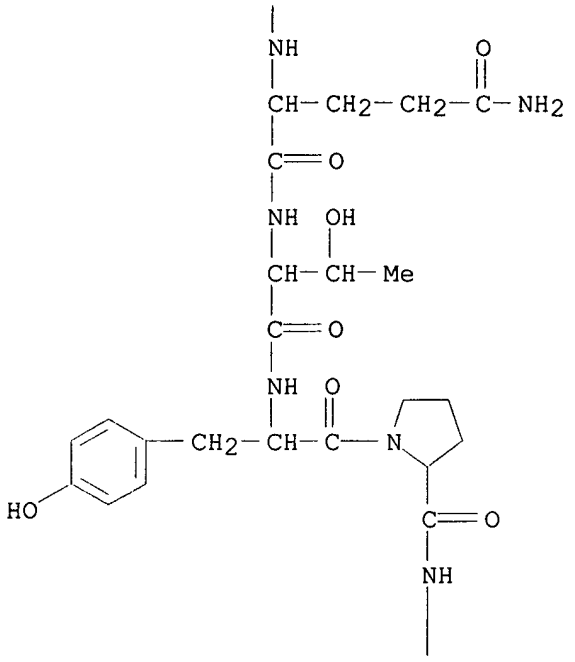
PAGE 3-A



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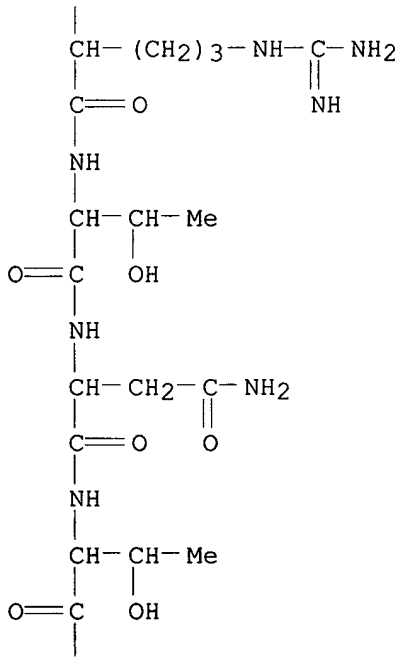

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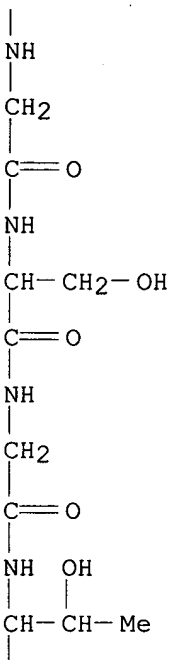
PAGE 5-A



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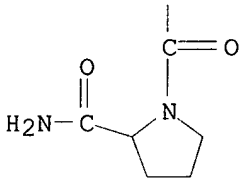
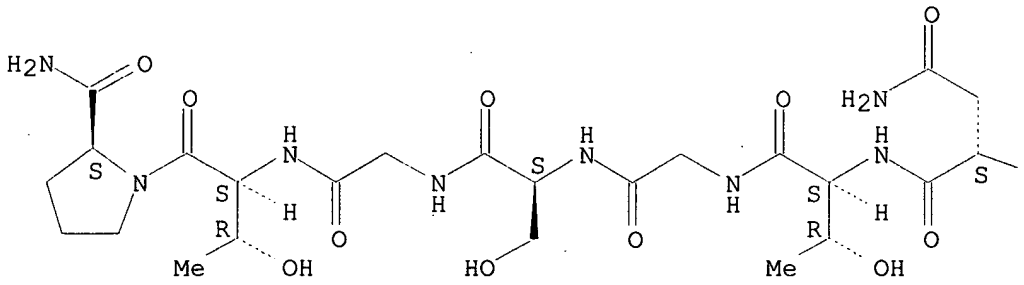


RN 133812-01-8 HCAPLUS
CN Calcitonin (salmon reduced), 24-D-arginine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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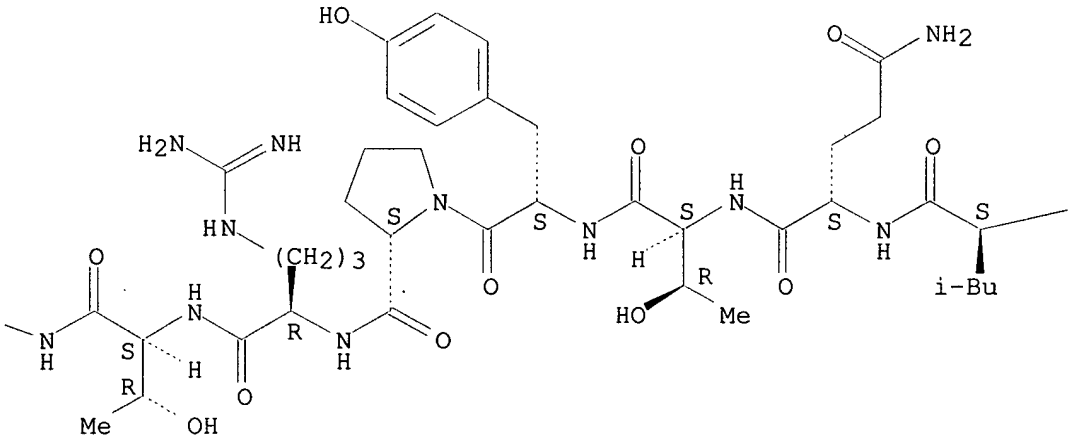
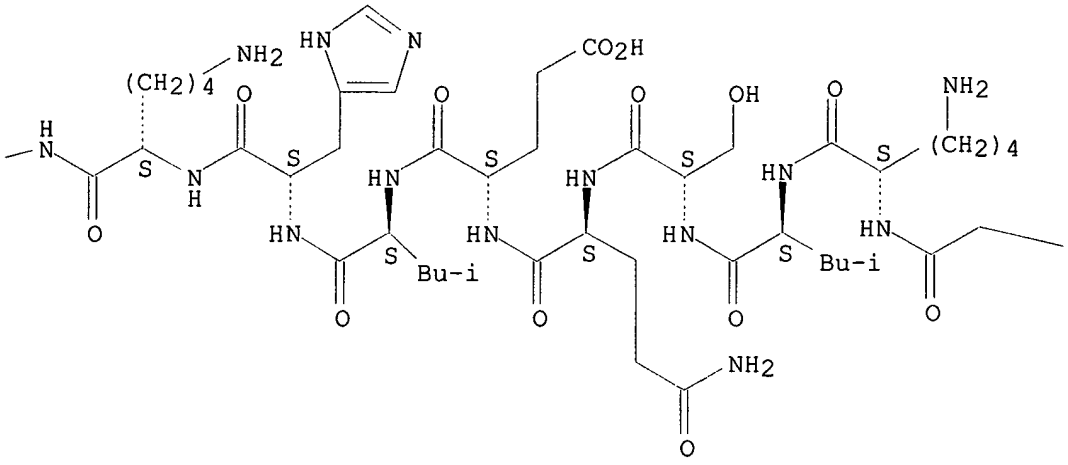


Search completed by David Schreiber x22526

PAGE 1-C



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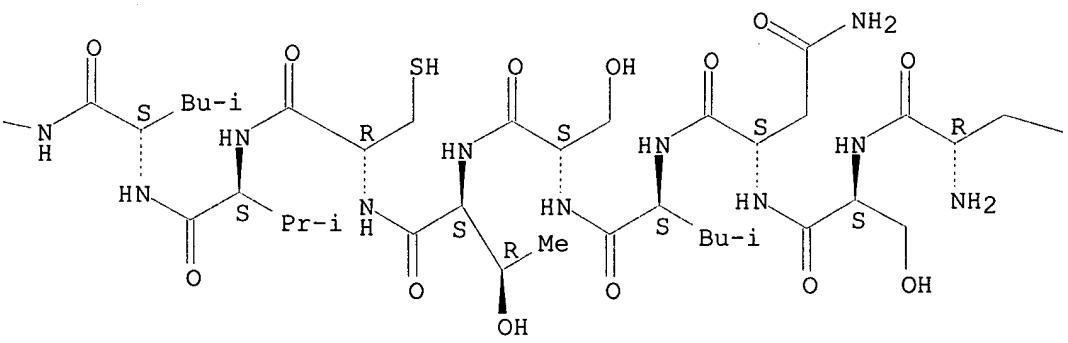

Search completed by David Schreiber x22526

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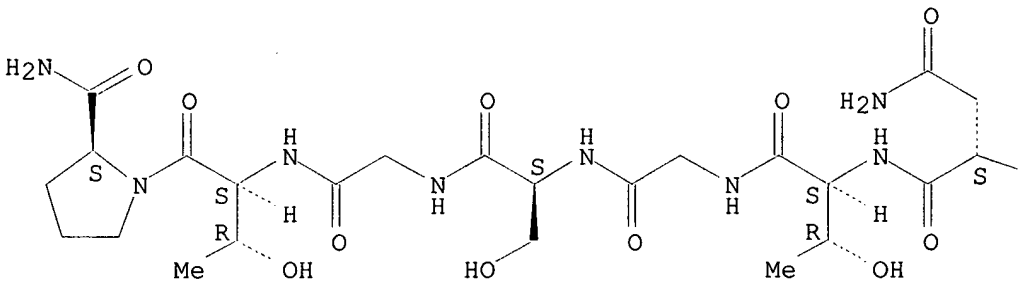
—SH

RN 133812-41-6 HCAPLUS
CN Calcitonin (salmon reduced), 8-glycine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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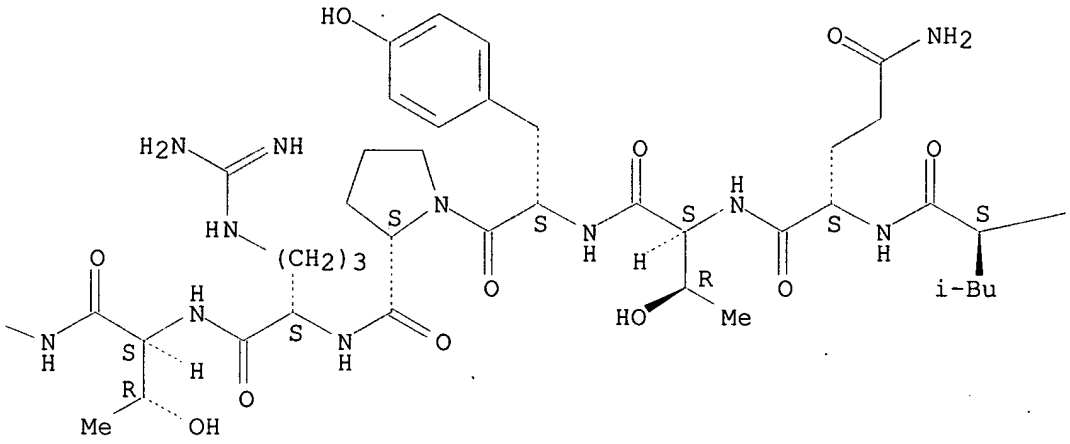

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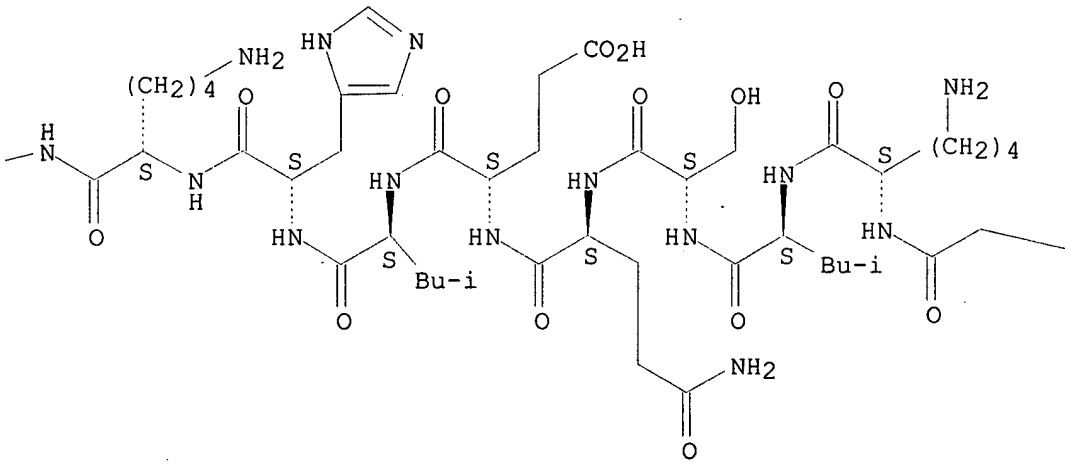

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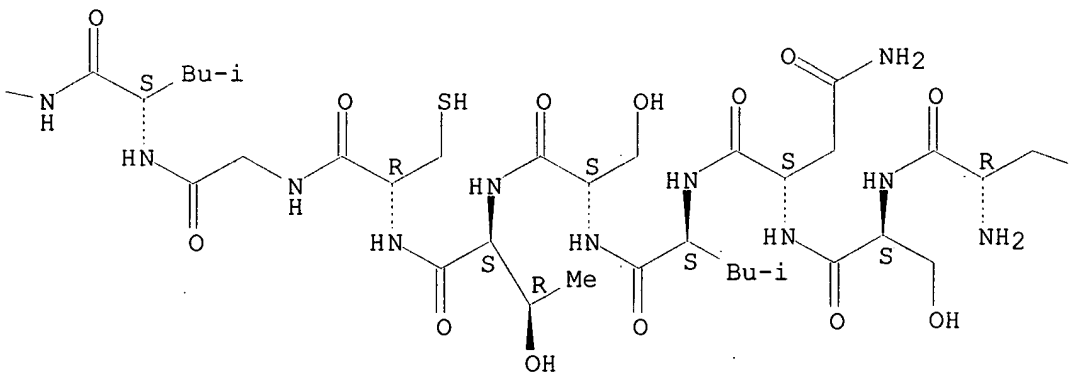


PAGE 1-E

L48 ANSWER 50 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1991:56415 HCAPLUS
DOCUMENT NUMBER:	114:56415
TITLE:	A lipopeptide-encoding sequence upstream from the lysA gene of Pseudomonas aeruginosa
AUTHOR(S):	Jann, A.; Cavard, D.; Martin, C.; Cami, B.; Patte, J. C.
CORPORATE SOURCE:	Lab. Chim. Bact., CNRS, Marseille, Fr.
SOURCE:	Molecular Microbiology (1990), 4(4), 677-82 CODEN: MOMIEE; ISSN: 0950-382X
DOCUMENT TYPE:	Journal
LANGUAGE:	English

Search completed by David Schreiber x22526

AB An open reading frame (ORF) of 141 bp was observed upstream from the P. aeruginosa lysA gene. The translation product of this ORF contains a signal peptide with a lipoprotein box, Ile-Ala-Ala-Cys, at the predicted signal peptidase cleavage site. The Escherichia coli phoA gene without its signal sequence was fused in frame to this ORF in a broad host-range plasmid. The resulting construct expressed a hybrid protein exhibiting alkaline phosphatase activity in phoA mutants of both E. coli and P. aeruginosa. This indicates that the ORF encodes a peptide, part of which acts as an export signal. The hybrid peptide was identified by immunoblotting with alkaline phosphatase antiserum. The accumulation of a precursor form was observed when P. aeruginosa cells carrying this gene fusion of a plasmid were treated with globomycin. Moreover, the mature form could be labeled with 2-[3H]-glycerol, indicating that lipidic residues may be linked to the hybrid protein. Taken together, these results strongly suggest that the ORF encodes a lipopeptide. It is proposed that the gene is called lppL.

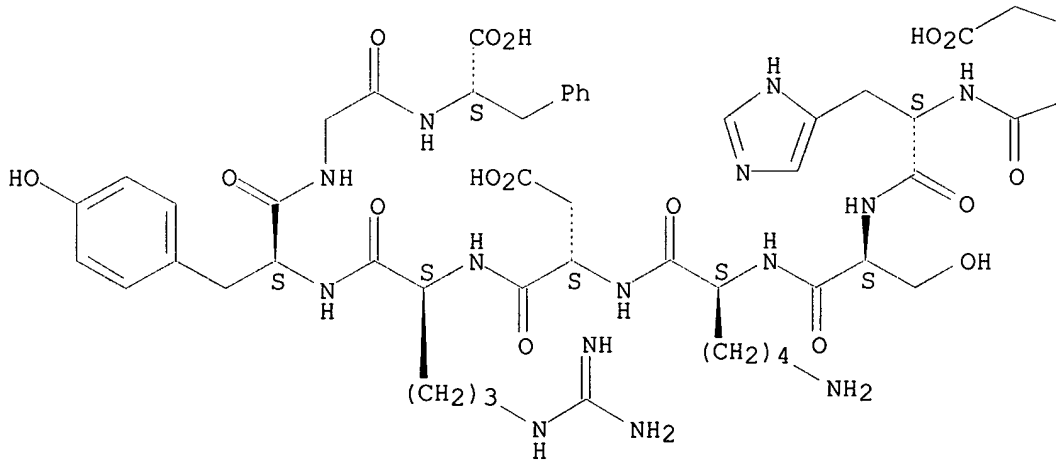
IT **132112-84-6**, Lipopeptide (Pseudomonas aeruginosa clone pLP218 gene lppL protein moiety)
RL: PRP (Properties)
(amino acid sequence of)

RN 132112-84-6 HCAPLUS

CN Lipopeptide (Pseudomonas aeruginosa clone pLP218 gene lppL) (9CI) (CA INDEX NAME)

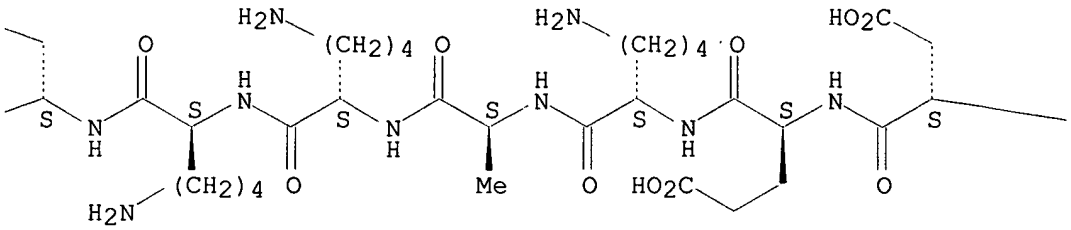
Absolute stereochemistry.

PAGE 1-A



Search completed by David Schreiber x22526

PAGE 1-B



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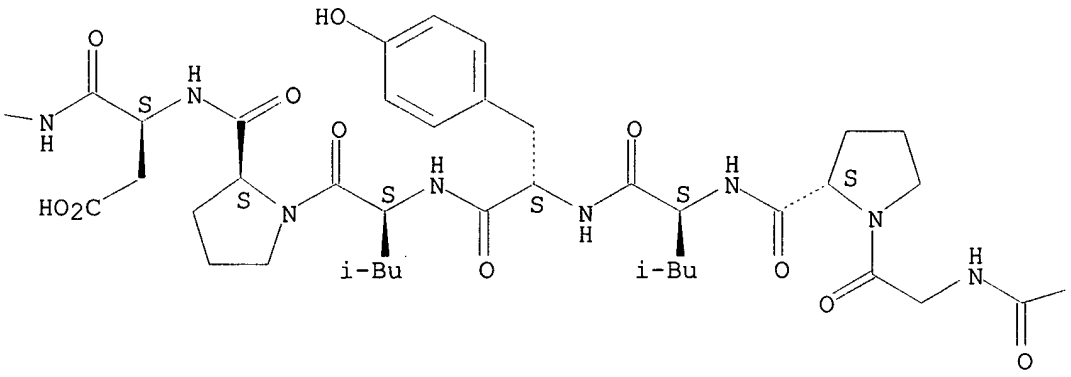
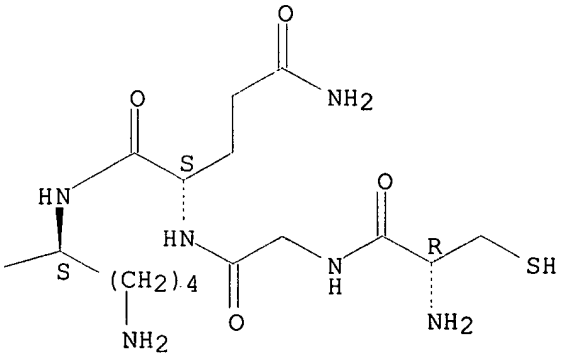


PAGE 1-D



L48 ANSWER 51 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:412332 HCAPLUS
DOCUMENT NUMBER: 111:12332
TITLE: Hair tonics containing proteoglycanase inhibitors, glycosaminoglycanase inhibitors, and inhibitors of cellular uptake of glycosaminoglycans
PATENT ASSIGNEE(S): Unilever N. V., Neth.

Search completed by David Schreiber x22526

SOURCE: Jpn. Kokai Tokkyo Koho, 38 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63166823	A2	19880711	JP 1987-326597	19871223
JP 03029764	B4	19910425		
CA 1319889	A1	19930706	CA 1987-554275	19871214
US 5015470	A	19910514	US 1987-134422	19871217
AU 8782813	A1	19880623	AU 1987-82813	19871218
AU 615170	B2	19910926		
ZA 8709564	A	19890830	ZA 1987-9564	19871221
IN 166979	A	19900811	IN 1987-BO370	19871221
EP 277428	A2	19880810	EP 1987-311315	19871222
EP 277428	A3	19910313		
EP 277428	B1	19940323		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 103165	E	19940415	AT 1987-311315	19871222
ES 2051758	T3	19940701	ES 1987-311315	19871222
BR 8707033	A	19880802	BR 1987-7033	19871223

PRIORITY APPLN. INFO.: GB 1986-30721 19861223
EP 1987-311315 19871222

AB Hair tonics are prepared which contain enzyme inhibitors, such as proteoglycanase inhibitors, glycosaminoglycanase inhibitors, and inhibitors of cell uptake of glycosaminoglycans, and vehicles as carriers of these inhibitors. Thus, a hair lotion was prepared consisting of L-galactono-1,4-lactone 0.1, EtOH 99.995% by weight and a perfume q.s. Thirty other hair lotions and tonics were prepared

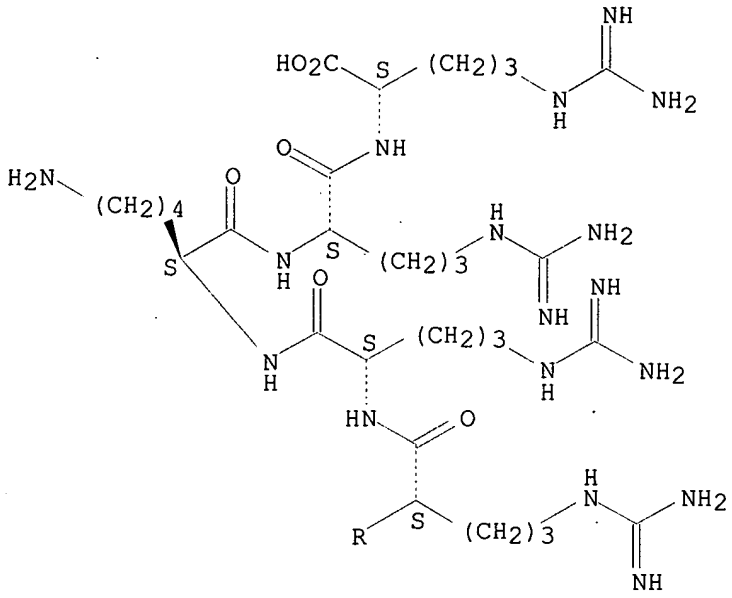
IT **120839-65-8**
RL: BIOL (Biological study)
(proteoglycanase inhibitor, hair tonic containing)

RN 120839-65-8 HCAPLUS

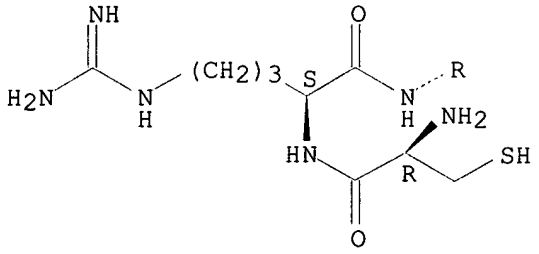
CN L-Arginine, N2-[N2-[N2-[N2-[N2-(N2-L-cysteinyl-L-arginyl)-L-arginyl]-L-arginyl]-L-lysyl]-L-arginyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



L48 ANSWER 52 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:551103 HCAPLUS
DOCUMENT NUMBER: 101:151103
TITLE: Analysis of the effect of micelles and vesicles on the reactivity of nucleophiles derived from the dissociation of weak acids
AUTHOR(S): Chaimovich, Hernan; Bonilha, Joao B. S.; Zanette, Dino; Cuccovia, Iolanda Midea
CORPORATE SOURCE: Inst. Quim., Univ. Sao Paulo, Sao Paulo, Brazil
SOURCE: Surfactants Solution, [Proc. Int. Symp.], 4th (1984), Meeting Date 1982, Volume 2, 1121-38. Editor(s): Mittal, K. L.; Lindman, B. Plenum: New York, N. Y. CODEN: 51SIAG
DOCUMENT TYPE: Conference
LANGUAGE: English
AB Micellar solns. of CTAB accelerate the thiolysis p-O2NC6H4O2C(CH2)nMe [n = 0, 6 (I)] by n-C7H15SH (II) by several orders of magnitude. The thiolysis

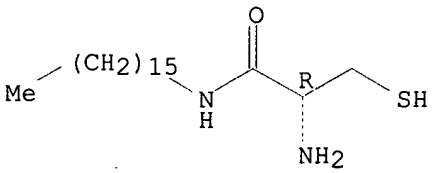
rate of I by II increases 200-fold using zwitterionic dodecyldimethylammoniopropanesulfonate; SDS produces a 70-fold rate decrease. CTAB and dimethyldioctadecylammonium chloride derived vesicles accelerate the thiolysis of esters by cysteinylhexadecylamide by >106-fold. The maximum rate effects produced by the addition of amphiphile aggregates for ester thiolysis extend over a 108 range. Anal. of the kinetics using a pseudophase ion-exchange formalism (in which 2nd-order rate constant ratios for the aqueous and micellar phases were .apprx.1) indicate that the main kinetic effect of the micelles and vesicles is due to changes in the local concentration of the reactants in the restricted environment of the organized pseudophase. Changes of the interphase affect the reactivity of the nucleophile to some degree; this does not determine the extent of catalysis or inhibition.

IT **92095-19-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thiolysis by, of nitrophenyl esters in micelles)

RN 92095-19-7 HCAPLUS

CN Propanamide, 2-amino-N-hexadecyl-3-mercapto-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L48 ANSWER 53 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1978:597918 HCAPLUS

DOCUMENT NUMBER: 89:197918

TITLE: Preparation and kinetic properties of cysteine **surfactants**

AUTHOR(S): Moss, Robert A.; Lukas, Thomas J.; Nahas, Robert C.

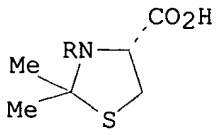
CORPORATE SOURCE: Dep. Chem., Rutgers, State Univ., New Brunswick, NJ, USA

SOURCE: Journal of the American Chemical Society (1978), 100(18), 5920-7
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



II

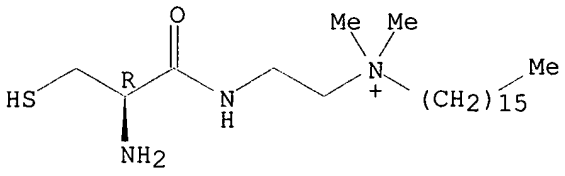
AB A cysteine **surfactant**, H-Cys-NHCH2CH2N+Me2(CH2)15Me (I), was prepared Cyclocondensation of Me2CO and cysteine gave the thiazolidine II (R = H), which was formylated to give II (R = HCO) which underwent mixed anhydride condensation with Me(CH2)15N+Me2CH2CH2NH2.Cl- followed by hydrolysis in MeOH containing HCl to give I.Cl-. Under micellar conditions at pH 8.0, excess I cleaved 4-O2NC6H4OAc, to give the S-acetyl derivative of I. The latter underwent intramol acyl transfer to give the N-acetyl derivative of I, which cleaved a second mol. of 4-O2NC6H4OAc to give the N,S-diacetyl derivative of I. S-deacetylation of the latter was slow under micellar conditions at pH 8, but could be accelerated by comicellization with imidazole functionalized **surfactants**. I is 1860 times more effective than cetyltrimethylammonium chloride in cleaving 4-O2NC6H4OAc at pH 8.

IT **66741-27-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and micellar catalysis of nitrophenyl acetate hydrolysis)

RN 66741-27-3 HCAPLUS

CN 1-Hexadecanaminium, N-[2-[(2-amino-3-mercapto-1-oxopropyl)amino]ethyl]-N,N-dimethyl-, chloride, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



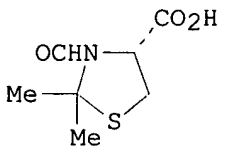
● Cl⁻

● HCl

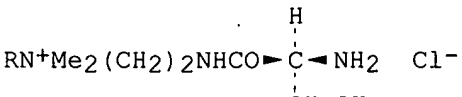
L48 ANSWER 54 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:444164 HCAPLUS
DOCUMENT NUMBER: 89:44164
TITLE: A cysteine-functionalized micellar catalyst
AUTHOR(S): Moss, Robert A.; Nahas, Robert C.; Lukas, Thomas J.
CORPORATE SOURCE: Dep. Chem., Rutgers State Univ., New Brunswick, NJ, USA
SOURCE: Tetrahedron Letters (1978), (6), 507-10
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal

Search completed by David Schreiber x22526

LANGUAGE: English
GI



I

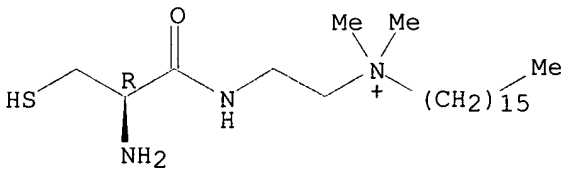


II

AB Thiazolidine I was coupled to the **surfactant** RN+Me2(CH2)2NH2 Cl- (R = n-C16H33) to give, after deprotection, solid cysteine **surfactant** II. Micellar II cleaved p-O2NC6H4OAc 1860 times faster than did cetyltrimethylammonium chloride (III) and 29,700 times faster relative to a buffer control. Micellar II also cleaved D- and L-Ac-Phe-OC6H4NO2-p 180 times faster than did III. It is the SH rather than the NH2 group of II that cleaves p-O2NC6H4OAc.

IT **66741-27-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as catalyst for ester hydrolysis)
RN 66741-27-3 HCAPLUS
CN 1-Hexadecanaminium, N-[2-[(2-amino-3-mercapto-1-oxopropyl)amino]ethyl]-N,N-dimethyl-, chloride, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

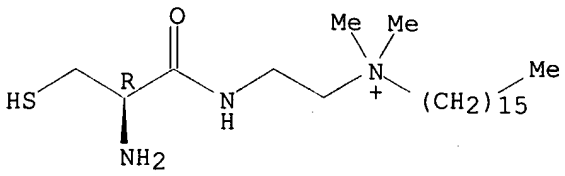


● Cl⁻

● HCl

IT **66741-28-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as ester hydrolysis catalyst)
RN 66741-28-4 HCAPLUS
CN 1-Hexadecanaminium, N-[2-[(2-amino-3-mercapto-1-oxopropyl)amino]ethyl]-N,N-dimethyl-, chloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

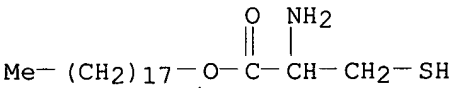


● Cl^-

L48 ANSWER 55 OF 55 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1962:444551 HCAPLUS
DOCUMENT NUMBER: 57:44551
ORIGINAL REFERENCE NO.: 57:8924c-e
TITLE: Effect of tobacco smoke **condensate** on the aerial oxidation of cysteine
AUTHOR(S): Tonge, Brian L.
CORPORATE SOURCE: Univ. Exeter, UK
SOURCE: Nature (London, United Kingdom) (1962), 194, 284-5
CODEN: NATUAS; ISSN: 0028-0836
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The inhibition of certain enzymes by the tobacco smoke **condensate** led to the examination of the catalyzed aerial oxidation of cysteine (I) to cystine (II) through the formation of the thioperoxy free radical (III). The rate of oxidation was measured by (a) smoking cigarettes directly, (b) adding aged smoke **condensate**, and (c) blowing pre-inhaled smoke, in various quantities, into the aqueous solution of I at pH 8.5 and under constant air flow. In case (a) the oxidation time using dark well-fermented tobacco having an alkaline mainstream was significantly smaller than that of lighter colored tobacco having an acid mainstream. Longer oxidation time was observed under the conditions of (b), while (c) showed negligible effect. This gave evidence of the presence of free radicals in tobacco smoke.

IT **95008-47-2**, Cysteine, octadecyl ester, hydrochloride
(oxidation of, in air, effect of tobacco smoke **condensate** on)
RN 95008-47-2 HCAPLUS
CN Cysteine, octadecyl ester, hydrochloride (6CI, 7CI) (CA INDEX NAME)



● HCl